REFERENCE NO.

28

In re application of: Hong Liu et al.
Application No.: not yet assigned
Filing Date: March 23, 2004
Attorney Docket No.: 021288-002710US

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(54) Title: NOVEL COMPOUNDS AND COMPOSITIONS AS CATHEPSIN INHIBITORS

(57) Abstract: The present invention relates to novel selective cathepsin S inhibitors, the pharmaceutically acceptable salts and
N-oxides thereof, their uses as therapeutic agents and the methods of their making

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

NOVEL COMPOUNDS AND COMPOSITIONS AS CATHEPSIN INHIBITORS

THE INVENTION

5   This application is based on and claims priority from U.S. Provisional Application
S.N. 60/257,603 filed on December 22, 2000.

This Application relates to compounds and compositions for treating diseases
associated with cysteine protease activity, particularly diseases associated with activity of
10   cathepsin S.

DESCRIPTION OF THE FIELD

Cysteine proteases represent a class of peptidases characterized by the presence of a
15   cysteine residue in the catalytic site of the enzyme. Cysteine proteases are associated with
the normal degradation and processing of proteins. The aberrant activity of cysteine
proteases, e.g., as a result of increase expression or enhanced activation, however, may
have pathological consequences. In this regard, certain cysteine proteases are associated
with a number of disease states, including arthritis, muscular dystrophy, inflammation,
20   tumor invasion, glomerulonephritis, malaria, periodontal disease, metachromatic
leukodystrophy and others. An increase in cathepsin S activity contributes to the pathology
and/or symptomatology of a number of diseases. Accordingly, molecules that inhibit the
activity of cathepsin S protease are useful as therapeutic agents in the treatment of such
diseases.

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SUMMARY OF THE INVENTION

This Application relates to compounds of Formula I:

$$R^4 - \underset{\underset{O}{\|}{C}}{\overset{R^3}{\underset{|}{CH}}} - \overset{H}{\underset{}{N}} - X_1$$

I

X^1 is $-C(R^1)(R^2)X^2$ or $-X^3$;

X^2 is cyano, -CHO, $-C(R^7)(R^8)R^5$, $-C(R^7)(R^8)CF_3$, $-C(R^7)(R^8)CF_2CF_2R^9$
$-CH=CHS(O)_2R^5$, $-C(R^7)(R^8)CF_2C(O)NR^5R^6$, $-C(R^7)(R^8)C(R^7)(R^8)NR^5R^6$,
$-C(R^7)(R^8)C(R^7)(R^8)OR^5$, $-C(R^7)(R^8)CH_2OR^5$, $-C(R^7)(R^8)CH_2N(R^6)SO_2R^5$,
$-C(R^7)(R^8)C(R^7)(R^8)N(R^6)(CH_2)_2OR^6$, $-C(R^7)(R^8)C(R^7)(R^8)N(R^6)(CH_2)_2NR^6$ or
$-C(R^7)(R^8)C(R^7)(R^8)R^5$; wherein R^5 is (C_{1-4})alkyl, (C_{6-10})aryl(C_{0-6})alkyl,
hetero(C_{4-10})aryl(C_{0-6})alkyl, (C_{4-10})cycloalkyl(C_{0-6})alkyl or
hetero(C_{4-10})cycloalkyl(C_{0-6})alkyl; R^6 is hydrogen or (C_{1-6})alkyl; R^7 is hydrogen or
(C_{1-4})alkyl and R^8 is hydroxy or R^7 and R^8 together form oxo; R^9 is hydrogen, halo,
(C_{1-4})alkyl, (C_{5-10})aryl(C_{0-6})alkyl or hetero(C_{5-10})aryl(C_{0-6})alkyl;

X^3 represents a group of Formula (a):

$$\text{(structure (a))}$$

(a)

in which n is 1 or 2, z is 0 or 1, X^5 is selected from NR^{10}, S or O, wherein R^{10} is hydrogen
or (C_{1-6})alkyl, and X^6 is O, S or NR^{11}, wherein R^{11} is selected from hydrogen, (C_{1-6})alkyl,

-$X^4C(O)OR^{12}$, -$X^4C(O)R^{13}$, -$X^4C(O)NR^{12}R^{12}$, -$X^4S(O)_2NR^{12}R^{12}$, -$X^4S(O)_2R^{14}$, -R^{15}, -$X^4S(O)_2R^{15}$, -$X^4C(O)R^{15}$, -$X^4C(O)OR^{15}$, -$X^4C(O)NR^{12}R^{15}$ and -$X^4S(O)_2NR^{12}R^{15}$, in which X^4 is a bond or (C_{1-6})alkylene; R^{12} at each occurrence independently is hydrogen or (C_{1-6})alkyl; R^{13} is hydrogen, (C_{1-6})alkyl or halo-substituted(C_{1-6})alkyl, R^{14} is (C_{1-6})alkyl or

5   halo-substituted(C_{1-6})alkyl   and R^{15} is (C_{3-10})cycloalkyl(C_{0-6})alkyl, hetero(C_{3-10})cycloalkyl(C_{0-3})alkyl, (C_{6-10})aryl(C_{0-6})alkyl, hetero(C_{5-10})aryl(C_{0-6})alkyl, (C_{9-12})bicycloaryl(C_{0-6})alkyl or hetero(C_{8-12})bicycloaryl(C_{0-6})alkyl;

wherein within X^1 any cycloalkyl, heterocycloalkyl, aryl or heteroaryl may be substituted with 1 radical R^{20} selected from -R^{15}, -X^4OR^{15}, -X^4SR^{15}, -$X^4S(O)R^{15}$,

10   -$X^4S(O)_2R^{15}$, -$X^4C(O)R^{15}$, -$X^4C(O)OR^{15}$, -$X^4OC(O)R^{15}$, -$X^4NR^{15}R^{12}$, -$X^4NR^{12}C(O)R^{15}$, -$X^4NR^{12}C(O)OR^{15}$,   -$X^4C(O)NR^{15}R^{12}$,   -$X^4S(O)_2NR^{15}R^{12}$,   -$X^4NR^{12}S(O)_2R^{15}$, -$X^4NR^{12}C(O)NR^{15}R^{12}$ and -$X^4NR^{12}C(NR^{12})NR^{15}R^{12}$; and wherein X^1 and R^{20} may be substituted further with 1 to 5 radicals independently selected from (C_{1-6})alkyl, cyano, halo, halo-substituted(C_{1-4})alkyl, nitro, -$X^4NR^{12}R^{12}$, -$X^4NR^{12}C(O)R^{12}$, -$X^4NR^{12}C(O)OR^{12}$,

15   -$X^4NR^{12}C(O)NR^{12}R^{12}$, -$X^4NR^{12}C(NR^{12})NR^{12}R^{12}$, -$X^4OR^{13}$, -$X^4SR^{13}$, -$X^4C(O)OR^{12}$, -$X^4C(O)R^{13}$, -$X^4OC(O)R^{13}$, -$X^4C(O)NR^{12}R^{12}$, -$X^4S(O)_2NR^{12}R^{12}$, -$X^4NR^{12}S(O)_2R^{13}$, -$X^4P(O)(OR^{12})OR^{12}$, -$X^4OP(O)(OR^{12})OR^{12}$, -$X^4S(O)R^{14}$ and -$X^4S(O)_2R^{14}$ wherein X^4, R^{12}, R^{13}, R^{14} and R^{15} are as defined above;

R^1 and R^2 are both fluoro; or

20   R^1 is hydrogen or (C_{1-6})alkyl and R^2 is selected from the group consisting of hydrogen, (C_{1-6})alkyl, cyano, -$X^4NR^{12}R^{12}$, -$X^4NR^{12}C(O)R^{12}$, -$X^4NR^{12}C(O)OR^{12}$, -$X^4NR^{12}C(O)NR^{12}R^{12}$, -$X^4NR^{12}C(NR^{12})NR^{12}R^{12}$, -$X^4OR^{13}$, -$X^4SR^{13}$, -$X^4C(O)OR^{12}$, -$X^4C(O)R^{13}$, -$X^4OC(O)R^{13}$, -$X^4C(O)NR^{12}R^{12}$, -$X^4S(O)_2NR^{12}R^{12}$, -$X^4NR^{12}S(O)_2R^{13}$, -$X^4P(O)(OR^{12})OR^{12}$, -$X^4OP(O)(OR^{12})OR^{12}$, -$X^4S(O)R^{14}$, -$X^4S(O)_2R^{14}$, -$R^{15}$, -$X^4OR^{15}$,

25   -X^4SR^{15}, -$X^4S(O)R^{15}$, -$X^4S(O)_2R^{15}$, -$X^4C(O)R^{15}$, -$X^4C(O)OR^{15}$, -$X^4OC(O)R^{15}$, -$X^4NR^{15}R^{12}$, -$X^4NR^{12}C(O)R^{15}$, -$X^4NR^{12}C(O)OR^{15}$, -$X^4C(O)NR^{15}R^{12}$, -$X^4S(O)_2NR^{15}R^{12}$, -$X^4NR^{12}S(O)_2R^{15}$, -$X^4NR^{12}C(O)NR^{15}R^{12}$ and -$X^4NR^{12}C(NR^{12})NR^{15}R^{12}$, wherein X^4, R^{12}, R^{13}, R^{14} and R^{15} are as defined above; or R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form (C_{3-8})cycloalkylene or hetero(C_{3-8})cycloalkylene;

30   wherein R^2, said cycloalkylene and said heterocycloalkylene may be substituted further with 1 to 3 radicals independently selected from (C_{1-6})alkyl, cyano, halo,

3

halo-substituted(C_{1-4})alkyl, nitro, $-X^4NR^{12}R^{12}$, $-X^4NR^{12}C(O)R^{12}$, $-X^4NR^{12}C(O)OR^{12}$, $-X^4NR^{12}C(O)NR^{12}R^{12}$, $-X^4NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^4OR^{13}$, $-X^4SR^{13}$, $-X^4C(O)OR^{12}$, $-X^4C(O)R^{13}$, $-X^4OC(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4S(O)_2NR^{12}R^{12}$, $-X^4NR^{12}S(O)_2R^{13}$, $-X^4P(O)(OR^{12})OR^{12}$, $-X^4OP(O)(OR^{12})OR^{12}$, $-X^4S(O)R^{14}$ and $-X^4S(O)_2R^{14}$, wherein X^4, R^{12}, R^{13} and R^{14} are as defined above;

R^3 and R^4 are independently $-C(R^{16})(R^{17})X^7$, wherein R^{16} and R^{17} are hydrogen, (C_{1-6})alkyl or fluoro, or R^{16} is hydrogen and R^{17} is hydroxy and X^7 is selected from $-X^4NR^{12}R^{12}$, $-X^4NR^{12}C(O)R^{12}$, $-X^4NR^{12}C(O)OR^{12}$, $-X^4NR^{12}C(O)NR^{12}R^{12}$, $-X^4NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^4OR^{13}$, $-X^4SR^{13}$, $-X^4C(O)OR^{12}$, $-X^4C(O)R^{13}$, $-X^4OC(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4S(O)_2NR^{12}R^{12}$, $-X^4NR^{12}S(O)_2R^{13}$, $-X^4P(O)(OR^{12})OR^{12}$, $-X^4OP(O)(OR^{12})OR^{12}$, $-X^4S(O)R^{14}$, $-X^4S(O)_2R^{14}$, $-R^{15}$, $-X^4OR^{15}$, $-X^4SR^{15}$, $-X^4S(O)R^{15}$, $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$, $-X^4C(O)OR^{15}$, $-X^4OC(O)R^{15}$, $-X^4NR^{15}R^{12}$, $-X^4NR^{12}C(O)R^{15}$, $-X^4NR^{12}C(O)OR^{15}$, $-X^4C(O)NR^{15}R^{12}$, $-X^4S(O)_2NR^{15}R^{12}$, $-X^4NR^{12}S(O)_2R^{15}$, $-X^4NR^{12}C(O)NR^{15}R^{12}$ and $-X^4NR^{12}C(NR^{12})NR^{15}R^{12}$, wherein X^4, R^{12}, R^{13}, R^{14} and R^{15} are as defined above;

wherein within one of R^3 or R^4 any cycloalkyl, heterocycloalkyl, aryl or heteroaryl may be substituted with 1 radical R^{21} selected from $-R^{15}$, $-X^4OR^{15}$, $-X^4SR^{15}$, $-X^4S(O)R^{15}$, $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$, $-X^4C(O)OR^{15}$, $-X^4OC(O)R^{15}$, $-X^4NR^{15}R^{12}$, $-X^4NR^{12}C(O)R^{15}$, $-X^4NR^{12}C(O)OR^{15}$, $-X^4C(O)NR^{12}R^{15}$, $-X^4S(O)_2NR^{15}R^{12}$, $-X^4NR^{12}S(O)_2R^{15}$, $-X^4NR^{12}C(O)NR^{15}R^{12}$ and $-X^4NR^{12}C(NR^{12})NR^{15}R^{12}$, wherein X^4, R^{12} and R^{15} are as defined above; and wherein each of R^3, R^4 and R^{21} may be substituted further with 1 to 5 radicals independently selected from (C_{1-6})alkyl, cyano, halo, halo-substituted(C_{1-4})alkyl, nitro, $-X^4NR^{12}R^{12}$, $-X^4NR^{12}C(O)R^{12}$, $-X^4NR^{12}C(O)OR^{12}$, $-X^4NR^{12}C(O)NR^{12}R^{12}$, $-X^4NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^4OR^{13}$, $-X^4SR^{13}$, $-X^4C(O)OR^{12}$, $-X^4C(O)R^{13}$, $-X^4OC(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4S(O)_2NR^{12}R^{12}$, $-X^4NR^{12}S(O)_2R^{13}$, $-X^4P(O)(OR^{12})OR^{12}$, $-X^4OP(O)(OR^{12})OR^{12}$, $-X^4S(O)R^{14}$ and $-X^4S(O)_2R^{14}$, wherein X^4, R^{12}, R^{13} and R^{14} are as defined above; provided that only one bicyclic ring structure is present within each of R^3 or R^4; and provided that when X^2 is cyano and X^7 within one of R^3 or R^4 is $-X^4C(O)R^{13}$ or $-X^4C(O)R^{15}$, wherein X^4 is a bond, then X^7 within the other of R^3 or R^4 is limited to $-X^4SR^{15}$, $-X^4S(O)R^{15}$ and $-X^4S(O)_2R^{15}$, wherein R^{15} is (C_{6-10})aryl(C_{1-6})alkyl substituted with 1 to 5 radicals or hetero(C_{5-10})aryl(C_{0-6})alkyl optionally substituted with 1 to 5

radicals, wherein said radicals are independently selected from (C_{1-6})alkyl, cyano, halo, halo-substituted(C_{1-4})alkyl, nitro, $-X^4NR^{12}R^{12}$, $-X^4NR^{12}C(O)R^{12}$, $-X^4NR^{12}C(O)OR^{12}$, $-X^4NR^{12}C(O)NR^{12}R^{12}$, $-X^4NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^4OR^{13}$, $-X^4SR^{13}$, $-X^4C(O)OR^{12}$, $-X^4C(O)R^{13}$, $-X^4OC(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4S(O)_2NR^{12}R^{12}$, $-X^4NR^{12}S(O)_2R^{13}$,

5 $-X^4P(O)(OR^{12})OR^{12}$, $-X^4OP(O)(OR^{12})OR^{12}$, $-X^4S(O)R^{14}$ and $-X^4S(O)_2R^{14}$, wherein X^4, R^{12}, R^{13} and R^{14} are as defined above, provided that the radical is not selected from only halo when R^{15} is (C_{6-10})aryl(C_{1-6})alkyl; and provided that when X^2 is cyano then X^7 within R^3 and R^4 is not $-X^4C(O)NR^{12}R^{12}$, $-X^4C(O)NR^{15}R^{12}$ or $-X^4C(O)NR^{18}R^{19}$, wherein X^4 is a bond and R^{18} and R^{19} together with the nitrogen atom to which they are attached form

10 hetero(C_{3-10})cycloalkyl or hetero(C_{5-10})aryl;

and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

15 A second aspect of the invention is a pharmaceutical composition which contains a compound of Formula I or a N-oxide derivative, individual isomer or mixture of isomers thereof, or a pharmaceutically acceptable salt thereof, in admixture with one or more suitable excipients.

A third aspect of the invention is a method for treating a disease in an animal in

20 which inhibition of cathepsin S can prevent, inhibit or ameliorate the pathology and/or symptomatology of the disease, which method comprises administering to the animal a therapeutically effective amount of compound of Formula I or a N-oxide derivative, individual isomer or mixture of isomers thereof; or a pharmaceutically acceptable salt thereof.

25 A fourth aspect of the invention is the processes for preparing compounds of Formula I and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts thereof.

30 DETAILED DESCRIPTION OF THE INVENTION

DETAILED DESCRIPTION OF THE INVENTION

Definitions:

Unless otherwise stated, the following terms used in the specification and claims are defined for the purposes of this Application and have the following meanings.

5 .

"Alicyclic" means a moiety characterized by arrangement of the carbon atoms in closed non-aromatic ring structures having properties resembling those of aliphatics and may be saturated or partially unsaturated with two or more double or triple bonds.

"Aliphatic" means a moiety characterized by a straight or branched chain arrangement of the constituent carbon atoms and may be saturated or partially unsaturated with two or more double or triple bonds.

"Alkyl" represented by itself means a straight or branched, saturated or unsaturated, aliphatic radical having the number of carbon atoms indicated (e.g., (C_{1-6})alkyl includes methyl, ethyl, propyl, isopropyl, butyl, *sec*-butyl, isobutyl, *tert*-butyl, vinyl, allyl, 1-propenyl, isopropenyl, 1-butenyl, 2-butenyl, 3-butenyl, 2-methylallyl, ethynyl, 1-propynyl, 2-propynyl, and the like). Alkyl represented along with another radical (e.g., as in arylalkyl) means a straight or branched, saturated or unsaturated aliphatic divalent radical having the number of atoms indicated or when no atoms are indicated means a bond (e.g., (C_{6-10})aryl(C_{0-3})alkyl includes phenyl, benzyl, phenethyl, 1-phenylethyl 3-phenylpropyl, and the like).

"Alkylene", unless indicated otherwise, means a straight or branched, saturated or unsaturated, aliphatic, divalent radical having the number of carbon atoms indicated (e.g., (C_{1-6})alkylene includes methylene ($-CH_2-$), ethylene ($-CH_2CH_2-$), trimethylene ($-CH_2CH_2CH_2-$), tetramethylene ($-CH_2CH_2CH_2CH_2-$) 2-butenylene ($-CH_2CH=CHCH_2-$), 2-methyltetramethylene ($-CH_2CH(CH_3)CH_2CH_2-$), pentamethylene ($-CH_2CH_2CH_2CH_2CH_2-$) and the like).

"Alkylidene" means a straight or branched saturated or unsaturated, aliphatic, divalent radical having the number of carbon atoms indicated (e.g. (C_{1-6})alkylidene includes methylene ($=CH_2$), ethylidene ($=CHCH_3$), isopropylidene ($=C(CH_3)_2$), propylidene ($=CHCH_2CH_3$), allylidene ($=CH\text{-}CH=CH_2$), and the like).

"Amino" means the radical -NH₂. Unless indicated otherwise, the compounds of

6

the invention containing amino moieties include protected derivatives thereof. Suitable protecting groups for amino moieties include acetyl, *tert*-butoxycarbonyl, benzyloxycarbonyl, and the like.

"Animal" includes humans, non-human mammals (e.g., dogs, cats, rabbits, cattle,
5   horses, sheep, goats, swine, deer, and the like) and non-mammals (e.g., birds, and the like).

"Aromatic" means a moiety wherein the constituent atoms make up an unsaturated ring system, all atoms in the ring system are sp^2 hybridized and the total number of pi electrons is equal to 4n+2.

"Aryl" means a monocyclic or fused bicyclic ring assembly containing the total
10   number of ring carbon atoms indicated, wherein each ring is comprised of 6 ring carbon atoms and is aromatic or when fused with a second ring forms an aromatic ring assembly. For example, optionally substituted (C_{6-10})aryl as used in this Application includes, but is not limited to, biphenyl-2-yl, 2-bromophenyl, 2-bromocarbonylphenyl, 2-bromo-5-fluorophenyl, 4-*tert*-butylphenyl, 4-carbamoylphenyl, 4-carboxy-2-nitrophenyl,
15   2-chlorophenyl, 4-chlorophenyl, 3-chlorocarbonylphenyl, 4-chlorocarbonylphenyl, 2-chloro-4-fluorophenyl, 2-chloro-6-fluorophenyl, 4-chloro-2-nitrophenyl, 6-chloro-2-nitrophenyl, 2,6-dibromophenyl, 2,3-dichlorophenyl, 2,5-dichlorophenyl, 3,4-dichlorophenyl, 2-difluoromethoxyphenyl, 3,5-dimethylphenyl, 2-ethoxycarbonylphenyl, 2-fluorophenyl, 2-iodophenyl, 4-isopropylphenyl,
20   2-methoxyphenyl, 4-methoxyphenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 5-methyl-2-nitrophenyl, 4-methylsulfonylphenyl, naphth-2-yl, 2-nitrophenyl, 3-nitrophenyl, 4-nitrophenyl, 2,3,4,5,6-pentafluorophenyl, phenyl, 2-trifluoromethoxyphenyl, 3-trifluoromethoxyphenyl, 4-trifluoromethoxyphenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 2-trifluoromethylsulfanylphenyl,
25   4-trifluoromethylsulfanylphenyl, and the like.

"Bicycloaryl" means a bicyclic ring assembly containing the number of ring carbon atoms indicated, wherein the rings are linked by a single bond or fused and at least one of the rings comprising the assembly is aromatic, and any (C_{1-6})alkylidene, carbocyclic ketone, thioketone or iminoketone derivative thereof (e.g., (C_{9-12})bicycloaryl includes
30   biphenyl, cyclohexylphenyl, 1,2-dihydronaphthyl, 2,4-dioxo-1,2,3,4-tetrahydronaphthyl, indanyl, indenyl, 1,2,3,4-tetrahydronaphthyl, and the like).

"Carbamoyl" means the radical -C(O)NH$_2$. Unless indicated otherwise, the compounds of the invention containing carbamoyl moieties include protected derivatives thereof. Suitable protecting groups for carbamoyl moieties include acetyl, *tert*-butoxycarbonyl, benzyloxycarbonyl, and the like and both the unprotected and 5 protected derivatives fall within the scope of the invention.

"Carbocyclic ketone derivative" means a derivative containing the moiety –C(O)–.

"Carboxy" means the radical -C(O)OH. Unless indicated otherwise, the compounds of the invention containing carboxy moieties include protected derivatives 10 thereof. Suitable protecting groups for carboxy moieties include benzyl, *tert*-butyl, and the like.

"Cycloalkyl" means a saturated or partially unsaturated, monocyclic, fused bicyclic or bridged polycyclic ring assembly containing the number of ring carbon atoms indicated, and any (C$_{1-6}$)alkylidene, carbocyclic ketone, thioketone or iminoketone derivative thereof 15 (e.g., (C$_{3-10}$)cycloalkyl includes cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclohexenyl, 2,5-cyclohexadienyl, bicyclo[2.2.2]octyl, adamantan-1-yl, decahydronaphthyl, oxocyclohexyl, dioxocyclohexyl, thiocyclohexyl, 2-oxobicyclo[2.2.1]hept-1-yl, and the like).

"Cycloalkylene" means a divalent saturated or partially unsaturated, monocyclic 20 ring or bridged polycyclic ring assembly containing the number of ring carbon atoms indicated, and any carbocyclic ketone, thioketone or iminoketone derivative thereof. For example, the instance wherein "R^1 and R^2 together with the carbon atom to which both R^1 and R^2 are attached form (C$_{3-8}$)cycloalkylene" includes, but is not limited to, the following:

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"Disease" specifically includes any unhealthy condition of an animal or part thereof and includes an unhealthy condition that may be caused by, or incident to, medical or veterinary therapy applied to that animal, i.e., the "side effects" of such therapy.

"Halo" means fluoro, chloro, bromo or iodo.

"Halo-substituted alkyl", as an isolated group or part of a larger group, means "alkyl" substituted by one or more "halo" atoms, as such terms are defined in this Application. Halo-substituted alkyl includes haloalkyl, dihaloalkyl, trihaloalkyl, perhaloalkyl and the like (e.g. halo-substituted (C_{1-3})alkyl includes chloromethyl, dichloromethyl, difluoromethyl, trifluoromethyl, 2,2,2-trifluoroethyl, perfluoroethyl, 2,2,2-trifluoro-1,1-dichloroethyl, and the like).

"Heteroatom moiety" includes -N=, -NR-, -O-, -S- or -S(O)$_2$-, wherein R is hydrogen, (C_{1-6})alkyl or a protecting group.

"Heterocycloalkylene" means cycloalkylene, as defined in this Application, provided that one or more of the ring member carbon atoms indicated, is replaced by heteroatom moiety selected from -N=, -NR-, -O-, -S- or -S(O)$_2$-, wherein R is hydrogen or (C_{1-6})alkyl. For example, the instance wherein R^1 and R^2 together with the carbon atom to which both R^1 and R^2 are attached form hetero(C_{3-8})cycloalkyl" includes, but is not limited to, the following:

in which R is hydrogen, (C_{1-6})alkyl, or a protecting group.

"Heteroaryl" as a group or part of a group denotes an optionally substituted aromatic monocyclic or multicyclic organic moiety of about 5 to about 10 ring members in which one or more of the ring members is/are element(s) other than carbon, for example nitrogen, NR, oxygen or sulfur, wherein R is hydrogen, (C_{1-6})alkyl, a protecting group or represents the free valence which serves as the point of attachment to a ring nitrogen. For example, optionally substituted hetero(C_{5-10})aryl as used in this Application includes, but is not limited to, 4-amino-2-hydroxypyrimidin-5-yl, benzothiazol-2-yl, 1H-benzoimidazol-2-yl, 2-bromopyrid-5-yl, 5-bromopyrid-2-yl, 4-carbamoylthiazol-2-yl, 3-carboxypyrid-4-yl, 5-carboxy-2,6-dimethylpyrid-3-yl, 3,5-dimethylisoxazol-4-yl,

5-ethoxy-2,6-dimethylpyrid-3-yl, 5-fluoro-6-hydroxypyrimidin-4-yl, fur-2-yl, fur-3-yl, 5-hydroxy-4,6-dimethylpyrid-3-yl, 8-hydroxy-5,7-dimethylquinolin-2-yl, 5-hydroxymethylisoxazol-3-yl, 3-hydroxy-6-methylpyrid-2-yl, 3-hydroxypyrid-2-yl, 1H-imidazol-2-yl, 1H-imidazol-4-yl, 1H-indol-3-yl, isothiazol-4-yl, isoxazol-4-yl, 2-methylfur-3-yl, 5-methylfur-2-yl, 1-methyl-1H-imidazol-2-yl, 5-methyl-3H-imidazol-4-yl, 5-methylisoxazol-3-yl, 5-methyl-2H-pyrazol-3-yl, 3-methylpyrid-2-yl, 4-methylpyrid-2-yl, 5-methylpyrid-2-yl, 6-methylpyrid-2-yl, 2-methylpyrid-3-yl, 2-methylthiazol-4-yl, 5-nitropyrid-2-yl, 2H-pyrazol-3-yl, 3H-pyrazol-4-yl, pyridazin-3-yl, pyrid-2-yl, pyrid-3-yl, pyrid-4-yl, 5-pyrid-3-yl-2H-[1,2,4]triazol-3-yl, pyrimidin-4-yl, pyrimidin-5-yl, 1H-pyrrol-3-yl, quinolin-2-yl, 1H-tetrazol-5-yl, thiazol-2-yl, thiazol-5-yl, thien-2-yl, thien-3-yl, 2H-[1,2,4]triazol-3-yl, 3H-[1,2,3]triazol-4-yl, 5-trifluoromethylpyrid-2-yl, and the like. Suitable protecting groups include *tert*-butoxycarbonyl, benzyloxycarbonyl, benzyl, 4-methoxybenzyl, 2-nitrobenzyl, and the like. Optionally substituted hetero(C_{5-10})aryl as used in this Application to define R^5 includes 1H-benzoimidazol-2-yl, pyrimidin-2-yl, benzooxazol-2-yl, benzothiazol-2-yl, pyridazin-3-yl, 3-phenyl-[1,2,4]oxadiazol-5-yl, 3-ethyl-[1,2,4]oxadiazol-5-yl, and the like.

"Heterobicycloaryl" means bicycloaryl, as defined in this Application, provided that one or more of the ring carbon atoms indicated are replaced by a heteroatom moiety selected from -N=, -NR-, -O- or -S-, wherein R is hydrogen, (C_{1-6})alkyl, a protecting group or represents the free valence which serves as the point of attachment to a ring nitrogen, and any carbocyclic ketone, thioketone or iminoketone derivative thereof. For example, optionally substituted hetero(C_{8-10})bicycloaryl as used in this Application includes, but is not limited to, 2-amino-4-oxo-3,4-dihydropteridin-6-yl, and the like. In general, the term heterobicycloaryl as used in this Application includes, for example, benzo[1,3]dioxol-5-yl, 3,4-dihydro-2H-[1,8]naphthyridinyl, 3,4-dihydro-2H-quinolinyl, 2,4-dioxo-3,4-dihydro-2H-quinazolinyl, 1,2,3,4,5,6-hexahydro[2,2']bipyridinylyl, 3-oxo-2,3-dihydrobenzo[1,4]oxazinyl, 5,6,7,8-tetrahydroquinolinyl, and the like.

"Heterocycloalkyl" means cycloalkyl, as defined in this Application, provided that one or more of the ring carbon atoms indicated are replaced by a heteroatom moiety selected from -N=, -NR-, -O- or -S-, wherein R is hydrogen, (C_{1-6})alkyl, a protecting group or represents the free valence which serves as the point of attachment to a ring nitrogen,

and any carbocyclic ketone, thioketone or iminoketone derivative thereof (e.g., the term

hetero(C_{5-10})cycloalkyl includes imidazolidinyl, morpholinyl, piperazinyl, piperidyl,

pyrrolidinyl, pyrrolinyl, quinuclidinyl, and the like. A ketone derivative of piperazinyl

would be 3-oxo-piperazin-1-yl). Suitable protecting groups include *tert*-butoxycarbonyl,

5   benzyloxycarbonyl, benzyl, 4-methoxybenzyl, 2-nitrobenzyl, and the like. Both the

unprotected and protected derivatives fall within the scope of the invention.

     "Hydroxy" means the radical -OH. Unless indicated otherwise, the compounds of

the invention containing hydroxy radicals include protected derivatives thereof. Suitable

protecting groups for hydroxy moieties include benzyl and the like.

10   "Iminoketone derivative" means a derivative containing the moiety -C(NR)-,

wherein R is hydrogen or (C_{1-6})alkyl.

     "Isomers" mean compounds of Formula I having identical molecular formulae but

differ in the nature or sequence of bonding of their atoms or in the arrangement of their

atoms in space. Isomers that differ in the arrangement of their atoms in space are termed

15   "stereoisomers". Stereoisomers that are not mirror images of one another are termed

"diastereomers" and stereoisomers that are nonsuperimposable mirror images are termed

"enantiomers" or sometimes "optical isomers". A carbon atom bonded to four nonidentical

substituents is termed a "chiral center". A compound with one chiral center has two

enantiomeric forms of opposite chirality is termed a "racemic mixture". A compound that

20   has more than one chiral center has 2^{n-1} enantiomeric pairs, where n is the number of chiral

centers. Compounds with more than one chiral center may exist as ether an individual

diastereomers or as a mixture of diastereomers, termed a "diastereomeric mixture". When

one chiral center is present a stereoisomer may be characterized by the absolute

configuration of that chiral center. Absolute configuration refers to the arrangement in

25   space of the substituents attached to the chiral center. Enantiomers are characterized by the

absolute configuration of their chiral centers and described by the R- and S-sequencing

rules of Cahn, Ingold and Prelog. Conventions for stereochemical nomenclature, methods

for the determination of stereochemistry and the separation of stereoisomers are well

known in the art (e.g., see "Advanced Organic Chemistry", 4th edition, March, Jerry, John

30   Wiley & Sons, New York, 1992). It is understood that the names and illustration used in

this Application to describe compounds of Formula I are meant to be encompassed all

possible stereoisomers. Thus, for example, the name *N*-[1-(1-benzylcarbamoyl-methanoyl)-propyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide is meant to include *N*-[(S)-1-(1-benzylcarbamoyl-methanoyl)-propyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide and *N*-[(R)-1-(1-benzylcarbamoyl-methanoyl)-propyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide and any mixture, racemic or otherwise, thereof.

"Ketone derivative" means a derivative containing the moiety -C(O)-.

"Nitro" means the radical -NO$_2$.

"Optional" or "optionally" or "may be" means that the subsequently described event or circumstance may or may not occur, and that the description includes instances where the event or circumstance occurs and instances in which it does not. For example, the phrase "wherein R^3, R^4 and R^{21} may be substituted further by 1 to 5 radicals..." means that R^3, R^4 and/or R^{21} may or may not be substituted in order to fall within the scope of the invention.

"*N*-oxide derivatives" means derivatives of compounds of Formula I in which nitrogens are in an oxidized state (i.e., O-N) and which possess the desired pharmacological activity.

"Pathology" of a disease means the essential nature, causes and development of the disease as well as the structural and functional changes that result from the disease processes.

"Pharmaceutically acceptable" means that which is useful in preparing a pharmaceutical composition that is generally safe, non-toxic and neither biologically nor otherwise undesirable and includes that which is acceptable for veterinary use as well as human pharmaceutical use.

"Pharmaceutically acceptable salts" means salts of compounds of Formula I which are pharmaceutically acceptable, as defined above, and which possess the desired pharmacological activity. Such salts include acid addition salts formed with inorganic acids such as hydrochloric acid, hydrobromic acid, sulfuric acid, nitric acid, phosphoric acid, and the like; or with organic acids such as acetic acid, propionic acid, hexanoic acid, heptanoic acid, cyclopentanepropionic acid, glycolic acid, pyruvic acid, lactic acid, malonic acid, succinic acid, malic acid, maleic acid, fumaric acid, tartaric acid, citric acid, benzoic

acid, *o*-(4-hydroxybenzoyl)benzoic acid, cinnamic acid, mandelic acid, methylsulfonic acid, ethanesulfonic acid, 1,2-ethanedisulfonic acid, 2-hydroxyethanesulfonic acid, benzenesulfonic acid, *p*-chlorobenzenesulfonic acid, 2-naphthalenesulfonic acid, *p*-toluenesulfonic acid, camphorsulfonic acid, 4-methylbicyclo[2.2.2]oct-2-ene-

5   1-carboxylic acid, glucoheptonic acid, 4,4'-methylenebis(3-hydroxy-2-ene-1-carboxylic acid), 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid, salicylic acid, stearic acid, muconic acid and the like.

         Pharmaceutically acceptable salts also include base addition salts which may be

10   formed when acidic protons present are capable of reacting with inorganic or organic bases. Acceptable inorganic bases include sodium hydroxide, sodium carbonate, potassium hydroxide, aluminum hydroxide and calcium hydroxide. Acceptable organic bases include ethanolamine, diethanolamine, triethanolamine, tromethamine, *N*-methylglucamine and the like.

15   "Prodrug" means a compound which is convertible in vivo by metabolic means (e.g. by hydrolysis) to a compound of Formula I. For example an ester of a compound of Formula I containing a hydroxy group may be convertible by hydrolysis in vivo to the parent molecule. Alternatively an ester of a compound of Formula I containing a carboxy group may be convertible by hydrolysis in vivo to the parent molecule. Suitable esters of

20   compounds of Formula I containing a hydroxy group, are for example acetates, citrates, lactates, tartrates, malonates, oxalates, salicylates, propionates, succinates, fumarates, maleates, methylene-bis-b-hydroxynaphthoates, gentisates, isethionates, di-*p*-toluoyltartrates, methylsulphonates, ethanesulphonates, benzenesulphonates, p-toluenesulphonates, cyclohexylsulphamates and quinates. Suitable esters of compounds

25   of Formula I containing a carboxy group, are for example those described by F.J.Leinweber, Drug Metab. Res., 1987, 18, page 379. An especially useful class of esters of compounds of Formula I containing a hydroxy group, may be formed from acid moieties selected from those described by Bundgaard et al., J. Med. Chem., 1989, 32, page 2503-2507, and include substituted (aminomethyl)-benzoates, for example, dialkylamino-

30   methylbenzoates in which the two alkyl groups may be joined together and/or interrupted by an oxygen atom or by an optionally substituted nitrogen atom, e.g. an alkylated nitrogen

13

atom, more especially (morpholino-methyl)benzoates, e.g. 3- or 4-(morpholinomethyl)-benzoates, and (4-alkylpiperazin-1-yl)benzoates, e.g. 3- or 4-(4-alkylpiperazin-1-yl)benzoates.

"Protected derivatives" means derivatives of compounds of Formula I in which a reactive site or sites are blocked with protecting groups. Protected derivatives of compounds of Formula I are useful in the preparation of compounds of Formula I or in themselves may be active cathepsin S inhibitors. A comprehensive list of suitable protecting groups can be found in T.W. Greene, *Protecting Groups in Organic Synthesis*, 3rd edition, John Wiley & Sons, Inc. 1999.

"Therapeutically effective amount" means that amount which, when administered to an animal for treating a disease, is sufficient to effect such treatment for the disease.

"Thioketone derivative" means a derivative containing the moiety -C(S)-.

"Treatment" or "treating" means any administration of a compound of the present invention and includes:

(1)   preventing the disease from occurring in an animal which may be predisposed to the disease but does not yet experience or display the pathology or symptomatology of the disease,

(2)   inhibiting the disease in an animal that is experiencing or displaying the pathology or symptomatology of the diseased (i.e., arresting further development of the pathology and/or symptomatology), or

(3)   ameliorating the disease in an animal that is experiencing or displaying the pathology or symptomatology of the diseased (i.e., reversing the pathology and/or symptomatology).

Nomenclature:

The compounds of Formula I and the intermediates and starting materials used in their preparation are named in accordance with IUPAC rules of nomenclature in which the characteristic groups have decreasing priority for citation as the principle group as follows: acids, esters, amides, etc. Alternatively, the compounds are named by AutoNom 4.0 (Beilstein Information Systems, Inc.). For example, a compound of Formula I in which R^1 is hydrogen, R^2 is propyl, R^3 and R^4 are each benzylsulfonylmethyl; that is, a compound

having the following structure:

5   is named *N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-3-benzylsulfonyl-2-benzyl-
     sulfonylmethyl-propionamide;

With reference to formula (I) above, the following are particular and preferred groupings:

10   X^1 may particularly represent $-C(R^1)(R^2)X^2$ in which R^1 is hydrogen or (C_{1-6})alkyl and R^2 is
      hydrogen, $-X^4OR^{13}$ or $-R^{15}$, in which within R^{15} any aryl, heteroaryl, cycloalkyl or
      heterocycloalkyl may be substituted with 1 to 3 radicals independently selected from
      (C_{1-6})alkyl, cyano, halo, halo-substituted(C_{1-4})alkyl, nitro, $-X^4NR^{12}R^{12}$, $-X^4NR^{12}C(O)R^{12}$, -
      $X^4NR^{12}C(O)OR^{12}$, $-X^4NR^{12}C(O)NR^{12}R^{12}$, $-X^4NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^4OR^{13}$, $-X^4SR^{13}$, -

15    $X^4C(O)OR^{12}$, $-X^4C(O)R^{13}$, $-X^4OC(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4S(O)_2NR^{12}R^{12}$,
      $-X^4NR^{12}S(O)_2R^{13}$, $-X^4P(O)(OR^{12})OR^{12}$, $-X^4OP(O)(OR^{12})OR^{12}$, $-X^4S(O)R^{14}$ and
      $-X^4S(O)_2R^{14}$ wherein X^4 is a bond or (C_{1-6})alkylene, R^{12} at each occurrence independently
      is hydrogen or (C_{1-6})alkyl, R^{13} is hydrogen, (C_{1-6})alkyl or halo-substituted(C_{1-6})alkyl, R^{14} is
      (C_{1-6})alkyl or halo-substituted(C_{1-6})alkyl; and X^2 is cyano, -CHO, $-C(O)R^5$, $-C(O)CF_3$,

20    $-C(O)CF_2CF_2R^9$ $-CH=CHS(O)_2R^5$, $-C(O)CF_2C(O)NR^5R^6$, $-C(O)C(O)NR^5R^6$,
      $-C(O)C(O)OR^5$, $-C(O)CH_2OR^5$, $-C(O)CH_2N(R^6)SO_2R^5$, $-C(O)C(O)N(R^6)(CH_2)_2OR^6$,
      $-C(O)C(O)N(R^6)(CH_2)_2NR^6$ or $-C(O)C(O)R^5$; wherein R^5 is (C_{1-4})alkyl,
      (C_{6-10})aryl(C_{0-6})alkyl, hetero(C_{4-10})aryl(C_{0-6})alkyl, (C_{4-10})cycloalkyl(C_{0-6})alkyl or
      hetero(C_{4-10})cycloalkyl(C_{0-6})alkyl, R^6 is hydrogen or (C_{1-6})alkyl and R^9 is halo.

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      X^1 may also particularly represent $-C(R^1)(R^2)X^2$ in which R^1 and R^2 taken together with the

carbon atom to which both R^1 and R^2 are attached form (C_{3-8})cycloalkylene or hetero(C_{3-8})cycloalkylene, in which the cycloalkylene or the heterocycloalkylene is optionally substituted with 1 to 3 radicals independently selected from (C_{1-6})alkyl and hydroxy and X^2 is cyano, -CHO, -C(O)R^5, -C(O)CF$_3$, -C(O)CF$_2$CF$_2$R^9 -CH=CHS(O)$_2$R^5, -C(O)CF$_2$C(O)NR^{5}R^6, -C(O)C(O)NR^{5}R^6, -C(O)C(O)OR^5, -C(O)CH$_2$OR^5, -C(O)CH$_2$N(R^6)SO$_2$R^5, -C(O)C(O)N(R^6)(CH$_2$)$_2$OR^6, -C(O)C(O)N(R^6)(CH$_2$)$_2$NR^6 or -C(O)C(O)R^5.

X^1 may also particularly represent -X^3, wheein X^3 is a group of formula (b):

(b)

in which n is 1 or 2, z is 0 or 1, X^6 is O or NR^{11}, wherein R^{11} is selected from hydrogen (C_{1-6})alkyl, - X^4C(O)OR^{12}, -X^4OC(O)R^{12}, -X^4C(O)R^{13}, -X^4S(O)$_2$R^{12}, -X^4S(O)$_2$R^{15}, -X^4C(O)R^{15} and -X^4C(O)OR^{15}, in which X^4 is a bond or (C_{1-6})alkylene; R^{12} at each occurrence independently is hydrogen or (C_{1-6})alkyl; R^{13} is hydrogen, (C_{1-6})alkyl or halo-substituted(C_{1-6})alkyl and R^{15} is (C_{3-10})cycloalkyl(C_{0-6})alkyl, hetero(C_{3-10})cycloalkyl(C_{0-3})alkyl, (C_{6-10})aryl(C_{0-6})alkyl, hetero(C_{5-10})aryl(C_{0-6})alkyl, (C_{9-12})bicycloaryl(C_{0-6})alkyl or hetero(C_{8-12})bicycloaryl(C_{0-6})alkyl; within X^3 any cycloalkyl or heterocycloalkyl group may be optionally substituted by substituted further by 1 to 5 radicals independently selected from (C_{1-6})alkyl, cyano, halo, halo-substituted(C_{1-4})alkyl, nitro, -X^4NR^{12}R^{12}, -X^4NR^{12}C(O)R^{12}, -X^4NR^{12}C(O)OR^{12}, -X^4NR^{12}C(O)NR^{12}R^{12}, -X^4NR^{12}C(NR^{12})NR^{12}R^{12}, -X^4OR^{13}, -X^4SR^{13}, -X^4C(O)OR^{12}, -X^4C(O)R^{13}, -X^4OC(O)R^{13}, -X^4C(O)NR^{12}R^{12}, -X^4S(O)$_2$NR^{12}R^{12}, -X^4NR^{12}S(O)$_2$R^{13}, -X^4P(O)(OR^{12})OR^{12}, -X^4OP(O)(OR^{12})OR^{12}, -X^4S(O)R^{14} and -X^4S(O)$_2$R^{14} and/or 1 radical selected from -R^{15}, -OR^{15}, -SR^{15}, -S(O)R^{15}, -S(O)$_2$R^{15}, -C(O)R^{15}, -C(O)OR^{15}, -OC(O)R^{15}, -NR^{15}R^{12},

-NR^{12}C(O)R^{15}, -NR^{12}C(O)OR^{15}, -C(O)NR^{15}R^{12}, -S(O)$_2$NR^{15}R^{12}, -NR^{12}S(O)$_2$R^{15}, -NR^{12}C(O)NR^{15}R^{12} and -NR^{12}C(NR^{12})NR^{15}R^{12} wherein X^4 is a bond or (C$_{1-6}$)alkylene, R^{12} at each occurrence independently is hydrogen or (C$_{1-6}$)alkyl, R^{13} is hydrogen, (C$_{1-6}$)alkyl or halo-substituted(C$_{1-6}$)alkyl, R^{14} is (C$_{1-6}$)alkyl or halo-substituted(C$_{1-6}$)alkyl and R^{15} is (C$_{3-}$

5 $_{10}$)cycloalkyl(C$_{0-6}$)alkyl, (C$_{3-10}$)cycloalkyl(C$_{0-6}$)alkyl, hetero(C$_{3-10}$)cycloalkyl(C$_{0-3}$)alkyl, (C$_{6-}$ $_{10}$)aryl(C$_{0-6}$)alkyl, hetero(C$_{5-10}$)aryl(C$_{0-6}$)alkyl, (C$_{9-12}$)bicycloaryl(C$_{0-6}$)alkyl or hetero(C$_{8-12}$)bicycloaryl(C$_{0-6}$)alkyl;

R^3 and R^4 may particularly represent -C(R^{16})(R^{17})X^7, wherein R^{16} and R^{17} are hydrogen,

10 (C$_{1-6}$)alkyl or fluoro, or R^{16} is hydrogen and R^{17} is hydroxy and X^7 is selected from -X^{4}SR^{13}, -X^{4}C(O)R^{13}, -X^{4}C(O)NR^{12}R^{12}, -R^{15}, -X^{4}OR^{15}, -X^{4}SR^{15}, -X^{4}S(O)$_2$R^{15}, -X^{4}C(O)R^{15} and -X^{4}C(O)NR^{15}R^{12}, wherein X^4 is a bond or (C$_{1-6}$)alkylene, R^{12} at each occurrence independently is hydrogen or (C$_{1-6}$)alkyl, R^{13} is hydrogen, (C$_{1-6}$)alkyl or halo-substituted(C$_{1-6}$)alkyl, R^{14} is (C$_{1-6}$)alkyl or halo-substituted(C$_{1-6}$)alkyl and R^{15} is

15 (C$_{3-10}$)cycloalkyl(C$_{0-6}$)alkyl, (C$_{3-10}$)cycloalkyl(C$_{0-6}$)alkyl, hetero(C$_{3-10}$)cycloalkyl(C$_{0-3}$)alkyl, (C$_{6-10}$)aryl(C$_{0-6}$)alkyl, hetero(C$_{5-10}$)aryl(C$_{0-6}$)alkyl, (C$_{9-12}$)bicycloaryl(C$_{0-6}$)alkyl or hetero(C$_{8-12}$)bicycloaryl(C$_{0-6}$)alkyl;

within R^3 and R^4 may be substituted further by 1-5 radicals independently selected from (C$_{1-6}$)alkyl, cyano, halo, halo-substituted(C$_{1-4}$)alkyl, -X^{4}NR^{12}C(O)OR^{12}, -X^{4}OR^{13},

20 -X^{4}C(O)OR^{12}, -X^{4}C(O)R^{13}, -X^{4}C(O)NR^{12}R^{12}, -X^{4}NR^{12}S(O)$_2$R^{13} and -X^{4}S(O)$_2$R^{14} and one of R^3 or R^4 may be further substituted with 1 radical selected from -R^{15} and -X^{4}OR^{15}, wherein X^4 is a bond or (C$_{1-6}$)alkylene, R^{12} at each occurrence independently is hydrogen or (C$_{1-6}$)alkyl, R^{13} is hydrogen, (C$_{1-6}$)alkyl or halo-substituted(C$_{1-6}$)alkyl, R^{14} is (C$_{1-6}$)alkyl or halo-substituted(C$_{1-6}$)alkyl and R^{15} is (C$_{3-10}$)cycloalkyl(C$_{0-6}$)alkyl,

25 (C$_{3-10}$)cycloalkyl(C$_{0-6}$)alkyl, hetero(C$_{3-10}$)cycloalkyl(C$_{0-3}$)alkyl, (C$_{6-10}$)aryl(C$_{0-6}$)alkyl, hetero(C$_{5-10}$)aryl(C$_{0-6}$)alkyl, (C$_{9-12}$)bicycloaryl(C$_{0-6}$)alkyl or hetero(C$_{8-12}$)bicycloaryl(C$_{0-6}$)alkyl.

R^3 and R^4 groups include allylsulfonylmethyl, benzylcarbamoyl-methyl, benzyl, benzylsulfanylmethyl, 2-benzenesulfonyl-ethyl, benzenesulfonylmethyl,

30 2-benzo[1,3]dioxol-5-yl-2-oxo-ethyl, 2-benzo[b]thiophen-2-yl-2-oxo-ethyl, biphenyl-2-ylmethylsulfonylmethyl, biphenyl-4-ylmethyl-sulfonylmethyl,

17

biphenyl-3-ylmethyl, biphenyl-4-ylmethyl, 2-biphenyl-4-yl-2-oxo-ethyl,

3,5-bis-trifluoromethyl-benzyl-sulfonylmethyl, 3-bromo-benzyl,

2-oxo-2-pyrrolidin-1-yl-ethyl, 2-bromo-benzyl-sulfonylmethyl,

(butyl-methyl-carbamoyl)-methyl, 4-*tert*-butyl-benzylsulfonylmethyl,

5 (3-carbamoyl-phenylcarbamoyl)-methyl, (4-carbamoyl-phenylcarbamoyl)-methyl,

4-carboxy-benzylsulfonylmethyl, 2-(3-chloro-benzo[*b*]thiophen-2-yl)-2-oxo-ethyl,

2-(4'-chloro-biphenyl-4-yl)-2-oxo-ethyl, 3-chloro-2-fluoro-benzylsulfonylmethyl,

2-chloro-benzylsulfonylmethyl, 3-chloro-benzylsulfonylmethyl,

4-chloro-benzylsulfonylmethyl, 2-(4-chloro-phenyl)-2-oxo-ethyl,

10 5-chloro-thiophen-2-ylmethylsulfonylmethyl, 2-(3-chloro-thiophen-2-yl)-2-oxo-ethyl,

2-chloro-5-trifluoromethylbenzylsulfonylmethyl, (cyanomethyl-methyl-carbamoyl)-methyl,

cyclohexylcarbamoylmethyl, 2-cyclohexyl-ethanesulfonyl, cyclohexylmethyl-

sulfonylmethyl, 2-cyclohexyl-ethyl, cyclohexylmethyl, 2-cyano-benzylsulfonylmethyl,

cyclopropylmethylsulfonylmethyl, 3-cyano-benzylsulfonylmethyl,

15 4-cyano-benzylsulfonylmethyl, 2,5-dichloro-benzylsulfonylmethyl,

2,6-dichloro-benzylsulfonylmethyl, 3,4-dichloro-benzylsulfonylmethyl,

2-[2-(1,1-difluoro-methoxy)-benzenesulfonyl]-ethyl,

2-[3-(1,1-difluoro-methoxy)-benzenesulfonyl]-ethyl,

2-[4-(1,1-difluoro-methoxy)-benzenesulfonyl]-ethyl,

20 2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl,

3-(1,1-difluoro-methoxy)-benzylsulfonylmethyl,

4-(1,1-difluoro-methoxy)-benzylsulfonylmethyl, 2,3-difluoro-benzylsulfonylmethyl,

2,4-difluoro-benzylsulfonylmethyl, 2,5-difluoro-benzylsulfonylmethyl,

2,6-difluoro-benzylsulfonylmethyl, 3,4-difluoro-benzylsulfonylmethyl,

25 3,4-dichloro-benzyl-sulfonylmethyl, 2-(3,4-difluoro-phenyl)-2-oxo-ethyl,

2-(3,4-dimethoxy-phenyl)-2-oxo-ethyl, 4-dimethylcarbamoylmethyl,

3,5-dimethyl-isoxazol-4-ylmethylsulfonylmethyl, 3,5-dimethyl-benzylsulfonylmethyl,

2-(3-fluoro-4-methoxy-phenyl)-2-oxo-ethyl, 2-fluoro-3-methyl-benzylsulfonylmethyl,

2-fluoro-benzylsulfonylmethyl, 3-fluoro-benzylsulfonylmethyl,

30 4-fluoro-benzylsulfonylmethyl, 2-(4-fluoro-phenyl)-2-oxo-ethyl,

4-fluoro-2-trifluoromethoxy-benzyl-sulfonylmethyl,

18

2-fluoro-3-trifluoromethylbenzylsulfonylmethyl,

2-fluoro-4-trifluoromethylphenyl-methylsulfonylmethyl, 2-fluoro-5-trifluoromethylbenzyl-

sulfonylmethyl, 2-fluoro-6-trifluoromethyl-benzylsulfonylmethyl,

4-fluoro-3-trifluoromethyl-benzylsulfonylmethyl,

5   2-(4-hydroxy-phenyl)-2-oxo-ethyl, isobutylsulfanylmethyl, isopropylcarbamoyl-methyl,

2-(4-methylsulfonylamino-phenyl)-2-oxo-ethyl,

2-(4-methylsulfonyl-piperazin-1-yl)-2-oxo-ethyl,   5-methyl-2-oxo-hexyl,

2-methoxy-benzyl-sulfonylmethyl,   4-methoxy-benzylsulfonylmethyl,

2-(4-methoxy-phenyl)-2-oxo-ethyl,   3-methyl-benzylsulfonylmethyl,

10   2-methyl-propane-1-sulfonyl, 2-(5-methyl-thiophen-2-yl)-2-oxo-ethyl, 2-methyl-thiazol-4-

yl-methylsulfonylmethyl   5-methyl-thiophene-2-sulfonylmethyl,   naphthalen-2-yl,

naphthalen-2-ylmethylsulfonylmethyl,   2-naphthalen-2-yl-2-oxo-ethyl,

naphthalene-2-sulfonylmethyl, 2-morpholin-4-yl-2-oxo-ethyl, 2-oxo-2-piperidin-1-yl-ethyl,

2-oxo-2-(4-phenoxy-phenyl)-ethyl, 2-oxo-2-phenyl-ethyl, 2-oxo-2-pyrrolidin-1-yl-ethyl,

15   2-oxo-2-thiophen-2-yl-ethyl,   2-oxo-2-thiophen-3-yl-ethyl,   2-oxo-2-p-tolyl-ethyl,

2-oxo-2-(4-trifluoromethoxy-phenyl)-ethyl,   1-oxy-pyridin-2-ylmethylsulfonylmethyl,

phenylcarbamoylmethyl,   2-benzylsulfonyl-ethyl,   benzylsulfonylmethyl,

4-benzylsulfonylmethyl,   2-phenylsulfanyl-ethyl,   prop-2-ene-1-sulfonylmethyl,

pyridin-3-ylcarbamoylmethyl, pyridin-4-ylcarbamoylmethyl, 2-(pyridine-2-sulfonyl)-ethyl,

20   2-(pyridine-4-sulfonyl)-ethyl,   pyridin-2-ylmethylsulfonylmethyl,

pyridin-3-ylmethylsulfonylmethyl,   pyridin-4-ylmethylsulfonylmethyl,

(5,6,7,8-tetrahydro-naphthalen-1-ylcarbamoyl)-methyl, tetrahydropyran-4-yloxymethyl,

thiophene-2-sulfonylmethyl, o-tolylmethylsulfonylmethyl, m-tolylmethylsulfonylmethyl,

p-tolylmethylsulfonylmethyl,   2-(2-trifluoromethoxy-benzenesulfonyl)-ethyl,

25   2-(3-trifluoromethoxy-benzenesulfonyl)-ethyl,

2-(4-trifluoromethoxy-benzenesulfonyl)-ethyl, 2-trifluoromethoxy-benzylsulfanylmethyl,

2-trifluoromethoxy-benzylsulfonylmethyl,   3-trifluoromethoxy-benzylsulfonylmethyl,

4-trifluoromethoxy-benzylsulfonylmethyl,   2-trifluoromethyl-benzylsulfanylmethyl,

2-trifluoromethyl-benzylsulfonylmethyl,   3-trifluoromethyl-benzylsulfonylmethyl,

30   4-trifluoromethyl-benzylsulfonylmethyl,   2,3,4-trifluoro-benzylsulfonylmethyl,

     2,3,5-trifluoro-benzylsulfonylmethyl,   2,4,5-trifluoro-benzylsulfonylmethyl,

2,4,6-trifluoro-benzylsulfonylmethyl and 2,5,6-trifluoro-benzylsulfonylmethyl. Preferred R^3 and R^4 groups include allylsulfonylmethyl, benzylsulfanylmethyl, 3-cyano-benzyl-sulfonylmethyl, cyclohexylmethyl, 2-difluoromethoxy-benzylsulfonylmethyl, isobutylsulfanylmethyl, (2-methyl-thiazol-4-yl)-methylsulfonylmethyl, 2-morpholin-4-yl-2-oxo-ethyl, 2-oxo-2-piperidin-1-yl-ethyl, 2-oxo-2-pyrrolidin-1-yl-ethyl, benzylsulfonylmethyl, tetrahydropyran-4-yloxymethyl, and 3-trifluoromethyl-benzylsulfonylmethyl. Particularly preferred R^3 and R^4 groups include benzylsulfanylmethyl, 2-difluoromethoxy-benzylsulfonylmethyl, 2-morpholin-4-yl-2-oxo-ethyl and benzylsulfonylmethyl.

It is to be understood that this invention covers all appropriate combinations of the particular and preferred groupings referred to herein unless otherwise stated

A particular preferred group of compounds of the invention are compounds of formula I(a):

$$\underset{\text{O}}{\overset{\text{CH}_2\text{X}^7}{\text{X}^7\text{CH}_2}} \quad \underset{\text{R}^1 \quad \text{R}^2}{\overset{\text{H}}{\text{N}}} \text{CN}$$

I(a)

wherein R^1, R^2 and X^7 are as hereinbefore described, and their corresponding N-oxides, and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds of formula I(a) and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.

Compounds of formula I(a) in which R^1 is hydrogen and R^2 is:

    (i)   hydrogen;

(ii)   -X⁴OR¹³, e.g., -CH₂-O-CH₃ or -CH₂-CH₂-O-CH₃;

(iii)   hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl, e.g., thien-2-yl or 5-methylfuran-2-yl;

(iv)   (C₅₋₁₀)aryl(C₀₋₆)alkyl, e.g., phenethyl;

(v)   (C₁₋₆)alkyl, e.g. ethyl, n-propyl or n-butyl]

5   are preferred.

Compounds of formula I(a) in which R^1 and R^2 are both methyl are also preferred.

Compounds of formula I(a) in which R^1 and R^2 taken together with the carbon atom to
10   which both R^1 and R^2 are attached form (C₃₋₈)cycloalkylene, e.g., cyclopropyl, or
hetero(C₃₋₈)cycloalkylene, e.g., tetrahydropyran-4-yl and N-methylpiperidin-4-yl, are also
preferred.

Compounds of formula I(a) in which X^7 is:

15   (i)   -R¹⁵ or -R¹³, e.g., —⟨ ⟩ or -CH=CH₂, respectively;

        (ii)   -X⁴C(O)R¹⁵ in which X⁴ is a direct bond and R¹⁵ is

                hetero(C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl,   e.g.,   -C(O)—N⟨ ⟩O,

        -C(O)—N⟨ ⟩ or -C(O)—N⟨ ⟩;

        (iii)   -X⁴OR¹⁵   in   which   X⁴   is   a   direct   bond   and   R¹⁵   is

20   hetero(C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, e.g., -O—⟨ ⟩O;

        (iv)   -X⁴SR¹³ or -X⁴SR¹⁵ in which X⁴ is a direct bond or (C₁₋₆)alkylene, R¹³ is

                (C₁₋₆)alkyl   and   R¹⁵   is   (C₆₋₁₀)aryl(C₀₋₆)alkyl,   e.g., -S-CH₂—⟨ ,

        -S-CH₂—⟨ ⟩ or -CH₂-S—⟨ ⟩;

21

(v) $-X^4S(O)_2R^{13}$ or $-X^4S(O)_2R^{15}$ in which X^4 is a direct bond, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g., $-SO_2-CH_2-CH=CH_2$, $-CH_2-SO_2-$, $-SO_2-CH_2-$,

$-SO_2-CH_2-$ (CN), $-SO_2-CH_2-$ (F$_2$HCO), $-SO_2-CH_2-$ (F$_3$C)

or $-SO_2-CH_2-$;

are preferred. Compounds of formula I(a) in which X^7 represents $-C(O)-N$(O),

$-S-CH_2-$, $-SO_2-CH_2-$ or $-SO_2-CH_2-$ (F$_2$HCO) are especially preferred.

A preferred group of compounds of the invention are compounds of formula I(a) in which: R^1 is hydrogen and R^2 is (i) hydrogen, (ii) X^4OR^{13}, e.g. $-CH_2-O-CH_3$ or $-CH_2-CH_2-O-CH_3$, (iii) hetero(C_{5-10})aryl(C_{0-6})alkyl, e.g. thien-2-yl or 5-methylfuran-2-yl, (iv)(C_{5-10})aryl(C_{0-6})alkyl, e.g. phenethyl or (v) (C_{1-6})alkyl, e.g. ethyl, n-propyl or n-butyl; X^7 is (i) $-R^{13}$ or $-R^{15}$, e.g. $-$ or $-CH=CH_2$, respectively, (ii) $-X^4C(O)R^{15}$ in which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-C(O)-N$(O),

$-C(O)-N$ or $-C(O)-N$, (iii) $-X^4OR^{15}$ in which X^4 is a direct bond and R^{15}

is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. ; (iv) -X^4SR^{13} or -X^4SR^{15} in which

X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g.

 , or or (v) -$X^4S(O)_2R^{13}$ or

-$X^4S(O)_2R^{15}$ in which X^4 is a direct bond, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. -SO_2-CH_2-CH=CH_2, , ,

 , , or

 , and their corresponding N-oxides, and their prodrugs, and their

protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.

A further preferred group of compounds of the invention are compounds of formula I(a) in which: R^1 and R^2 are both methyl; X^7 is (i) -R^{15}, e.g. or -CH=CH_2, (ii)

-$X^4C(O)R^{12a}$ in which X^4 is a direct bond and R^{12a} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl,

e.g. , or , (iii) -X^4OR^{15} in which

X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. ;

(iv) -X^4SR^{13} or -X^4SR^{15} in which X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is

(C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. -S-CH$_2$— , -S-CH$_2$— or

-CH$_2$-S— or (v) -X^{4}S(O)$_2$R^{13} or -X^{4}S(O)$_2$R^{15} in which X^4 is a direct bond, R^{13}

is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. . -SO$_2$-CH$_2$-CH=CH$_2$,

-CH$_2$-SO$_2$— , -SO$_2$-CH$_2$— , -SO$_2$-CH$_2$— ,
CN

-SO$_2$-CH$_2$— , -SO$_2$-CH$_2$— or -SO$_2$-CH$_2$— and their
F$_2$HCO   F$_3$C

corresponding N-oxides, and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.

A further preferred group of compounds of the invention are compounds of formula I(a) in which: R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form (i) (C_{3-8})cycloalkylene, e.g. cyclopropyl or (ii) hetero(C_{3-8})cycloalkylene, e.g. tetrahydropyran-4-yl and N-methylpiperidin-4-yl; X^7 is (i) -R^{15} or -R^{13}, e.g. — or

-CH=CH$_2$, respectively, (ii) -X^{4}C(O)R^{15} in which X^4 is a direct bond and R^{15} is

hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. -C(O)—N O, -C(O)—N or

-C(O)—N , (iii) -X^{4}OR^{15} in which X^4 is a direct bond and R^{15} is

hetero(C$_{3-10}$)cycloalkyl(C$_{0-6}$)alkyl, e.g. ; (iv) -X^{4}SR^{13} or -X^{4}SR^{15} in which

X^4 is a direct bond or (C$_{1-6}$)alkylene, R^{13} is (C$_{1-6}$)alkyl and R^{15} is (C$_{6-10}$)aryl(C$_{0-6}$)alkyl, e.g. , or or (v)

-X^{4}S(O)$_2$R^{13} or -X^{4}S(O)$_2$R^{15} in which X^4 is a direct bond, R^{13} is (C$_{1-6}$)alkyl and R^{15} is

(C$_{6-10}$)aryl(C$_{0-6}$)alkyl, e.g. -SO$_2$-CH$_2$-CH=CH$_2$, ,

 , , ,

 or and their corresponding N-oxides, and

their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.

Another particular group of compounds of the invention are compounds of formula I(b):

I(b)

wherein R^1, R^2 and X^7 are as hereinbefore described, and their corresponding N-oxides, and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of

such compounds of formula I(b) and their N-oxides and their prodrugs, and their protected

derivatives, individual isomers and mixtures of isomers thereof.

Compounds of formula I(b) in which R^1 is hydrogen and R^2 is:
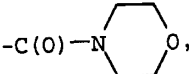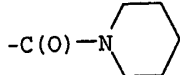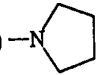
5   (vi)   hydrogen;

              (vii) $-X^4OR^{13}$ e.g. $-CH_2-O-CH_3$ or $-CH_2-CH_2-O-CH_3$;

              (viii) hetero(C_{5-10})aryl(C_{0-6})alkyl, e.g. thien-2-yl or 5-methylfuran-2-yl;

              (ix) (C_{5-10})aryl(C_{0-6})alkyl, e.g. phenethyl;

              (x) (C_{1-6})alkyl, e.g. ethyl, n-propyl or n-butyl

10   are preferred.

Compounds of formula I(b) in which R^1 and R^2 are both methyl are also preferred.

Compounds of formula I(b) in which R^1 and R^2 taken together with the carbon atom to

15   which both R^1 and R^2 are attached form (C_{3-8})cycloalkylene, e.g. cyclopropyl or

hetero(C_{3-8})cycloalkylene, e.g. tetrahydropyran-4-yl and N-methylpiperidin-4-yl are also

preferred.

Compounds of formula I(b) in which R^7 and R^8 together form oxo are preferred.

20

Compounds of formula I(b) in which R^5 is $1H$-benzoimidazol-2-yl, pyrimidin-2-yl,

benzooxazol-2-yl, benzothiazol-2-yl, pyridazin-3-yl, 3-phenyl-[1,2,4]oxadiazol-5-yl,

3-ethyl-[1,2,4]oxadiazol-5-yl are preferred.

25   Compounds of formula I(a) in which X^7 is:

          (vi) $-R^{15}$ or $-R^{13}$ e.g. —⟨ ⟩ or $-CH=CH_2$;

          (vii) $-X^4C(O)R^{15}$ in which X^4 is a direct bond and R^{15} is

                 hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl,   e.g. $-C(O)-N$⟨ ⟩O ,

(viii) $-X^4OR^{15}$ in which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. ;

(ix) $-X^4SR^{13}$ or $-R^{15}$ in which X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. ,

 or ;

(x) $-X^4S(O)_2R^{13}$ or $-X^4S(O)_2R^{15}$ in which X^4 is a direct bond, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. $-SO_2-CH_2-$ $CH=CH_2$, , ,

, ,

or ;

are preferred. Compounds of formula I(b) in which X^7 represents ,

, or are especially

preferred.

A preferred group of compounds of the invention are compounds of formula I(b) in which:
R^1 is hydrogen and R^2 is (i) hydrogen, (ii) X^4OR^{13}, e.g. $-CH_2-O-CH_3$ or $-CH_2-CH_2-O-CH_3$,
(iii) hetero(C_{5-10})aryl(C_{0-6})alkyl, e.g. thien-2-yl or 5-methylfuran-2-yl,
(iv)(C_{5-10})aryl(C_{0-6})alkyl, e.g. phenethyl or (v) (C_{1-6})alkyl, e.g. ethyl, n-propyl or n-butyl; R^7

5 and R^8 together form oxo; R^5 is 1H-benzoimidazol-2-yl, pyrimidin-2-yl, benzooxazol-2-yl,
benzothiazol-2-yl, pyridazin-3-yl, 3-phenyl-[1,2,4]oxadiazol-5-yl,
3-ethyl-[1,2,4]oxadiazol-5-yl; X7 is (i) $-R^{15}$, e.g. ⬡ (cyclohexyl) or $-CH=CH_2$, (ii)

$-X^4C(O)R^{15}$ in which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl,

e.g. $-C(O)-N$⟨morpholine-O⟩, $-C(O)-N$⟨piperidine⟩ or $-C(O)-N$⟨pyrrolidine⟩, (iii) $-X^4OR^{15}$ in which

10 X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-O$⟨tetrahydropyran-O⟩;

(iv) $-X^4SR^{13}$ or $-X^4SR^{15}$ in which X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is (C_{1-6})alkyl

and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. $-S-CH_2-$⟨cyclopropyl⟩, $-S-CH_2-$⟨phenyl⟩ or
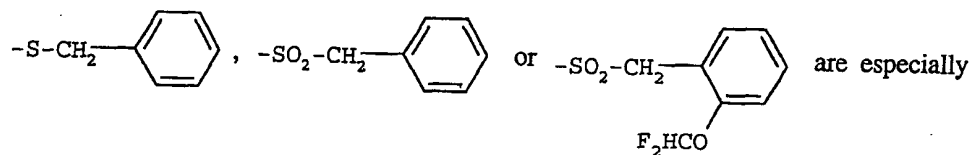
$-CH_2-S-$⟨phenyl⟩ or (v) $-X^4S(O)_2R^{13}$ or $-X^4S(O)_2R^{15}$ in which X^4 is a direct bond, R^{13} is

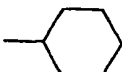(C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. $-SO_2-CH_2-CH=CH_2$,

15 $-CH_2-SO_2-$⟨phenyl⟩, $-SO_2-CH_2-$⟨phenyl⟩, $-SO_2-CH_2-$⟨phenyl-CN⟩,

$-SO_2-CH_2-$⟨phenyl-F_2HCO⟩, $-SO_2-CH_2-$⟨phenyl-F_3C⟩ or $-SO_2-CH_2-$⟨2-methylthiazol-4-yl⟩ ; and their

corresponding N-oxides, and their prodrugs, and their protected derivatives, individual
isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and

solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.

A further preferred group of compounds of the invention are compounds of formula I(b) in which: R^1 and R^2 are both methyl; R^7 and R^8 together form oxo; R^5 is 1H-benzoimidazol-2-yl, pyrimidin-2-yl, benzooxazol-2-yl, benzothiazol-2-yl, pyridazin-3-yl, 3-phenyl-[1,2,4]oxadiazol-5-yl, 3-ethyl-[1,2,4]oxadiazol-5-yl; X7 is (i) $-R^{14a,}$ e.g. ⬡ or $-CH=CH_2$, (ii) $-X^4C(O)R^{15}$ in which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-C(O)-N\bigcirc O$, $-C(O)-N\bigcirc$ or $-C(O)-N\bigcirc$, (iii) $-X^4OR^{15}$ in which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-O\bigcirc O$; (iv) $-X^4SR^{13}$ or $-X^4SR^{15}$ in which X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. $-S-CH_2-$⟨ , $-S-CH_2-$⬡ or $-CH_2-S-$⬡ or (v) $-X^4S(O)_2R^{13}$ or $-X^4S(O)_2R^{15}$ in which X^4 is a direct bond, R^{13} is (C_{1-6})alkyl and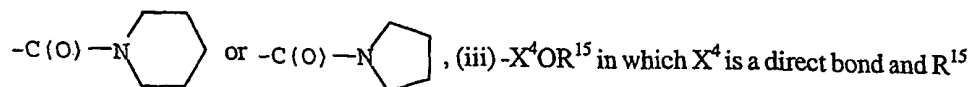 R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. $-SO_2-CH_2-CH=CH_2$, $-CH_2-SO_2-$⬡, $-SO_2-CH_2-$⬡, $-SO_2-CH_2-$⬡CN, $-SO_2-CH_2-$⬡F_2HCO, $-SO_2-CH_2-$⬡F_3C or $-SO_2-CH_2-$⟨thiazole⟩ and their corresponding N-oxides, and

29

their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.

5   A further preferred group of compounds of the invention are compounds of formula I(b) in which: R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form (i) (C_{3-8})cycloalkylene, e.g. cyclopropyl or (ii) hetero(C_{3-8})cycloalkylene, e.g. tetrahydropyran-4-yl and N-methylpiperidin-4-yl; R^7 and R^8 together form oxo; R^5 is 1H-benzoimidazol-2-yl, pyrimidin-2-yl, benzooxazol-2-yl, benzothiazol-2-yl,

10   pyridazin-3-yl, 3-phenyl-[1,2,4]oxadiazol-5-yl, 3-ethyl-[1,2,4]oxadiazol-5-yl; X^7 is (i) –R^{15} or –R^{13}, e.g. —⟨ ⟩ or –CH=CH$_2$, respectively, (ii) –X^4C(O)R^{15} in which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. –C(O)—N⟨ ⟩O,

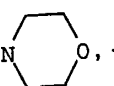–C(O)—N⟨ ⟩ or –C(O)—N⟨ ⟩ , (iii) –X^4OR^{15} in which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. –O—⟨ ⟩O ; (iv) –X^4SR^{13} or –X^4SR^{15} in

15   which X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. –S-CH$_2$—⟨ , –S-CH$_2$—⟨ ⟩ or –CH$_2$-S—⟨ ⟩ or (v) –X^4S(O)$_2$R^{13} or –X^4S(O)$_2$R^{15} in which X^4 is a direct bond, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. . –SO$_2$-CH$_2$-CH=CH$_2$, –CH$_2$-SO$_2$—⟨ ⟩ ,

–SO$_2$-CH$_2$—⟨ ⟩ , –SO$_2$-CH$_2$—⟨ ⟩ , –SO$_2$-CH$_2$—⟨ ⟩ ,
                                         CN   F$_2$HCO

$$-SO_2-CH_2-\underset{F_3C}{\underset{\displaystyle\bigcirc}{\bigcirc}}\quad\text{or}\quad -SO_2-CH_2-\underset{N}{\overset{S}{\bigcirc}}\hspace{-0.2cm}\diagdown$$ and their corresponding N-oxides, and

their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their protected derivatives,

5   individual isomers and mixtures of isomers thereof.

Another particular group of compounds of the invention are compounds of formula I(c):

$$X^7CH_2\underset{\underset{O}{\parallel}}{\overset{\overset{\displaystyle CH_2X^7}{|}}{C}}-\underset{\underset{R^1}{}}{\overset{\overset{\displaystyle H}{N}}{C}}\underset{R^2}{}-\diagup\hspace{-0.1cm}\diagdown SO_2R^5$$

10   I(c)

wherein R^1, R^2 and X^7 are as hereinbefore described, and their corresponding N-oxides, and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of

15   such compounds of formula I(c) and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.

Compounds of formula I(c) in which R^1 is hydrogen and R^2 is:

(xi)	hydrogen;
(xii)	$-X^4OR^{13}$ e.g. $-CH_2-O-CH_3$ or $-CH_2-CH_2-O-CH_3$;
(xiii)	hetero(C_{5-10})aryl(C_{0-6})alkyl, e.g. thien-2-yl or 5-methylfuran-2-yl;
(xiv)	(C_{5-10})aryl(C_{0-6})alkyl, e.g. phenethyl;
(xv)	(C_{1-6})alkyl, e.g. ethyl, n-propyl or n-butyl

are preferred.

25

Compounds of formula I(c) in which R^1 and R^2 are both methyl are also preferred.

Compounds of formula I(c) in which R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form (C$_{3-8}$)cycloalkylene, e.g. cyclopropyl or hetero(C$_{3-8}$)cycloalkylene, e.g. tetrahydropyran-4-yl and N-methylpiperidin-4-yl are also

5   preferred.

Compounds of formula I(c) in which R^5 is (C$_{6-10}$)aryl(C$_{0-6}$)alkyl, e.g. phenyl are preferred.

Compounds of formula I(a) in which X^7 is:

10   (xi)   –R^{15} or –R^{13}, e.g. or -CH=CH$_2$, respectively;

(xii)   -X^4C(O)R^{15} in which X^4 is a direct bond and R^{15} is hetero(C$_{3-10}$)cycloalkyl(C$_{0-6}$)alkyl,   e.g.   ,

 or ;

(xiii)   -X^4OR^{15} in which X^4 is a direct bond and R^{15} is

15   hetero(C$_{3-10}$)cycloalkyl(C$_{0-6}$)alkyl, e.g. ;

(xiv)   -X^4SR^{13} or -X^4SR^{15} in which X^4 is a direct bond or (C$_{1-6}$)alkylene, R^{13} is

(C$_{1-6}$)alkyl and R^{15} is (C$_{6-10}$)aryl(C$_{0-6}$)alkyl, e.g. ,

 or ;

(xv)   -X^4S(O)$_2$$R^{13}$ or -X^4S(O)$_2$$R^{15}$ in which X^4 is a direct bond, R^{13} is

20   (C$_{1-6}$)alkyl and R^{15} is (C$_{6-10}$)aryl(C$_{0-6}$)alkyl, e.g. -SO$_2$-CH$_2$-

$CH=CH_2$, $-CH_2-SO_2-$, $-SO_2-CH_2-$,

$-SO_2-CH_2-$, $-SO_2-CH_2-$, $-SO_2-CH_2-$

or $-SO_2-CH_2-$;

are preferred. Compounds of formula I(c) in which X^7 represents $-C(O)-N$,

5   $-S-CH_2-$, $-SO_2-CH_2-$ or $-SO_2-CH_2-$ are especially

preferred.
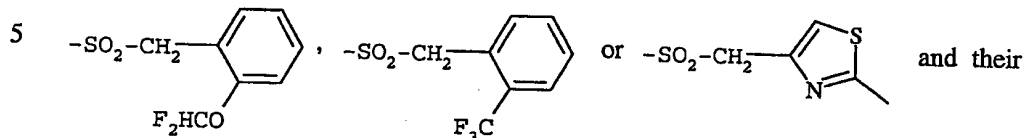
A preferred group of compounds of the invention are compounds of formula I(c) in which:
R^1 is hydrogen and R^2 is (i) hydrogen, (ii) X^4OR^{13}, e.g. $-CH_2-O-CH_3$ or $-CH_2-CH_2-O-CH_3$,
10   (iii)   hetero(C_{5-10})aryl(C_{0-6})alkyl,   e.g.   thien-2-yl   or   5-methylfuran-2-yl,
(iv)(C_{5-10})aryl(C_{0-6})alkyl, e.g. phenethyl or (v) (C_{1-6})alkyl, e.g. ethyl, n-propyl or n-butyl; R^5

is (C_{6-10})aryl(C_{0-6})alkyl, e.g. phenyl; X^7 is (i) $-R^{15}$ or $-R^{13}$, e.g. $-$ or $-CH=CH_2$,

respectively, (ii) $-X^4C(O)R^{15}$ in which X^4 is a direct bond and R^{15} is

hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-C(O)-N$, $-C(O)-N$ or

15   $-C(O)-N$, (iii) $-X^4OR^{15}$ in which X^4 is a direct bond and R^{15} is

hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-O-$; (iv) $-X^4SR^{13}$ or $-X^4SR^{15}$ in which

X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_0-)alkyl, e.g. $-S-CH_2-$; $-S-CH_2-$ or $-CH_2-S-$ or (v)

$-X^4S(O)_2R^{13}$ or $-X^4S(O)_2R^{15}$ in which X^4 is a direct bond, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. . $-SO_2-CH_2-CH=CH_2$, $-CH_2-SO_2-$,

$-SO_2-CH_2-$, $-SO_2-CH_2-$, $-SO_2-CH_2-$,

CN F$_2$HCO

$-SO_2-CH_2-$ or $-SO_2-CH_2-$; and their corresponding N-oxides, and

F$_3$C

their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.
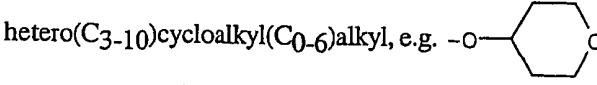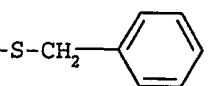
A further preferred group of compounds of the invention are compounds of formula I(c) in which: R^1 and R^2 are both methyl; R^5 is (C_{6-10})aryl(C_{0-6})alkyl, e.g. phenyl; X^7 is (i) $-R^{15}$ or $-R^{13}$, e.g. or $-CH=CH_2$, (ii) $-X^4C(O)R^{15}$ in which X^4 is a direct bond, R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-C(O)-N$ O, $-C(O)-N$ or $-C(O)-N$, (iii) $-X^4OR^{15}$ in which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-O-$ O ; (iv) $-X^4SR^{13}$ or $-X^4SR^{15}$ in which

34

X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. $-S-CH_2$—⟨, $-S-CH_2$—⟨benzene⟩ or $-CH_2-S$—⟨benzene⟩ or (v)

$-X^4S(O)_2R^{13}$ or $-X^4S(O)_2R^{13}$ in which X^4 is a direct bond, R^{13} is (C_{1-6})alkyl and R^{15} is

(C_{6-10})aryl(C_{0-6})alkyl,   e.g.   . $-SO_2-CH_2-CH=CH_2$, $-CH_2-SO_2$—⟨benzene⟩,

$-SO_2-CH_2$—⟨benzene⟩ , $-SO_2-CH_2$—⟨benzene⟩ CN , $-SO_2-CH_2$—⟨benzene⟩ F_2HCO ,

$-SO_2-CH_2$—⟨benzene⟩ F_3C or $-SO_2-CH_2$—⟨thiazole⟩   and their corresponding N-oxides, and

their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.
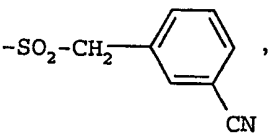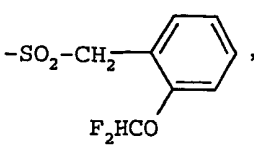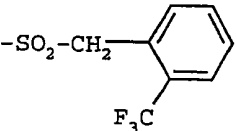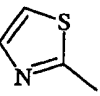
A further preferred group of compounds of the invention are compounds of formula I(c) in which: R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form (i) (C_{3-8})cycloalkylene, e.g. cyclopropyl or (ii) hetero(C_{3-8})cycloalkylene, e.g. tetrahydropyran-4-yl and N-methylpiperidin-4-yl; R^5 is (C_{6-10})aryl(C_{0-6})alkyl, e.g. phenyl;

X^7 is (i) $-R^{15}$ or $-R^{13,}$ e.g. —⟨cyclohexane⟩ or $-CH=CH_2$, respectively, (ii) $-X^4C(O)R^{15}$ in which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g.

$-C(O)-N$⟨O⟩, $-C(O)-N$⟨⟩ or $-C(O)-N$⟨⟩ , (iii) $-X^4OR^{15}$ in which X^4 is

a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. ; (iv)

-X^4SR^{13} or -X^4SR^{15} in which X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is (C_{1-6})alkyl and

R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. , or

 or (v) -$X^4S(O)_2R^{13}$ or -$X^4S(O)_2R^{15}$ in which X^4 is a direct bond, R^{13}

5   is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. . -SO_2-CH_2-CH=CH_2,

 , , ,

 , or and their

corresponding N-oxides, and their prodrugs, and their protected derivatives, individual

isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and

10   solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their

protected derivatives, individual isomers and mixtures of isomers thereof.

Another particular group of compounds of the invention are compounds of formula I(d):

15

I(d)

wherein R^1, R^2 and X^7 are as hereinbefore described, and their corresponding N-oxides,

and their prodrugs, and their protected derivatives, individual isomers and mixtures of

isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds of formula I(d) and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.

Compounds of formula I(d) in which R^1 is hydrogen and R^2 is:

    (xvi)   hydrogen;

    (xvii) $-X^4OR^{13,}$ e.g. $-CH_2-O-CH_3$ or $-CH_2-CH_2-O-CH_3$;

    (xviii) hetero(C_{5-10})aryl(C_{0-6})alkyl, e.g. thien-2-yl or 5-methylfuran-2-yl;

    (xix) (C_{5-10})aryl(C_{0-6})alkyl, e.g. phenethyl;

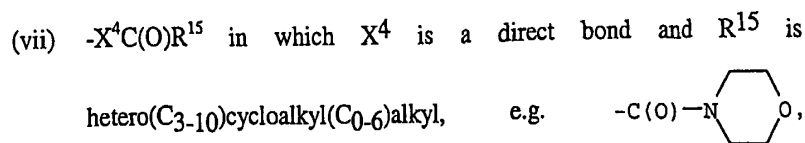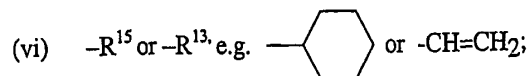   (xx) (C_{1-6})alkyl, e.g. ethyl, n-propyl or n-butyl

are preferred.

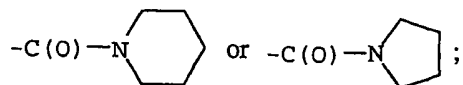Compounds of formula I(d) in which R^1 and R^2 are both methyl are also preferred.

Compounds of formula I(d) in which R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form (C_{3-8})cycloalkylene, e.g. cyclopropyl or hetero(C_{3-8})cycloalkylene, e.g. tetrahydropyran-4-yl and N-methylpiperidin-4-yl are also preferred.

Compounds of formula I(d) in which R^5 is (C_{6-10})aryl(C_{0-6})alkyl, e.g. phenyl are preferred.

Compounds of formula I(d) in which R^6 is hydrogen are preferred.

Compounds of formula I(a) in which X^7 is:

    (xvi) $-R^{15}$ or $-R^{13}$ e.g. —⟨ ⟩ or $-CH=CH_2$;

    (xvii) $-X^4C(O)R^{15}$ in which X^4 is a direct bond and R^{15} is

        hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl,   e.g. $-C(O)-N$⟨ ⟩O,

(xviii) $-X^4OR^{15}$ in which X^4 is a direct bond and R^{15} is

hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. ;

(xix) $-X^4SR^{13}$ or $-X^4SR^{15}$ in which X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is

(C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. ,

 ;

(xx) $-X^4S(O)_2R^{13}$ or $-X^4S(O)_2R^{15}$ in which X^4 is a direct bond, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. $-SO_2-CH_2-CH=CH_2$, , ,

 ;

are preferred. Compounds of formula I(c) in which X^7 represents ,

 are especially

preferred.

A preferred group of compounds of the invention are compounds of formula I(d) in which: R^1 is hydrogen and R^2 is (i) hydrogen, (ii) X^4OR^{13}, e.g. $-CH_2-O-CH_3$ or $-CH_2-CH_2-O-CH_3$, (iii) hetero(C_{5-10})aryl(C_{0-6})alkyl, e.g. thien-2-yl or 5-methylfuran-2-yl, (iv)(C_{5-10})aryl(C_{0-6})alkyl, e.g. phenethyl or (v) (C_{1-6})alkyl, e.g. ethyl, n-propyl or n-butyl; R^5 is (C_{6-10})aryl(C_{0-6})alkyl, e.g. phenyl; R^6 is hydrogen; X7 is (i) $-R^{15}$ or $-R^{13}$, e.g.

 or $-CH=CH_2$, respectively, (ii) $-X^4C(O)R^{15}$ in which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. , or

, (iii) $-X^4OR^{15}$ in which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. ; (iv) $-X^4SR^{13}$ or $-X^4SR^{15}$ in which X^4 is a direct bond or (C_{1-6})alkyl, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl,

e.g. , or or (v) $-X^4S(O)_2R^{13}$ or $-X^4S(O)_2R^{15}$ in which X^4 is a direct bond, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. . $-SO_2-CH_2-CH=CH_2$, , ,

, , or

; and their corresponding N-oxides, and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and

mixtures of isomers thereof.

A further preferred group of compounds of the invention are compounds of formula I(d) in which: R^1 and R^2 are both methyl; R^5 is $(C_{6-10})aryl(C_{0-6})alkyl$, e.g. phenyl; R^6 is hydrogen;

X^7 is (i) $-R^{15}$ or $-R^{13}$, e.g. or $-CH=CH_2$, (ii) $-X^4C(O)R^{15}$ in which X^4 is a

direct bond and R^{15} is hetero$(C_{3-10})cycloalkyl(C_{0-6})alkyl$, e.g. ,

 or , (iii) $-X^4OR^{15}$ in which X^4 is a direct bond and

R^{15} is hetero$(C_{3-10})cycloalkyl(C_{0-6})alkyl$, e.g. ; (iv) $-X^4SR^{13}$ or $-X^4SR^{15}$ in

which X^4 is a direct bond or $(C_{1-6})alkylene$, R^{13} is $(C_{1-6})alkyl$ and R^{15} is $(C_{6-10})aryl(C_{0-6})alkyl$, e.g. , or or (v)

$-X^4S(O)_2R^{13}$ or $-X^4S(O)_2R^{15}$ in which X^4 is a direct bond, R^{13} is $(C_{1-6})alkyl$ and R^{15} is

$(C_{6-10})aryl(C_{0-6})alkyl$, e.g. $-SO_2-CH_2-CH=CH_2$, ,

, , ,

 or and their corresponding N-oxides, and

their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their protected derivatives,

individual isomers and mixtures of isomers thereof.

A further preferred group of compounds of the invention are compounds of formula I(d) in which: R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form (i) (C_{3-8})cycloalkylene, e.g. cyclopropyl or (ii) hetero(C_{3-8})cycloalkylene, e.g. tetrahydropyran-4-yl and N-methylpiperidin-4-yl; R^5 is (C_{6-10})aryl(C_{0-6})alkyl, e.g. phenyl; R^6 is hydrogen; X^7 is (i) $-R^{15}$ or $-R^{13,}$ e.g. or -CH=CH$_2$, (ii) $-X^4$C(O)R^{15} in which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g.

, (iii) $-X^4$OR^{15} in which X^4 is

a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. ; (iv)

$-X^4$SR^{13} or $-X^4$SR^{15} in which X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is (C_{1-6})alkyl and

R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. , or

 or (v) $-X^4$S(O)$_2$R^{13} or $-X^4$S(O)$_2$R^{15} in which X^4 is a direct bond, R^{13}

is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. . -SO$_2$-CH$_2$-CH=CH$_2$,

 , , ,

 , or and their

corresponding N-oxides, and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and

solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.

Another particular group of compounds of the invention are compounds of formula I(e):

5

I(e)

wherein R^1, R^2 and X^7 are as hereinbefore described, and their corresponding N-oxides,

10   and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds of formula I(e) and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.

15   Compounds of formula I(e) in which R^1 is hydrogen and R^2 is:

        (xxi)   hydrogen;

        (xxii) $-X^4OR^{13}$, e.g. $-CH_2-O-CH_3$ or $-CH_2-CH_2-O-CH_3$;

        (xxiii) hetero(C_{5-10})aryl(C_{0-6})alkyl, e.g. thien-2-yl or 5-methylfuran-2-yl;

        (xxiv) (C_{5-10})aryl(C_{0-6})alkyl, e.g. phenethyl;

20   (xxv) (C_{1-6})alkyl, e.g. ethyl, n-propyl or n-butyl

are preferred.

Compounds of formula I(e) in which R^1 and R^2 are both methyl are also preferred.

25   Compounds of formula I(e) in which R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form (C_{3-8})cycloalkylene, e.g. cyclopropyl or hetero(C_{3-8})cycloalkylene, e.g. tetrahydropyran-4-yl and N-methylpiperidin-4-yl are also preferred.

Compounds of formula I(e) in which R^5 and R^6 are (C_{1-4})alkyl, e.g. methyl are preferred.

Compounds of formula I(a) in which X^7 is:

(xxi) $-R^{15}$ or $-R^{13}$, e.g. or $-CH=CH_2$, respectively;

(xxii) $-X^4C(O)R^{15}$ in which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-C(O)-$,

$-C(O)-$ or $-C(O)-$;

(xxiii) $-X^4OR^{15}$ in which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-O-$;

(xxiv) $-X^4SR^{13}$ or $-X^4SR^{15}$ in which X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. $-S-CH_2-$,

$-S-CH_2-$ or $-CH_2-S-$;

(xxv) $-X^4S(O)_2R^{13}$ or $-X^4S(O)_2R^{15}$ in which X^4 is a direct bond, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. $-SO_2-CH_2-CH=CH_2$, $-CH_2-SO_2-$, $-SO_2-CH_2-$,

$-SO_2-CH_2-$, $-SO_2-CH_2-$, $-SO_2-CH_2-$

or $-SO_2-CH_2-$;

are preferred. Compounds of formula I(e) in which X^7 represents $-C(O)-N$,

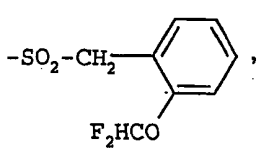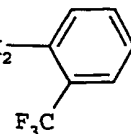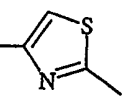$-S-CH_2-$, $-SO_2-CH_2-$ or $-SO_2-CH_2-$ are especially
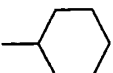
preferred.

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A preferred group of compounds of the invention are compounds of formula I(e) in which:
R^1 is hydrogen and R^2 is (i) hydrogen, (ii) X^4OR^{13}, e.g. $-CH_2-O-CH_3$ or $-CH_2-CH_2-O-CH_3$,
(iii)   hetero(C_{5-10})aryl(C_{0-6})alkyl,   e.g.   thien-2-yl   or   5-methylfuran-2-yl,
(iv)(C_{5-10})aryl(C_{0-6})alkyl, e.g. phenethyl or (v) (C_{1-6})alkyl, e.g. ethyl, n-propyl or n-butyl; R^5

10   is (C_{1-4})alkyl, e.g. methyl; X^7 is (i) $-R^{15}$ or $-R^{13}$, e.g. or $-CH=CH_2$, (ii)

$-X^4C(O)R^{15}$ in which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl,

e.g. $-C(O)-N$, $-C(O)-N$ or $-C(O)-N$, (iii) $-X^4OR^{15}$ in which

X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-O-$;

(iv) $-X^4SR^{13}$ or $-X^4SR^{15}$ in which X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is (C_{1-6})alkyl

15   and R^{15} is   (C_{6-10})aryl(C_{0-6})alkyl,   e.g. $-S-CH_2-$, $-S-CH_2-$ or

$-CH_2-S-$ or (v) $-X^4S(O)_2R^{13}$ or $-X^4S(O)_2R^{15}$ in which X^4 is a direct bond, R^{13}

is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. . $-SO_2-CH_2-CH=CH_2$,

$-CH_2-SO_2-$⟨benzene⟩ , $-SO_2-CH_2-$⟨benzene⟩ , $-SO_2-CH_2-$⟨benzene-CN⟩ ,

$-SO_2-CH_2-$⟨benzene, F_2HCO⟩ , $-SO_2-CH_2-$⟨benzene, F_3C⟩   or $-SO_2-CH_2-$⟨thiazole, S, N, methyl⟩ ; and their

corresponding N-oxides, and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.

A further preferred group of compounds of the invention are compounds of formula I(e) in which: R^1 and R^2 are both methyl; R^5 is (C_{1-4})alkyl, e.g. methyl; X^7 is (i) $-R^{15}$ or $-R^{13}$, e.g.

⟨cyclohexyl⟩ or $-CH=CH_2$, (ii) $-X^4C(O)R^{15}$ in which X^4 is a direct bond and R^{15} is

hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-C(O)-N$⟨morpholine O⟩ , $-C(O)-N$⟨piperidine⟩ or

$-C(O)-N$⟨pyrrolidine⟩ , (iii) $-X^4OR^{15}$ in which X^4 is a direct bond and R^{15} is

hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-O$⟨tetrahydropyran O⟩ ; (iv) $-X^4SR^{13}$ or $-X^4SR^{15}$ in

which X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. $-S-CH_2-$⟨isopropyl⟩ , $-S-CH_2-$⟨benzene⟩ or $-CH_2-S-$⟨benzene⟩ or (v)

$-X^4S(O)_2R^{13}$ or $-X^4S(O)_2R^{15}$ in which X^4 is a direct bond and R^{13} is (C_{1-6})alkyl and R^{15} is

(C_{6-10})aryl(C_{0-6})alkyl,   e.g.   . $-SO_2-CH_2-CH=CH_2$, $-CH_2-SO_2-$⟨benzene⟩ ,

$-SO_2-CH_2-$[phenyl], $-SO_2-CH_2-$[phenyl-CN], $-SO_2-CH_2-$[phenyl-F_2HCO],

$-SO_2-CH_2-$[phenyl-F_3C]   or $-SO_2-CH_2-$[2-methylthiazol-4-yl]   and their corresponding N-oxides, and
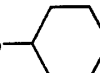
their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such

5   compounds and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.

A further preferred group of compounds of the invention are compounds of formula I(e) in which: R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached

10   form (i) (C_{3-8})cycloalkylene, e.g. cyclopropyl or (ii) hetero(C_{3-8})cycloalkylene, e.g. tetrahydropyran-4-yl and N-methylpiperidin-4-yl; R^5 is (C_{1-4})alkyl, e.g. methyl; X^7 is (i) –

R^{15} or $-R^{13}$, e.g. —[cyclohexyl] or $-CH=CH_2$, (ii) $-X^4C(O)R^{15}$ in which X^4 is a direct bond

and R^{15} is   hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl,   e.g. $-C(O)-N$[morpholino],

$-C(O)-N$[piperidino] or $-C(O)-N$[pyrrolidino], (iii) $-X^4OR^{15}$ in which X^4 is a direct bond and

15   R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-O$[tetrahydropyranyl]; (iv) $-X^4SR^{13}$ or $-X^4SR^{15}$ in

which X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. $-S-CH_2-$[isopropyl], $-S-CH_2-$[phenyl] or $-CH_2-S-$[phenyl] or (v)

$-X^4S(O)_2R^{13}$ or $-X^4S(O)_2R^{15}$ in which X^4 is a direct bond, R^{13} is (C_{1-6})alkyl and R^{15} is

(C_{6-10})aryl(C_{0-6})alkyl,   e.g.   . $-SO_2-CH_2-CH=CH_2$, $-CH_2-SO_2-$⬡ ,

$-SO_2-CH_2-$⬡ , $-SO_2-CH_2-$⬡$-CN$, $-SO_2-CH_2-$⬡$-F_2HCO$,

$-SO_2-CH_2-$⬡$-F_3C$ or $-SO_2-CH_2-$⬠(thiazole)   and their corresponding N-oxides, and

their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers

thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such

compounds and their N-oxides and their prodrugs, and their protected derivatives,

individual isomers and mixtures of isomers thereof.

Another particular group of compounds of the invention are compounds of formula I(f):

$$\text{I(f)}$$

wherein R^1, R^2 and X^7 are as hereinbefore described, and their corresponding N-oxides,

and their prodrugs, and their protected derivatives, individual isomers and mixtures of

isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of

such compounds of formula I(f) and their N-oxides and their prodrugs, and their protected

derivatives, individual isomers and mixtures of isomers thereof.

Compounds of formula I(f) in which R^1 is hydrogen and R^2 is:

(xxvi) hydrogen;

(xxvii) $-X^4OR^{13}$ e.g. $-CH_2-O-CH_3$ or $-CH_2-CH_2-O-CH_3$;

(xxviii)   hetero(C_{5-10})aryl(C_{0-6})alkyl, e.g. thien-2-yl or 5-methylfuran-2-yl;

(xxix) (C_{5-10})aryl(C_{0-6})alkyl, e.g. phenethyl;

(xxx) (C_{1-6})alkyl, e.g. ethyl, n-propyl or n-butyl

are preferred.

Compounds of formula I(f) in which R^1 and R^2 are both methyl are also preferred.

Compounds of formula I(f) in which R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form (C_{3-8})cycloalkylene, e.g. cyclopropyl or hetero(C_{3-8})cycloalkylene, e.g. tetrahydropyran-4-yl and N-methylpiperidin-4-yl are also preferred.

Compounds of formula I(f) in which R^5 is (C_{6-10})aryl(C_{0-6})alkyl, e.g. benzyl are preferred.

Compounds of formula I(f) in which R^6 is hydrogen are preferred.

Compounds of formula I(f) in which X^7 is:

(xxvi) $-R^{15}$ or $-R^{13}$, e.g. ⬡ or $-CH=CH_2$;

(xxvii) $-X^4C(O)R^{15}$ in which X^4 is a direct bond, R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-C(O)-N\bigcirc O$,

$-C(O)-N\bigcirc$ or $-C(O)-N\bigcirc$;

(xxviii) $-X^4OR^{15}$ in which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-O-\bigcirc O$;

(xxix) $-X^4SR^{13}$ or $-X^4SR^{15}$ in which X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is

(C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. $-S-CH_2-$,

$-S-CH_2-$ or $-CH_2-S-$;

(xxx) $-X^4S(O)_2R^{13}$ or $-X^4S(O)_2R^{15}$ in which X^4 is a direct bond, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. $-SO_2-CH_2-$

$CH=CH_2$, $-CH_2-SO_2-$, $-SO_2-CH_2-$,

$-SO_2-CH_2-$, $-SO_2-CH_2-$, $-SO_2-CH_2-$

or $-SO_2-CH_2-$;

are preferred. Compounds of formula I(f) in which X^7 represents $-C(O)-N$,

$-S-CH_2-$, $-SO_2-CH_2-$ or $-SO_2-CH_2-$ are especially

preferred.

A preferred group of compounds of the invention are compounds of formula I(f) in which: R^1 is hydrogen and R^2 is (i) hydrogen, (ii) X^4OR^{13}, e.g. $-CH_2-O-CH_3$ or $-CH_2-CH_2-O-CH_3$, (iii) hetero(C_{5-10})aryl(C_{0-6})alkyl, e.g. thien-2-yl or 5-methylfuran-2-yl, (iv)(C_{5-10})aryl(C_{0-6})alkyl, e.g. phenethyl or (v) (C_{1-6})alkyl, e.g. ethyl, n-propyl or n-butyl; R^5 is (C_{6-10})aryl(C_{0-6})alkyl, e.g. benzyl; R^6 is hydrogen; X7 is (i) $-R^{15}$ or $-R^{13}$, e.g.
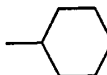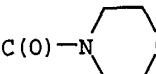
or $-CH=CH_2$, (ii) $-X^4C(O)R^{15}$ in which X^4 is a direct bond and R^{15} is

49

hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. , or

, (iii) -X^4OR^{15} in which X^4 is a direct bond and R^{15} is

hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. ; (iv) -X^4SR^{13} or -X^4SR^{15} in which

X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl$(C_0$-

$_{6})$alkyl, e.g. , or or (v)

-$X^4S(O)_2R^{13}$ or -$X^4S(O)_2R^{15}$ in which X^4 is a direct bond, R^{13} is (C_{1-6})alkyl and R^{15} is

(C_{6-10})aryl(C_{0-6})alkyl, e.g. . -SO_2-CH_2-CH=CH_2, ,

, , ,

 or ; and their corresponding N-oxides, and

their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.

A further preferred group of compounds of the invention are compounds of formula I(f) in which: R^1 and R^2 are both methyl; R^5 is (C_{6-10})aryl(C_{0-6})alkyl, e.g. benzyl; R^6 is hydrogen;

X7 is (i) -R^{15} or -$R^{13,}$ e.g. or -CH=CH_2, (ii) -$X^4C(O)R^{15}$ in which X^4 is a

direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-C(O)-N$⟨morpholine⟩O,

$-C(O)-N$⟨piperidine⟩ or $-C(O)-N$⟨pyrrolidine⟩, (iii) $-X^4OR^{15}$ in which X^4 is a direct bond and

R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-O$⟨tetrahydropyran⟩O; (iv) $-X^4SR^{13}$ or $-X^4SR^{15}$ in

which X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. $-S-CH_2-$⟨isobutyl⟩, $-S-CH_2-$⟨phenyl⟩ or $-CH_2-S-$⟨phenyl⟩ or (v)

$-X^4S(O)_2R^{13}$ or $-X^4S(O)_2R^{15}$ in which X^4 is a direct bond, R^{13} is (C_{1-6})alkyl and R^{15} is

(C_{6-10})aryl(C_{0-6})alkyl, e.g. . $-SO_2-CH_2-CH=CH_2$, $-CH_2-SO_2-$⟨phenyl⟩,

$-SO_2-CH_2-$⟨phenyl⟩, $-SO_2-CH_2-$⟨phenyl-CN⟩, $-SO_2-CH_2-$⟨phenyl-F_2HCO⟩,

$-SO_2-CH_2-$⟨phenyl-F_3C⟩ or $-SO_2-CH_2-$⟨thiazolyl⟩ and their corresponding N-oxides, and

their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.

A further preferred group of compounds of the invention are compounds of formula I(f) in which: R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form (i) (C_{3-8})cycloalkylene, e.g. cyclopropyl or (ii) hetero(C_{3-8})cycloalkylene, e.g. tetrahydropyran-4-yl and N-methylpiperidin-4-yl; R^5 is (C_{6-10})aryl(C_{0-6})alkyl, e.g. benzyl;
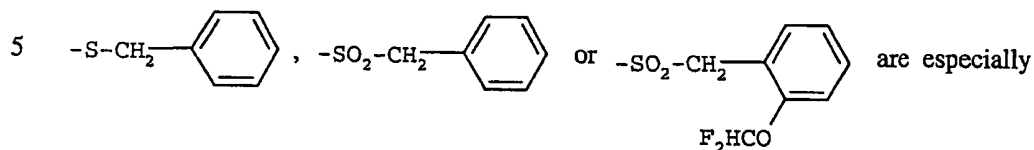
R^6 is hydrogen; X7 is (i) $-R^{15}$ or $-R^{13,}$ e.g. —⟨ ⟩ or $-CH=CH_2$, (ii) $-X^4C(O)R^{15}$ in

which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g.

$-C(O)-N$⟨ ⟩O , $-C(O)-N$⟨ ⟩ or $-C(O)-N$⟨ ⟩ , (iii) $-X^4OR^{15}$ in which X^4 is

a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-O$—⟨ ⟩O ; (iv)

$-X^4SR^{13}$ or $-X^4SR^{15}$ in which X^4 is a direct bond or (C_{1-6})alkyl, R^{13} is (C_{1-6})alkyl and

R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. $-S-CH_2$—⟨ , $-S-CH_2$—⟨ ⟩ or

$-CH_2-S$—⟨ ⟩ or (v) $-X^4S(O)_2R^{13}$ or $-X^4S(O)_2R^{13}$ in which X^4 is a direct bond, R^{13} is

(C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. . $-SO_2-CH_2-CH=CH_2$,

$-CH_2-SO_2$—⟨ ⟩ , $-SO_2-CH_2$—⟨ ⟩ , $-SO_2-CH_2$—⟨ ⟩ ,
                                                                              CN

$-SO_2-CH_2$—⟨ ⟩ , $-SO_2-CH_2$—⟨ ⟩ or $-SO_2-CH_2$—⟨ ⟩ and their
   F_2HCO F_3C

corresponding N-oxides, and their prodrugs, and their protected derivatives, individual
isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and
solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their
protected derivatives, individual isomers and mixtures of isomers thereof.

Another particular group of compounds of the invention are compounds of formula I(g):

$$\text{X}^7\text{CH}_2 \underset{\overset{|}{\underset{O}{C}}}{\overset{\overset{CH_2X^7}{|}}{C}} \overset{H}{\underset{}{N}} \text{X}^3$$

I(g)

wherein R^1, R^2 and X7 are as hereinbefore described, and their corresponding N-oxides, and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds of formula I(g) and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.

Compounds of formula I(g) in which R^1 is hydrogen and R^2 is:

       (xxxi) hydrogen;

       (xxxii) -X^4OR^{13} e.g. –CH_2-O-CH_3 or –CH_2-CH_2-O-CH_3;

       (xxxiii)   hetero(C_{5-10})aryl(C_{0-6})alkyl, e.g. thien-2-yl or 5-methylfuran-2-yl;

       (xxxiv)(C_{5-10})aryl(C_{0-6})alkyl, e.g. phenethyl;

       (xxxv) (C_{1-6})alkyl, e.g. ethyl, n-propyl or n-butyl

are preferred.

Compounds of formula I(g) in which R^1 and R^2 are both methyl are also preferred.

Compounds of formula I(g) in which R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form (C_{3-8})cycloalkylene, e.g. cyclopropyl or hetero(C_{3-8})cycloalkylene, e.g. tetrahydropyran-4-yl and N-methylpiperidin-4-yl are also preferred.

Compounds of formula I(g) in which X^3 is 2-methyl-4-oxo-tetrahydro-furan-3-yl, 2-ethyl-4-oxo-tetrahydro-furan-3-yl, 4-oxo-1-(1-phenyl-methanoyl)-pyrrolidin-3-yl or (S)-2-Acetoxy-4-oxo-azetidin-3-yl are preferred.

Compounds of formula I(g) in which X7 is:

(xxxi) $-R^{15}$ or $-R^{13}$, e.g. ⬡ or $-CH=CH_2$;

(xxxii) $-X^4C(O)R^{15}$ in which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-C(O)-N\diagup\diagdown O$,

$-C(O)-N\diagup\diagdown$ or $-C(O)-N\diagup\diagdown$;

(xxxiii) $-X^4OR^{15}$ in which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-O\diagup\diagdown O$;

(xxxiv) $-X^4SR^{13}$ or $-X^4SR^{15}$ in which X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. $-S-CH_2-$,

$-S-CH_2-$ or $-CH_2-S-$;

(xxxv) $-X^4S(O)_2R^{13}$ or $-X^4S(O)_2R^{15}$ in which X^4 is a direct bond, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. $-SO_2-CH_2-CH=CH_2$, $-CH_2-SO_2-$, $-SO_2-CH_2-$,

$-SO_2-CH_2-$ CN , $-SO_2-CH_2-$ F_2HCO , $-SO_2-CH_2-$ F_3C

or $-SO_2-CH_2-$;

are preferred. Compounds of formula I(g) in which X7 represents $-C(O)-N$⟨morpholine⟩,

$-S-CH_2-$⟨phenyl⟩ , $-SO_2-CH_2-$⟨phenyl⟩ or $-SO_2-CH_2-$⟨phenyl with F_2HCO⟩ are especially

preferred.

5   A preferred group of compounds of the invention are compounds of formula I(g) in which:
R^1 is hydrogen and R^2 is (i) hydrogen, (ii) X^4OR^{13}, e.g. $-CH_2-O-CH_3$ or $-CH_2-CH_2-O-CH_3$,
(iii) hetero(C_{5-10})aryl(C_{0-6})alkyl, e.g. thien-2-yl or 5-methylfuran-2-yl,
(iv)(C_{5-10})aryl(C_{0-6})alkyl, e.g. phenethyl or (v) (C_{1-6})alkyl, e.g. ethyl, n-propyl or n-butyl; X^3
is   2-methyl-4-oxo-tetrahydro-furan-3-yl,   2-ethyl-4-oxo-tetrahydro-furan-3-yl,
10 4-oxo-1-(1-phenyl-methanoyl)-pyrrolidin-3-yl or (S)-2-acetoxy-4-oxo-azetidin-3-yl; X7 is

(i) $-R^{15}$ or $-R^{13}$, e.g. ⟨cyclohexyl⟩ or $-CH=CH_2$, (ii) $-X^4C(O)R^{15}$ in which X^4 is a direct

bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-C(O)-N$⟨morpholine⟩,

$-C(O)-N$⟨piperidine⟩ or $-C(O)-N$⟨pyrrolidine⟩, (iii) $-X^4OR^{15}$ in which X^4 is a direct bond and

R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-O$⟨tetrahydropyran⟩ ; (iv) $-X^4SR^{13}$ or $-X^4SR^{15}$ in

15 which X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_0-
$_6$)alkyl, e.g. $-S-CH_2-$⟨isopropyl⟩ , $-S-CH_2-$⟨phenyl⟩ or $-CH_2-S-$⟨phenyl⟩ or (v)

$-X^4S(O)_2R^{13}$ or $-X^4S(O)_2R^{15}$ in which X^4 is a direct bond, R^{13} is (C_{1-6})alkyl and R^{15} is

(C_{6-10})aryl(C_{0-6})alkyl,   e.g.   . . $-SO_2-CH_2-CH=CH_2$, $-CH_2-SO_2-$⟨phenyl⟩ ,

$-SO_2-CH_2-\phenyl$, $-SO_2-CH_2-\phenyl$(CN) , $-SO_2-CH_2-\phenyl$(F$_2$HCO) ,

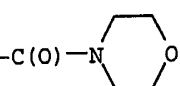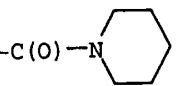$-SO_2-CH_2-\phenyl$(F$_3$C)   or $-SO_2-CH_2-\text{thiazolyl}$; and their corresponding N-oxides, and

their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers
thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such
5   compounds and their N-oxides and their prodrugs, and their protected derivatives,
individual isomers and mixtures of isomers thereof.

A further preferred group of compounds of the invention are compounds of formula I(g) in
which: R^1 and R^2 are both methyl; X^3 is 2-methyl-4-oxo-tetrahydro-furan-3-yl,
10   2-ethyl-4-oxo-tetrahydro-furan-3-yl, 4-oxo-1-(1-phenyl-methanoyl)-pyrrolidin-3-yl or

(S)-2-acetoxy-4-oxo-azetidin-3-yl; X7 is (i) $-R^{15}$ or $-R^{13}$, e.g. $-\text{cyclohexyl}$ or $-CH=CH_2$,

(ii) $-X^4C(O)R^{15}$ in which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-C(O)-N\text{(morpholino)}O$, $-C(O)-N\text{(piperidino)}$ or $-C(O)-N\text{(pyrrolidino)}$, (iii) $-X^4OR^{15}$ in

which X^4 is a direct bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g.

15   $-O-\text{(tetrahydropyran)}O$; (iv) $-X^4SR^{13}$ or $-X^4SR^{15}$ in which X^4 is a direct bond or (C_{1-6})alkylene,

R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. $-S-CH_2-\text{(branched)}$,

$-S-CH_2-\phenyl$ or $-CH_2-S-\phenyl$ or (v) $-X^4S(O)_2R^{13}$ or $-X^4S(O)_2R^{15}$ in which

X^4 is a direct bond, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. . $-SO_2-$

$CH_2-CH=CH_2$, $-CH_2-SO_2-$⟨benzene⟩, $-SO_2-CH_2-$⟨benzene⟩, $-SO_2-CH_2-$⟨benzene⟩$_{CN}$,

$-SO_2-CH_2-$⟨benzene, F_2HCO⟩, $-SO_2-CH_2-$⟨benzene, F_3C⟩ or $-SO_2-CH_2-$⟨thiazole⟩ and their

corresponding N-oxides, and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.

A further preferred group of compounds of the invention are compounds of formula I(g) in which: R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form (i) (C_{3-8})cycloalkylene, e.g. cyclopropyl or (ii) hetero(C_{3-8})cycloalkylene, e.g. tetrahydropyran-4-yl and N-methylpiperidin-4-yl; X^3 is 2-methyl-4-oxo-tetrahydro-furan-3-yl, 2-ethyl-4-oxo-tetrahydro-furan-3-yl, 4-oxo-1-(1-phenyl-methanoyl)-pyrrolidin-3-yl or (S)-2-Acetoxy-4-oxo-azetidin-3-yl; X^7 is

(i) $-R^{15}$ or $-R^{13,}$ e.g. $-$⟨cyclohexyl⟩ or $-CH=CH_2$, (ii) $-X^4C(O)R^{15}$ in which X^4 is a direct

bond and R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-C(O)-N$⟨morpholine⟩O,

$-C(O)-N$⟨piperidine⟩ or $-C(O)-N$⟨pyrrolidine⟩, (iii) $-X^4OR^{15}$ in which X^4 is a direct bond and

R^{15} is hetero(C_{3-10})cycloalkyl(C_{0-6})alkyl, e.g. $-O-$⟨tetrahydropyran⟩O; (iv) $-X^4SR^{13}$ or $-X^4SR^{15}$ in

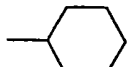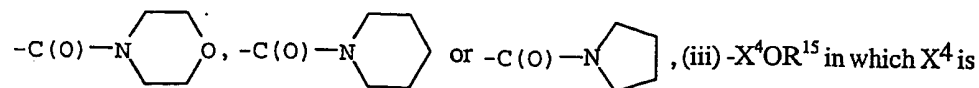which X^4 is a direct bond or (C_{1-6})alkylene, R^{13} is (C_{1-6})alkyl and R^{15} is (C_{6-10})aryl(C_{0-6})alkyl, e.g. $-S-CH_2-$⟨isopropyl⟩ , $-S-CH_2-$⟨benzene⟩ or $-CH_2-S-$⟨benzene⟩ or (v)

$-X^4S(O)_2R^{13}$ or $-X^4S(O)_2R^{15}$ in which X^4 is a direct bond, R^{13} is (C_{1-6})alkyl and R^{15} is

(C_{6-10})aryl(C_{0-6})alkyl, e.g. . $-SO_2-CH_2-CH=CH_2$, $-CH_2-SO_2$—⟨phenyl⟩,

$-SO_2-CH_2$—⟨phenyl⟩, $-SO_2-CH_2$—⟨phenyl-CN⟩, $-SO_2-CH_2$—⟨phenyl-F_2HCO⟩,

$-SO_2-CH_2$—⟨phenyl-F_3C⟩ or $-SO_2-CH_2$—⟨thiazole⟩ and their corresponding N-oxides, and

5   their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers
thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such
compounds and their N-oxides and their prodrugs, and their protected derivatives,
individual isomers and mixtures of isomers thereof.

10   Reference to the preferred embodiments set forth above is meant to include all
combinations of particular and preferred groups unless stated otherwise.

          Reference to the preferred embodiments set forth above is meant to include all
combinations of particular and preferred groups.

15

          Particular compounds of the invention are selected from the compounds formed by:
joining the methylene carbon atom (CH_2*) of one of the fragments (A1 to A116) shown in
Table 1 to the carbon atom (*CH*) of one of the fragments (B1 to B115) shown in Table 2;
joining the carbon atom (*CH*) of one of the fragments (B1 to B115) shown in Table 2 to

20   the acyl carbon atom (C*) of one of the fragments (C1 to C13) depicted in Table 3; and
joining the methylene carbon atom (CH_2*) of fragment D1, the carbon atom (*CH*) of
one of the fragments (C2, C6-C11 or C13) or the tertiary carbon atom (C*) of one of the
fragments (C2-C5 or C12) depicted in Table 3 to the carbon atom (C*) of a cyano group,
the acyl carbon atom (C*) of one of the fragments (D2-D6 or D8-D56) depicted in Table 4,

the vinyl carbon atom (C*) of the fragment (D7) depicted in Table 4 or the acyl carbon atom (C*) of one of the fragments (E1-E14) depicted in Table 5.

Particular compounds of formula I(a) are selected from the compounds formed by:

5   joining the methylene carbon atom (CH₂*) of one of the fragments (A1 to A116) shown in Table 1 to the carbon atom (*CH*) of one of the fragments (B1 to B115) shown in Table 2; joining the carbon atom (*CH*) of one of the fragments (B1 to B115) shown in Table 2 to the acyl carbon atom (C*) of one of the fragments (C1 to C13) depicted in Table 3; and joining the methylene carbon atom (CH₂*) of fragment D1, the carbon atom (*CH*) of one

10   of the fragments (C2, C6-C11 or C13) or the tertiary carbon atom (C*) of one of the fragments (C2-C5 or C12) depicted in Table 3 to the carbon atom (C*) of a cyano group depicted in Table 4.

Particular compounds of formula (1b) are selected from the compounds formed by:

15   joining the methylene carbon atom (CH₂*) of one of the fragments (A1 to A116) shown in Table 1 to the carbon atom (*CH*) of one of the fragments (B1 to B115) shown in Table 2; joining the carbon atom (*CH*) of one of the fragments (B1 to B115) shown in Table 2 to the acyl carbon atom (C*) of one of the fragments(C1 to C13) depicted in Table 3; and joining the methylene carbon atom (CH₂*) of fragment D1, the carbon atom (*CH*) of one

20   of the fragments (C2, C6-C11 or C13) or the tertiary carbon atom (C*) of one of the fragments (C2-C5 or C12) depicted in Table 3 to the acyl carbon atom (C*) of one of the fragments (D2, D4-D6, D9-D11, D18-D24, D45-D46 or D48-D56) depicted in Table 4.

Particular compounds of formula I(c) are selected from the compounds formed by:

25   joining the methylene carbon atom (CH₂*) of one of the fragments (A1 to A116) shown in Table 1 to the carbon atom (*CH*) of one of the fragments (B1 to B115) shown in Table 2; joining the carbon atom (*CH*) of one of the fragments (B1 to B115) shown in Table 2 to the acyl carbon atom (C*) of one of the fragments(C1 to C13) depicted in Table 3; and joining the methylene carbon atom (CH₂*) of fragment D1, the carbon atom (*CH*) of one

·30   of the fragments (C2, C6-C11 or C13) or the tertiary carbon atom (C*) of one of the fragments (C2-C5 or C12) depicted in Table 3 to the vinyl carbon atom (C*) of the

fragment (D7) depicted in Table 4.

Particular compounds of formula I(d) are selected from the compounds formed by: joining the methylene carbon atom (CH$_2$*) of one of the fragments (A1 to A116) shown in

5   Table 1 to the carbon atom (*CH*) of one of the fragments (B1 to B115) shown in Table 2; joining the carbon atom (*CH*) of one of the fragments (B1 to B115) shown in Table 2 to the acyl carbon atom (C*) of one of the fragments(C1 to C13) depicted in Table 3; and joining the methylene carbon atom (CH$_2$*) of fragment D1, the carbon atom (*CH*) of one of the fragments (C2, C6-C11 or C13) or the tertiary carbon atom (C*) of one of the

10   fragments (C2-C5 or C12) depicted in Table 3 to the acyl carbon atom (C*) of the fragment (D17) depicted in Table 4.

Particular compounds of formula I(e) are selected from the compounds formed by: joining the methylene carbon atom (CH$_2$*) of one of the fragments (A1 to A116) shown in

15   Table 1 to the carbon atom (*CH*) of one of the fragments (B1 to B115) shown in Table 2; joining the carbon atom (*CH*) of one of the fragments (B1 to B115) shown in Table 2 to the acyl carbon atom (C*) of one of the fragments(C1 to C13) depicted in Table 3; and joining the methylene carbon atom (CH$_2$*) of fragment D1, the carbon atom (*CH*) of one of the fragments (C2, C6-C11 or C13) or the tertiary carbon atom (C*) of one of the

20   fragments (C2-C5 or C12) depicted in Table 3 to the acyl carbon atom (C*) of the fragment (D15) depicted in Table 4.

Particular compounds of formula I(f) are selected from the compounds formed by: joining the methylene carbon atom (CH$_2$*) of one of the fragments (A1 to A116) shown in

25   Table 1 to the carbon atom (*CH*) of one of the fragments (B1 to B115) shown in Table 2; joining the carbon atom (*CH*) of one of the fragments (B1 to B115) shown in Table 2 to the acyl carbon atom (C*) of one of the fragments(C1 to C13) depicted in Table 3; and joining the methylene carbon atom (CH$_2$*) of fragment D1, the carbon atom (*CH*) of one of the fragments (C2, C6-C11 or C13) or the tertiary carbon atom (C*) of one of the

30   fragments (C2-C5 or C12) depicted in Table 3 to the acyl carbon atom (C*) of one of the fragments (D8, D25-D44) depicted in Table 4.

Particular compounds of formula I(g) are selected from the compounds formed by:
joining the methylene carbon atom (CH₂*) of one of the fragments (A1 to A116) shown in
Table 1 to the carbon atom (*CH*) of one of the fragments (B1 to B115) shown in Table 2;
5   joining the carbon atom (*CH*) of one of the fragments (B1 to B115) shown in Table 2 to
the acyl carbon atom (C*) of one of the fragments(C1 to C13) depicted in Table 3; and
joining the methylene carbon atom (CH₂*) of fragment D1, the carbon atom (*CH*) of one
of the fragments (C2, C6-C11 or C13) or the tertiary carbon atom (C*) of one of the
fragments (C2-C5 or C12) depicted in Table 3 to the acyl carbon atom (C*) of one of the
10   fragments (E1-E14) depicted in Table 5.

TABLE 1

A1		A2		A3	
A4		A5		A6	
A7		A8		A9	
A10		A11		A12	
A13		A14		A15	

A16		A17		A18	
A19		**A20**		**A21**	
A22		**A23**		**A24**	
A25		**A26**		**A27**	
A28		**A29**		**A30**	
A31		**A32**		**A33**	
A34		**A35**		**A36**	
A37		**A38**		**A39**	
A40		**A41**		**A42**	

A43	OCHF₂ ... O₂S–CH₂*	A44	O / MeO ... CH₂*	A45	O / CF₃O ... CH₂*
A46	F, F ... O / CH₂*	A47	MeO, MeO ... O / CH₂*	A48	Cl ... S ... O₂S–CH₂*
A49	O / CH₂* / F	A50	(CH₃)₂CHCH₂ ... O ... CH₂*	A51	OCHF₂ / O₂S ... CH₂*
A52	Me, Me ... O₂S–CH₂*	A53	F₃C ... O₂S–CH₂*	A54	F₃CO ... O₂S–CH₂*
A55	(CH₃)₂CHNH ... O ... CH₂*	A56	(CH₃)₂N ... O ... CH₂*	A57	N ... NH ... O ... CH₂*
A58	N ... O₂S–CH₂*	A59	N ... O₂S–CH₂*	A60	Cl, Cl ... O₂S–CH₂*
A61	N ... NH ... O ... CH₂*	A62	OCF₃ / O₂S ... CH₂*	A63	MeO ... O₂S–CH₂*
A64	... S–CH₂*	A65	CF₃ ... S–CH₂*	A66	OCHF₂ ... S–CH₂*

A67		A68		A69	
A70		A71		A72	
A73		A74		A75	
A76		A77		A78	
A79		A80		A81	
A82		A83		A84	
A85		A86		A87	

A88	CN ... O₂S—CH₂*	A89	NC ... O₂S—CH₂*	A90	F, F ... O₂S—CH₂*
A91	NC—N(Me)—C(O)—CH₂*	A92	Br ... CH₂*	A93	... CH₂*
A94	F, F ... CH₂*	A95	HN—S(O₂)—Me ... CH₂*	A96	HN—C(O)—OEt ... CH₂*
A97	Me—N piperazine—C(O)—CH₂*	A98	Me—N piperazine—C(O)—CH*—F	A99	Me—N piperazine—C(O)—CH*—OH
A100	O morpholine—C(O)—CH*—F	A101	O morpholine—C(O)—CH*—OH	A102	O morpholine—C(O)—C*—F, F
A103	O morpholine—C(O)—CH*—OH	A104	N pyrrolidine—C(O)—CH*—OH	A105	N pyrrolidine—C(O)—CH*—F
A106	(CH₃)₂CHNH—C(O)—CH*—F	A107	(CH₃)₂CHNH—C(O)—CH*—OH	A108	HN piperazine—C(O)—CH*—F
A109	S thiophene ... O₂S—CH₂*	A110	S, N thiadiazole Me ... O₂S—CH₂*	A111	OMe, isoxazole Me ... O₂S—CH₂*

A112		A113		A114	
A115		A116			

TABLE 2

B1		B2		B3	
B4		B5		B6	
B7		B8		B9	
B10		B11		B12	

B13 Me O₂S *CH*	B14 Cl O₂S *CH*	B15 Me O₂S *CH*
B16 Me Me O₂S *CH*	B17 F₃C O₂S *CH*	B18 F₃CO O₂S *CH*
B19 Br O₂S *CH*	B20 O₂S *CH*	B21 N O₂S *CH*
B22 N O₂S *CH*	B23 N O₂S *CH*	B24 Cl Cl O₂S *CH*
B25 Me O₂S *CH*	B26 CF₃ O₂S *CH*	B27 OCF₃ O₂S *CH*
B28 Cl O₂S *CH*	B29 F O₂S *CH*	B30 Cl O₂S *CH*

B31	^tBu ... O₂S ... *CH*	B32	CF₃ ... O₂S ... *CH*	B33	CN ... O₂S ... *CH*
B34	Me ... F ... O₂S ... *CH*	B35	F ... O₂S ... *CH*	B36	F ... F ... O₂S ... *CH*
B37	F ... F ... O₂S ... *CH*	B38	Cl ... Cl ... O₂S ... *CH*	B39	OCHF₂ ... SO₂ ... *CH*
B40	CN ... O₂S ... *CH*	B41	NC ... O₂S ... *CH*	B42	OCF₃ ... O₂S ... *CH*
B43	F ... F ... O₂S ... *CH*	B44	O₂S ... *CH*	B45	*CH*
B46	F ... O₂S ... *CH*	B47	F ... F ... O₂S ... *CH*	B48	F ... F ... O₂S ... *CH*

B49		B50		B51	
B52		B53		B54	
B55		B56		B57	
B58		B59		B60	
B61		B62		B63	
B64		B65		B66	

B67		B68		B69	
B70		B71		B72	
B73		B74		B75	
B76		B77		B78	
B79		B80		B81	
B82		B83		B84	
B85		B86		B87	

B88		B89		B90	
B91		B92		B93	
B94		B95		B96	
B97		B98		B99	
B100		B101		B102	
B103		B104		B105	
B106		B107		B108	

B109	Me (structure)	B110	(structure)	B111	(structure)
B112	Me (structure)	B113	(structure)	B114	(structure)
B115	(structure)				

TABLE 3

C1	(structure)	C2	(structure)	C3	(structure)
C4	(structure)	C5	(structure)	C6	(structure)
C7	(structure)	C8	(structure)	C9	(structure)
C10	(structure)	C11	(structure)	C12	(structure)

C13	[structure]				

TABLE 4

D1	*C≡N	D2	[structure]	D3	[structure] CF₃
D4	[structure]	D5	[structure]	D6	[structure]
D7	[structure]	D8	[structure]	D9	[structure]
D10	[structure]	D11	[structure]	D12	[structure]
D13	[structure]	D14	[structure]	D15	[structure]
D16	[structure]	D17	[structure]	D18	[structure]
D19	[structure]	D20	[structure]	D21	[structure]
D22	[structure]	D23	[structure]	D24	[structure]

D25		D26		D27	
D28		D29		D30	
D31		D32		D33	
D34		D35		D36	
D37		D38		D39	
D40		D41		D42	
D43		D44		D45	
D46		D47		D48	
D49		D50		D51	
D52		D53		D54	

D55	[chemical structure: *C(=O)-1,3,4-oxadiazole with CF₃]	D56	[chemical structure: *C(=O)-1,2,4-oxadiazole with phenyl]		

TABLE 5

E1	[chemical structure]	E2	[chemical structure]	E3	[chemical structure]
E4	[chemical structure]	E5	[chemical structure]	E6	[chemical structure]
E7	[chemical structure]	E8	[chemical structure]	E9	[chemical structure]
E10	[chemical structure]	E11	[chemical structure]	E12	[chemical structure]
E13	[chemical structure]	E14	[chemical structure]	E15	[chemical structure]
E16	[chemical structure]	E17	[chemical structure]		

5

Particularly preferred compounds of "A", "B", "C" and "D" or "A", "B" and "E" combinations are illustrated in table 6:

75

TABLE 6

	A2-B4-C1-D2	55	A13-B45-C1-D2		A67-B86-C1-D2		A66-B5-C2-D2
	A3-B4-C1-D2		A24-B45-C1-D2		A39-B86-C1-D2		A2-B6-C2-D2
	A9-B4-C1-D2		A69-B45-C1-D2	110	A65-B86-C1-D2		A3-B6-C2-D2
5	A13-B4-C1-D2		A67-B45-C1-D2		A66-B86-C1-D2		A9-B6-C2-D2
	A24-B4-C1-D2		A39-B45-C1-D2		A2-B87-C1-D2	165	A13-B6-C2-D2
	A69-B4-C1-D2	60	A65-B45-C1-D2		A3-B87-C1-D2		A24-B6-C2-D2
	A67-B4-C1-D2		A66-B45-C1-D2		A9-B87-C1-D2		A69-B6-C2-D2
	A39-B4-C1-D2		A2-B53-C1-D2	115	A13-B87-C1-D2		A67-B6-C2-D2
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	A66-B4-C1-D2		A9-B53-C1-D2		A69-B87-C1-D2	170	A65-B6-C2-D2
	A2-B5-C1-D2	65	A13-B53-C1-D2		A67-B87-C1-D2		A66-B6-C2-D2
	A3-B5-C1-D2		A24-B53-C1-D2		A39-B87-C1-D2		A2-B32-C2-D2
	A9-B5-C1-D2		A69-B53-C1-D2	120	A65-B87-C1-D2		A3-B32-C2-D2
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	A24-B5-C1-D2		A39-B53-C1-D2		A2-B89-C1-D2	175	A13-B32-C2-D2
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	A67-B5-C1-D2		A66-B53-C1-D2		A9-B89-C1-D2		A69-B32-C2-D2
	A39-B5-C1-D2		A2-B79-C1-D2	125	A13-B89-C1-D2		A67-B32-C2-D2
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	A66-B5-C1-D2		A9-B79-C1-D2		A69-B89-C1-D2	180	A65-B32-C2-D2
	A2-B6-C1-D2	75	A13-B79-C1-D2		A67-B89-C1-D2		A66-B32-C2-D2
	A3-B6-C1-D2		A24-B79-C1-D2		A39-B89-C1-D2		A2-B39-C2-D2
	A9-B6-C1-D2		A69-B79-C1-D2	130	A65-B89-C1-D2		A3-B39-C2-D2
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	A24-B6-C1-D2		A39-B79-C1-D2		A2-B92-C1-D2	185	A13-B39-C2-D2
	A69-B6-C1-D2	80	A65-B79-C1-D2		A3-B92-C1-D2		A24-B39-C2-D2
	A67-B6-C1-D2		A66-B79-C1-D2		A9-B92-C1-D2		A69-B39-C2-D2
	A39-B6-C1-D2		A2-B80-C1-D2	135	A13-B92-C1-D2		A67-B39-C2-D2
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	A66-B6-C1-D2		A9-B80-C1-D2		A69-B92-C1-D2	190	A65-B39-C2-D2
	A2-B32-C1-D2	85	A13-B80-C1-D2		A67-B92-C1-D2	·	A66-B39-C2-D2
	A3-B32-C1-D2		A24-B80-C1-D2		A39-B92-C1-D2		A2-B45-C2-D2
	A9-B32-C1-D2		A69-B80-C1-D2	140	A65-B92-C1-D2		A3-B45-C2-D2
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	A69-B32-C1-D2	90	A65-B80-C1-D2		A3-B4-C2-D2		A24-B45-C2-D2
	A67-B32-C1-D2		A66-B80-C1-D2		A9-B4-C2-D2		A69-B45-C2-D2
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	A3-B45-C1-D2		A24-B86-C1-D2		A39-B5-C2-D2		A2-B79-C2-D2
	A9-B45-C1-D2		A69-B86-C1-D2	160	A65-B5-C2-D2		A3-B79-C2-D2

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	A13-B79-C2-D2		A65-B89-C2-D2		A13-B39-C3-D2		A65-B85-C3-D2
	A24-B79-C2-D2		A66-B89-C2-D2		A24-B39-C3-D2		A66-B85-C3-D2
	A69-B79-C2-D2		A2-B92-C2-D2		A69-B39-C3-D2		A2-B86-C3-D2
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	A69-B87-C2-D2		A2-B32-C3-D2		A69-B80-C3-D2		A2-B4-C4-D2
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	A65-B87-C2-D2		A13-B32-C3-D2		A65-B80-C3-D2		A13-B4-C4-D2
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	A69-B89-C2-D2		A2-B39-C3-D2		A69-B85-C3-D2		A2-B5-C4-D2
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	A9-B5-C4-D2		A39-B53-C4-D2		A9-B89-C4-D2		A39-B32-C5-D2
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	A2-B53-C4-D2		A69-B87-C4-D2		A2-B32-C5-D2		A69-B80-C5-D2
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	A13-B53-C4-D2		A65-B87-C4-D2		A13-B32-C5-D2		A65-B80-C5-D2
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	A9-B85-C5-D2		A39-B4-C6-D2		A9-B53-C6-D2		A39-B87-C6-D2
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	A69-B85-C5-D2		A2-B5-C6-D2		A69-B53-C6-D2		A2-B89-C6-D2
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	A39-B85-C5-D2		A9-B5-C6-D2		A39-B53-C6-D2		A9-B89-C6-D2
	A65-B85-C5-D2		A13-B5-C6-D2		A65-B53-C6-D2		A13-B89-C6-D2
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	A69-B87-C5-D2		A2-B32-C6-D2		A69-B80-C6-D2		A2-B4-C7-D2
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	A69-B89-C5-D2		A2-B39-C6-D2		A69-B85-C6-D2		A2-B5-C7-D2
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	A69-B92-C5-D2		A2-B45-C6-D2		A69-B86-C6-D2		A2-B6-C7-D2
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	A9-B32-C7-D2		A39-B80-C7-D2		A9-B4-C8-D2		A39-B45-C8-D2
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	A69-B32-C7-D2		A2-B85-C7-D2		A69-B4-C8-D2		A2-B53-C8-D2
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	A9-B4-C9-D2		A39-B45-C9-D2		A9-B87-C9-D2		A39-B6-C10-D2
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	A24-B4-C9-D2		A66-B45-C9-D2		A24-B87-C9-D2		A66-B6-C10-D2
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81

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A39-B39-C5-D3	A9-B86-C5-D3	A39-B5-C6-D3	A9-B79-C6-D3
A65-B39-C5-D3	A13-B86-C5-D3	A65-B5-C6-D3	A13-B79-C6-D3
A66-B39-C5-D3	A24-B86-C5-D3	A66-B5-C6-D3	A24-B79-C6-D3
A2-B45-C5-D3	A69-B86-C5-D3	A2-B6-C6-D3	A69-B79-C6-D3
20 A3-B45-C5-D3	75 A67-B86-C5-D3	130 A3-B6-C6-D3	185 A67-B79-C6-D3
A9-B45-C5-D3	A39-B86-C5-D3	A9-B6-C6-D3	A39-B79-C6-D3
A13-B45-C5-D3	A65-B86-C5-D3	A13-B6-C6-D3	A65-B79-C6-D3
A24-B45-C5-D3	A66-B86-C5-D3	A24-B6-C6-D3	A66-B79-C6-D3
A69-B45-C5-D3	A2-B87-C5-D3	A69-B6-C6-D3	A2-B80-C6-D3
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A39-B45-C5-D3	A9-B87-C5-D3	A39-B6-C6-D3	A9-B80-C6-D3
A65-B45-C5-D3	A13-B87-C5-D3	A65-B6-C6-D3	A13-B80-C6-D3
A66-B45-C5-D3	A24-B87-C5-D3	A66-B6-C6-D3	A24-B80-C6-D3
A2-B53-C5-D3	A69-B87-C5-D3	A2-B32-C6-D3	A69-B80-C6-D3
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A9-B53-C5-D3	A39-B87-C5-D3	A9-B32-C6-D3	A39-B80-C6-D3
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A69-B53-C5-D3	A2-B89-C5-D3	A69-B32-C6-D3	A2-B85-C6-D3
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A66-B79-C5-D3	A24-B92-C5-D3	A66-B39-C6-D3	A24-B86-C6-D3
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A9-B80-C5-D3	A39-B92-C5-D3	A9-B45-C6-D3	A39-B86-C6-D3
A13-B80-C5-D3	A65-B92-C5-D3	A13-B45-C6-D3	A65-B86-C6-D3
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A69-B80-C5-D3	A2-B4-C6-D3	A69-B45-C6-D3	A2-B87-C6-D3
55 A67-B80-C5-D3	110 A3-B4-C6-D3	165 A67-B45-C6-D3	220 A3-B87-C6-D3

	Col 1		Col 2		Col 3		Col 4
	A9-B87-C6-D3		A39-B6-C7-D3		A9-B80-C7-D3		A39-B92-C7-D3
	A13-B87-C6-D3		A65-B6-C7-D3		A13-B80-C7-D3		A65-B92-C7-D3
	A24-B87-C6-D3		A66-B6-C7-D3		A24-B80-C7-D3		A66-B92-C7-D3
	A69-B87-C6-D3		A2-B32-C7-D3		A69-B80-C7-D3		A2-B4-C8-D3
5	A67-B87-C6-D3	60	A3-B32-C7-D3	115	A67-B80-C7-D3	170	A3-B4-C8-D3
	A39-B87-C6-D3		A9-B32-C7-D3		A39-B80-C7-D3		A9-B4-C8-D3
	A65-B87-C6-D3		A13-B32-C7-D3		A65-B80-C7-D3		A13-B4-C8-D3
	A66-B87-C6-D3		A24-B32-C7-D3		A66-B80-C7-D3		A24-B4-C8-D3
	A2-B89-C6-D3		A69-B32-C7-D3		A2-B85-C7-D3		A69-B4-C8-D3
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	A9-B89-C6-D3		A39-B32-C7-D3		A9-B85-C7-D3		A39-B4-C8-D3
	A13-B89-C6-D3		A65-B32-C7-D3		A13-B85-C7-D3		A65-B4-C8-D3
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	A69-B89-C6-D3		A2-B39-C7-D3		A69-B85-C7-D3		A2-B5-C8-D3
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	A39-B89-C6-D3		A9-B39-C7-D3		A39-B85-C7-D3		A9-B5-C8-D3
	A65-B89-C6-D3		A13-B39-C7-D3		A65-B85-C7-D3		A13-B5-C8-D3
	A66-B89-C6-D3		A24-B39-C7-D3		A66-B85-C7-D3		A24-B5-C8-D3
	A2-B92-C6-D3		A69-B39-C7-D3		A2-B86-C7-D3		A69-B5-C8-D3
20	A3-B92-C6-D3	75	A67-B39-C7-D3	130	A3-B86-C7-D3	185	A67-B5-C8-D3
	A9-B92-C6-D3		A39-B39-C7-D3		A9-B86-C7-D3		A39-B5-C8-D3
	A13-B92-C6-D3		A65-B39-C7-D3		A13-B86-C7-D3		A65-B5-C8-D3
	A24-B92-C6-D3		A66-B39-C7-D3		A24-B86-C7-D3		A66-B5-C8-D3
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	A66-B92-C6-D3		A24-B45-C7-D3		A66-B86-C7-D3		A24-B6-C8-D3
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	A13-B4-C7-D3		A65-B45-C7-D3		A13-B87-C7-D3		A65-B6-C8-D3
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	A65-B4-C7-D3		A13-B53-C7-D3		A65-B87-C7-D3		A13-B32-C8-D3
	A66-B4-C7-D3		A24-B53-C7-D3		A66-B87-C7-D3		A24-B32-C8-D3
	A2-B5-C7-D3		A69-B53-C7-D3		A2-B89-C7-D3		A69-B32-C8-D3
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	A9-B5-C7-D3		A39-B53-C7-D3		A9-B89-C7-D3		A39-B32-C8-D3
	A13-B5-C7-D3		A65-B53-C7-D3		A13-B89-C7-D3		A65-B32-C8-D3
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	A69-B5-C7-D3		A2-B79-C7-D3		A69-B89-C7-D3		A2-B39-C8-D3
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	A69-B45-C8-D3		A2-B87-C8-D3		A69-B6-C9-D3		A2-B80-C9-D3
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	A69-B85-C8-D3		A2-B5-C9-D3		A69-B53-C9-D3		A2-B89-C9-D3
45	A67-B85-C8-D3	100	A3-B5-C9-D3	155	A67-B53-C9-D3	210	A3-B89-C9-D3
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	A2-B86-C8-D3		A69-B5-C9-D3		A2-B79-C9-D3		A69-B89-C9-D3
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	A24-B86-C8-D3		A66-B5-C9-D3		A24-B79-C9-D3		A66-B89-C9-D3
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A9-B92-C9-D3
A13-B92-C9-D3
A24-B92-C9-D3
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5 A67-B92-C9-D3
A39-B92-C9-D3
A65-B92-C9-D3
A66-B92-C9-D3
A2-B4-C10-D3
10 A3-B4-C10-D3
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103

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	A69-B45-C10-D6		A2-B87-C10-D6		A69-B6-C11-D6		A2-B80-C11-D6
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	A66-B85-C13-D6		A24-B5-C1-D7		A66-B53-C1-D7		A24-B89-C1-D7
	A2-B86-C13-D6		A69-B5-C1-D7		A2-B79-C1-D7		A69-B89-C1-D7
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	A9-B86-C13-D6		A39-B5-C1-D7		A9-B79-C1-D7		A39-B89-C1-D7
	A13-B86-C13-D6		A65-B5-C1-D7		A13-B79-C1-D7		A65-B89-C1-D7
	A24-B86-C13-D6		A66-B5-C1-D7		A24-B79-C1-D7		A66-B89-C1-D7
	A69-B86-C13-D6		A2-B6-C1-D7		A69-B79-C1-D7		A2-B92-C1-D7
55	A67-B86-C13-D6	110	A3-B6-C1-D7	165	A67-B79-C1-D7	220	A3-B92-C1-D7

A9-B92-C1-D7	A39-B39-C2-D7	A9-B86-C2-D7	A39-B5-C3-D7
A13-B92-C1-D7	A65-B39-C2-D7	A13-B86-C2-D7	A65-B5-C3-D7
A24-B92-C1-D7	A66-B39-C2-D7	A24-B86-C2-D7	A66-B5-C3-D7
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A65-B92-C1-D7	A13-B45-C2-D7	A65-B86-C2-D7	A13-B6-C3-D7
A66-B92-C1-D7	A24-B45-C2-D7	A66-B86-C2-D7	A24-B6-C3-D7
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A9-B4-C2-D7	A39-B45-C2-D7	A9-B87-C2-D7	A39-B6-C3-D7
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A39-B4-C2-D7	A9-B53-C2-D7	A39-B87-C2-D7	A9-B32-C3-D7
A65-B4-C2-D7	A13-B53-C2-D7	A65-B87-C2-D7	A13-B32-C3-D7
A66-B4-C2-D7	A24-B53-C2-D7	A66-B87-C2-D7	A24-B32-C3-D7
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A9-B5-C2-D7	A39-B53-C2-D7	A9-B89-C2-D7	A39-B32-C3-D7
A13-B5-C2-D7	A65-B53-C2-D7	A13-B89-C2-D7	A65-B32-C3-D7
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A69-B5-C2-D7	A2-B79-C2-D7	A69-B89-C2-D7	A2-B39-C3-D7
25 A67-B5-C2-D7	80 A3-B79-C2-D7	135 A67-B89-C2-D7	190 A3-B39-C3-D7
A39-B5-C2-D7	A9-B79-C2-D7	A39-B89-C2-D7	A9-B39-C3-D7
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A9-B32-C2-D7	A39-B80-C2-D7	A9-B4-C3-D7	A39-B45-C3-D7
A13-B32-C2-D7	A65-B80-C2-D7	A13-B4-C3-D7	A65-B45-C3-D7
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A69-B32-C2-D7	A2-B85-C2-D7	A69-B4-C3-D7	A2-B53-C3-D7
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A39-B32-C2-D7	A9-B85-C2-D7	A39-B4-C3-D7	A9-B53-C3-D7
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A66-B32-C2-D7	A24-B85-C2-D7	A66-B4-C3-D7	A24-B53-C3-D7
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A9-B39-C2-D7	A39-B85-C2-D7	A9-B5-C3-D7	A39-B53-C3-D7
A13-B39-C2-D7	A65-B85-C2-D7	A13-B5-C3-D7	A65-B53-C3-D7
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A69-B39-C2-D7	A2-B86-C2-D7	A69-B5-C3-D7	A2-B79-C3-D7
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	A9-B79-C3-D7		A39-B89-C3-D7		A9-B39-C4-D7		A39-B85-C4-D7
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	A24-B79-C3-D7		A66-B89-C3-D7		A24-B39-C4-D7		A66-B85-C4-D7
	A69-B79-C3-D7		A2-B92-C3-D7		A69-B39-C4-D7		A2-B86-C4-D7
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	A39-B79-C3-D7		A9-B92-C3-D7		A39-B39-C4-D7		A9-B86-C4-D7
	A65-B79-C3-D7		A13-B92-C3-D7		A65-B39-C4-D7		A13-B86-C4-D7
	A66-B79-C3-D7		A24-B92-C3-D7		A66-B39-C4-D7		A24-B86-C4-D7
	A2-B80-C3-D7		A69-B92-C3-D7		A2-B45-C4-D7		A69-B86-C4-D7
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	A9-B80-C3-D7		A39-B92-C3-D7		A9-B45-C4-D7		A39-B86-C4-D7
	A13-B80-C3-D7		A65-B92-C3-D7		A13-B45-C4-D7		A65-B86-C4-D7
	A24-B80-C3-D7		A66-B92-C3-D7		A24-B45-C4-D7		A66-B86-C4-D7
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	A39-B80-C3-D7		A9-B4-C4-D7		A39-B45-C4-D7		A9-B87-C4-D7
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	A9-B85-C3-D7		A39-B4-C4-D7		A9-B53-C4-D7		A39-B87-C4-D7
	A13-B85-C3-D7		A65-B4-C4-D7		A13-B53-C4-D7		A65-B87-C4-D7
	A24-B85-C3-D7		A66-B4-C4-D7		A24-B53-C4-D7		A66-B87-C4-D7
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	A24-B86-C3-D7		A66-B5-C4-D7		A24-B79-C4-D7		A66-B89-C4-D7
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	A39-B86-C3-D7		A9-B6-C4-D7		A39-B79-C4-D7		A9-B92-C4-D7
	A65-B86-C3-D7		A13-B6-C4-D7		A65-B79-C4-D7		A13-B92-C4-D7
	A66-B86-C3-D7		A24-B6-C4-D7		A66-B79-C4-D7		A24-B92-C4-D7
	A2-B87-C3-D7		A69-B6-C4-D7		A2-B80-C4-D7		A69-B92-C4-D7
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	A13-B87-C3-D7		A65-B6-C4-D7		A13-B80-C4-D7		A65-B92-C4-D7
	A24-B87-C3-D7		A66-B6-C4-D7		A24-B80-C4-D7		A66-B92-C4-D7
	A69-B87-C3-D7		A2-B32-C4-D7		A69-B80-C4-D7		A2-B4-C5-D7
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	A66-B87-C3-D7		A24-B32-C4-D7		A66-B80-C4-D7		A24-B4-C5-D7
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	A9-B89-C3-D7		A39-B32-C4-D7		A9-B85-C4-D7		A39-B4-C5-D7
	A13-B89-C3-D7		A65-B32-C4-D7		A13-B85-C4-D7		A65-B4-C5-D7
	A24-B89-C3-D7		A66-B32-C4-D7		A24-B85-C4-D7		A66-B4-C5-D7
	A69-B89-C3-D7		A2-B39-C4-D7		A69-B85-C4-D7		A2-B5-C5-D7
55	A67-B89-C3-D7	110	A3-B39-C4-D7	165	A67-B85-C4-D7	220	A3-B5-C5-D7

	Col 1		Col 2		Col 3		Col 4
	A9-B5-C5-D7		A39-B53-C5-D7		A9-B89-C5-D7		A39-B32-C6-D7
	A13-B5-C5-D7		A65-B53-C5-D7		A13-B89-C5-D7		A65-B32-C6-D7
	A24-B5-C5-D7		A66-B53-C5-D7		A24-B89-C5-D7		A66-B32-C6-D7
	A69-B5-C5-D7		A2-B79-C5-D7		A69-B89-C5-D7		A2-B39-C6-D7
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	A65-B6-C5-D7		A13-B80-C5-D7		A65-B92-C5-D7		A13-B45-C6-D7
	A66-B6-C5-D7		A24-B80-C5-D7		A66-B92-C5-D7		A24-B45-C6-D7
	A2-B32-C5-D7		A69-B80-C5-D7		A2-B4-C6-D7		A69-B45-C6-D7
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	A69-B32-C5-D7		A2-B85-C5-D7		A69-B4-C6-D7		A2-B53-C6-D7
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	A2-B39-C5-D7		A69-B85-C5-D7		A2-B5-C6-D7		A69-B53-C6-D7
30	A3-B39-C5-D7	85	A67-B85-C5-D7	140	A3-B5-C6-D7	195	A67-B53-C6-D7
	A9-B39-C5-D7		A39-B85-C5-D7		A9-B5-C6-D7		A39-B53-C6-D7
	A13-B39-C5-D7		A65-B85-C5-D7		A13-B5-C6-D7		A65-B53-C6-D7
	A24-B39-C5-D7		A66-B85-C5-D7		A24-B5-C6-D7		A66-B53-C6-D7
	A69-B39-C5-D7		A2-B86-C5-D7		A69-B5-C6-D7		A2-B79-C6-D7
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	A66-B39-C5-D7		A24-B86-C5-D7		A66-B5-C6-D7		A24-B79-C6-D7
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	A13-B92-C9-D7		A65-B39-C10-D7		A13-B86-C10-D7		A65-B5-C11-D7
	A24-B92-C9-D7		A66-B39-C10-D7		A24-B86-C10-D7		A66-B5-C11-D7
	A69-B92-C9-D7		A2-B45-C10-D7		A69-B86-C10-D7		A2-B6-C11-D7
25	A67-B92-C9-D7	80	A3-B45-C10-D7	135	A67-B86-C10-D7	190	A3-B6-C11-D7
	A39-B92-C9-D7		A9-B45-C10-D7		A39-B86-C10-D7		A9-B6-C11-D7
	A65-B92-C9-D7		A13-B45-C10-D7		A65-B86-C10-D7		A13-B6-C11-D7
	A66-B92-C9-D7		A24-B45-C10-D7		A66-B86-C10-D7		A24-B6-C11-D7
	A2-B4-C10-D7		A69-B45-C10-D7		A2-B87-C10-D7		A69-B6-C11-D7
30	A3-B4-C10-D7	85	A67-B45-C10-D7	140	A3-B87-C10-D7	195	A67-B6-C11-D7
	A9-B4-C10-D7		A39-B45-C10-D7		A9-B87-C10-D7		A39-B6-C11-D7
	A13-B4-C10-D7		A65-B45-C10-D7		A13-B87-C10-D7		A65-B6-C11-D7
	A24-B4-C10-D7		A66-B45-C10-D7		A24-B87-C10-D7		A66-B6-C11-D7
	A69-B4-C10-D7		A2-B53-C10-D7		A69-B87-C10-D7		A2-B32-C11-D7
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	A39-B4-C10-D7		A9-B53-C10-D7		A39-B87-C10-D7		A9-B32-C11-D7
	A65-B4-C10-D7		A13-B53-C10-D7		A65-B87-C10-D7		A13-B32-C11-D7
	A66-B4-C10-D7		A24-B53-C10-D7		A66-B87-C10-D7		A24-B32-C11-D7
	A2-B5-C10-D7		A69-B53-C10-D7		A2-B89-C10-D7		A69-B32-C11-D7
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	A9-B5-C10-D7		A39-B53-C10-D7		A9-B89-C10-D7		A39-B32-C11-D7
	A13-B5-C10-D7		A65-B53-C10-D7		A13-B89-C10-D7		A65-B32-C11-D7
	A24-B5-C10-D7		A66-B53-C10-D7		A24-B89-C10-D7		A66-B32-C11-D7
	A69-B5-C10-D7		A2-B79-C10-D7		A69-B89-C10-D7		A2-B39-C11-D7
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	A39-B5-C10-D7		A9-B79-C10-D7		A39-B89-C10-D7		A9-B39-C11-D7
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	A66-B5-C10-D7		A24-B79-C10-D7		A66-B89-C10-D7		A24-B39-C11-D7
	A2-B6-C10-D7		A69-B79-C10-D7		A2-B92-C10-D7		A69-B39-C11-D7
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	A9-B6-C10-D7		A39-B79-C10-D7		A9-B92-C10-D7		A39-B39-C11-D7
	A13-B6-C10-D7		A65-B79-C10-D7		A13-B92-C10-D7		A65-B39-C11-D7
	A24-B6-C10-D7		A66-B79-C10-D7		A24-B92-C10-D7		A66-B39-C11-D7
	A69-B6-C10-D7		A2-B80-C10-D7		A69-B92-C10-D7		A2-B45-C11-D7
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123

	A9-B45-C11-D7		A39-B86-C11-D7		A9-B6-C12-D7		A39-B79-C12-D7
	A13-B45-C11-D7		A65-B86-C11-D7		A13-B6-C12-D7		A65-B79-C12-D7
	A24-B45-C11-D7		A66-B86-C11-D7		A24-B6-C12-D7		A66-B79-C12-D7
	A69-B45-C11-D7		A2-B87-C11-D7		A69-B6-C12-D7		A2-B80-C12-D7
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	A39-B45-C11-D7		A9-B87-C11-D7		A39-B6-C12-D7		A9-B80-C12-D7
	A65-B45-C11-D7		A13-B87-C11-D7		A65-B6-C12-D7		A13-B80-C12-D7
	A66-B45-C11-D7		A24-B87-C11-D7		A66-B6-C12-D7		A24-B80-C12-D7
	A2-B53-C11-D7		A69-B87-C11-D7		A2-B32-C12-D7		A69-B80-C12-D7
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	A9-B53-C11-D7		A39-B87-C11-D7		A9-B32-C12-D7		A39-B80-C12-D7
	A13-B53-C11-D7		A65-B87-C11-D7		A13-B32-C12-D7		A65-B80-C12-D7
	A24-B53-C11-D7		A66-B87-C11-D7		A24-B32-C12-D7		A66-B80-C12-D7
	A69-B53-C11-D7		A2-B89-C11-D7		A69-B32-C12-D7		A2-B85-C12-D7
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	A39-B53-C11-D7		A9-B89-C11-D7		A39-B32-C12-D7		A9-B85-C12-D7
	A65-B53-C11-D7		A13-B89-C11-D7		A65-B32-C12-D7		A13-B85-C12-D7
	A66-B53-C11-D7		A24-B89-C11-D7		A66-B32-C12-D7		A24-B85-C12-D7
	A2-B79-C11-D7		A69-B89-C11-D7		A2-B39-C12-D7		A69-B85-C12-D7
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	A9-B79-C11-D7		A39-B89-C11-D7		A9-B39-C12-D7		A39-B85-C12-D7
	A13-B79-C11-D7		A65-B89-C11-D7		A13-B39-C12-D7		A65-B85-C12-D7
	A24-B79-C11-D7		A66-B89-C11-D7		A24-B39-C12-D7		A66-B85-C12-D7
	A69-B79-C11-D7		A2-B92-C11-D7		A69-B39-C12-D7		A2-B86-C12-D7
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	A65-B79-C11-D7		A13-B92-C11-D7		A65-B39-C12-D7		A13-B86-C12-D7
	A66-B79-C11-D7		A24-B92-C11-D7		A66-B39-C12-D7		A24-B86-C12-D7
	A2-B80-C11-D7		A69-B92-C11-D7		A2-B45-C12-D7		A69-B86-C12-D7
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	A9-B80-C11-D7		A39-B92-C11-D7		A9-B45-C12-D7		A39-B86-C12-D7
	A13-B80-C11-D7		A65-B92-C11-D7		A13-B45-C12-D7		A65-B86-C12-D7
	A24-B80-C11-D7		A66-B92-C11-D7		A24-B45-C12-D7		A66-B86-C12-D7
	A69-B80-C11-D7		A2-B4-C12-D7		A69-B45-C12-D7		A2-B87-C12-D7
35	A67-B80-C11-D7	90	A3-B4-C12-D7	145	A67-B45-C12-D7	200	A3-B87-C12-D7
	A39-B80-C11-D7		A9-B4-C12-D7		A39-B45-C12-D7		A9-B87-C12-D7
	A65-B80-C11-D7		A13-B4-C12-D7		A65-B45-C12-D7		A13-B87-C12-D7
	A66-B80-C11-D7		A24-B4-C12-D7		A66-B45-C12-D7		A24-B87-C12-D7
	A2-B85-C11-D7		A69-B4-C12-D7		A2-B53-C12-D7		A69-B87-C12-D7
40	A3-B85-C11-D7	95	A67-B4-C12-D7	150	A3-B53-C12-D7	205	A67-B87-C12-D7
	A9-B85-C11-D7		A39-B4-C12-D7		A9-B53-C12-D7		A39-B87-C12-D7
	A13-B85-C11-D7		A65-B4-C12-D7		A13-B53-C12-D7		A65-B87-C12-D7
	A24-B85-C11-D7		A66-B4-C12-D7		A24-B53-C12-D7		A66-B87-C12-D7
	A69-B85-C11-D7		A2-B5-C12-D7		A69-B53-C12-D7		A2-B89-C12-D7
45	A67-B85-C11-D7	100	A3-B5-C12-D7	155	A67-B53-C12-D7	210	A3-B89-C12-D7
	A39-B85-C11-D7		A9-B5-C12-D7		A39-B53-C12-D7		A9-B89-C12-D7
	A65-B85-C11-D7		A13-B5-C12-D7		A65-B53-C12-D7		A13-B89-C12-D7
	A66-B85-C11-D7		A24-B5-C12-D7		A66-B53-C12-D7		A24-B89-C12-D7
	A2-B86-C11-D7		A69-B5-C12-D7		A2-B79-C12-D7		A69-B89-C12-D7
50	A3-B86-C11-D7	105	A67-B5-C12-D7	160	A3-B79-C12-D7	215	A67-B89-C12-D7
	A9-B86-C11-D7		A39-B5-C12-D7		A9-B79-C12-D7		A39-B89-C12-D7
	A13-B86-C11-D7		A65-B5-C12-D7		A13-B79-C12-D7		A65-B89-C12-D7
	A24-B86-C11-D7		A66-B5-C12-D7		A24-B79-C12-D7		A66-B89-C12-D7
	A69-B86-C11-D7		A2-B6-C12-D7		A69-B79-C12-D7		A2-B92-C12-D7
55	A67-B86-C11-D7	110	A3-B6-C12-D7	165	A67-B79-C12-D7	220	A3-B92-C12-D7

	Column 1		Column 2		Column 3		Column 4
	A9-B92-C12-D7		A39-B39-C13-D7		A9-B86-C13-D7		A39-B5-C1-D8
	A13-B92-C12-D7		A65-B39-C13-D7		A13-B86-C13-D7		A65-B5-C1-D8
	A24-B92-C12-D7		A66-B39-C13-D7		A24-B86-C13-D7		A66-B5-C1-D8
	A69-B92-C12-D7		A2-B45-C13-D7		A69-B86-C13-D7		A2-B6-C1-D8
5	A67-B92-C12-D7	60	A3-B45-C13-D7	115	A67-B86-C13-D7	170	A3-B6-C1-D8
	A39-B92-C12-D7		A9-B45-C13-D7		A39-B86-C13-D7		A9-B6-C1-D8
	A65-B92-C12-D7		A13-B45-C13-D7		A65-B86-C13-D7		A13-B6-C1-D8
	A66-B92-C12-D7		A24-B45-C13-D7		A66-B86-C13-D7		A24-B6-C1-D8
	A2-B4-C13-D7		A69-B45-C13-D7		A2-B87-C13-D7		A69-B6-C1-D8
10	A3-B4-C13-D7	65	A67-B45-C13-D7	120	A3-B87-C13-D7	175	A67-B6-C1-D8
	A9-B4-C13-D7		A39-B45-C13-D7		A9-B87-C13-D7		A39-B6-C1-D8
	A13-B4-C13-D7		A65-B45-C13-D7		A13-B87-C13-D7		A65-B6-C1-D8
	A24-B4-C13-D7		A66-B45-C13-D7		A24-B87-C13-D7		A66-B6-C1-D8
	A69-B4-C13-D7		A2-B53-C13-D7		A69-B87-C13-D7		A2-B32-C1-D8
15	A67-B4-C13-D7	70	A3-B53-C13-D7	125	A67-B87-C13-D7	180	A3-B32-C1-D8
	A39-B4-C13-D7		A9-B53-C13-D7		A39-B87-C13-D7		A9-B32-C1-D8
	A65-B4-C13-D7		A13-B53-C13-D7		A65-B87-C13-D7		A13-B32-C1-D8
	A66-B4-C13-D7		A24-B53-C13-D7		A66-B87-C13-D7		A24-B32-C1-D8
	A2-B5-C13-D7		A69-B53-C13-D7		A2-B89-C13-D7		A69-B32-C1-D8
20	A3-B5-C13-D7	75	A67-B53-C13-D7	130	A3-B89-C13-D7	185	A67-B32-C1-D8
	A9-B5-C13-D7		A39-B53-C13-D7		A9-B89-C13-D7		A39-B32-C1-D8
	A13-B5-C13-D7		A65-B53-C13-D7		A13-B89-C13-D7		A65-B32-C1-D8
	A24-B5-C13-D7		A66-B53-C13-D7		A24-B89-C13-D7		A66-B32-C1-D8
	A69-B5-C13-D7		A2-B79-C13-D7		A69-B89-C13-D7		A2-B39-C1-D8
25	A67-B5-C13-D7	80	A3-B79-C13-D7	135	A67-B89-C13-D7	190	A3-B39-C1-D8
	A39-B5-C13-D7		A9-B79-C13-D7		A39-B89-C13-D7		A9-B39-C1-D8
	A65-B5-C13-D7		A13-B79-C13-D7		A65-B89-C13-D7		A13-B39-C1-D8
	A66-B5-C13-D7		A24-B79-C13-D7		A66-B89-C13-D7		A24-B39-C1-D8
	A2-B6-C13-D7		A69-B79-C13-D7		A2-B92-C13-D7		A69-B39-C1-D8
30	A3-B6-C13-D7	85	A67-B79-C13-D7	140	A3-B92-C13-D7	195	A67-B39-C1-D8
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	A13-B6-C13-D7		A65-B79-C13-D7		A13-B92-C13-D7		A65-B39-C1-D8
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	A69-B6-C13-D7		A2-B80-C13-D7		A69-B92-C13-D7		A2-B45-C1-D8
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	A9-B32-C13-D7		A39-B80-C13-D7		A9-B4-C1-D8		A39-B45-C1-D8
	A13-B32-C13-D7		A65-B80-C13-D7		A13-B4-C1-D8		A65-B45-C1-D8
	A24-B32-C13-D7		A66-B80-C13-D7		A24-B4-C1-D8		A66-B45-C1-D8
	A69-B32-C13-D7		A2-B85-C13-D7		A69-B4-C1-D8		A2-B53-C1-D8
45	A67-B32-C13-D7	100	A3-B85-C13-D7	155	A67-B4-C1-D8	210	A3-B53-C1-D8
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	A65-B32-C13-D7		A13-B85-C13-D7		A65-B4-C1-D8		A13-B53-C1-D8
	A66-B32-C13-D7		A24-B85-C13-D7		A66-B4-C1-D8		A24-B53-C1-D8
	A2-B39-C13-D7		A69-B85-C13-D7		A2-B5-C1-D8		A69-B53-C1-D8
50	A3-B39-C13-D7	105	A67-B85-C13-D7	160	A3-B5-C1-D8	215	A67-B53-C1-D8
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	A24-B39-C13-D7		A66-B85-C13-D7		A24-B5-C1-D8		A66-B53-C1-D8
	A69-B39-C13-D7		A2-B86-C13-D7		A69-B5-C1-D8		A2-B79-C1-D8
55	A67-B39-C13-D7	110	A3-B86-C13-D7	165	A67-B5-C1-D8	220	A3-B79-C1-D8

	A9-B79-C1-D8		A39-B89-C1-D8		A9-B39-C2-D8		A39-B85-C2-D8
	A13-B79-C1-D8		A65-B89-C1-D8		A13-B39-C2-D8		A65-B85-C2-D8
	A24-B79-C1-D8		A66-B89-C1-D8		A24-B39-C2-D8		A66-B85-C2-D8
	A69-B79-C1-D8		A2-B92-C1-D8		A69-B39-C2-D8		A2-B86-C2-D8
5	A67-B79-C1-D8	60	A3-B92-C1-D8	115	A67-B39-C2-D8	170	A3-B86-C2-D8
	A39-B79-C1-D8		A9-B92-C1-D8		A39-B39-C2-D8		A9-B86-C2-D8
	A65-B79-C1-D8		A13-B92-C1-D8		A65-B39-C2-D8		A13-B86-C2-D8
	A66-B79-C1-D8		A24-B92-C1-D8		A66-B39-C2-D8		A24-B86-C2-D8
	A2-B80-C1-D8		A69-B92-C1-D8		A2-B45-C2-D8		A69-B86-C2-D8
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	A9-B80-C1-D8		A39-B92-C1-D8		A9-B45-C2-D8		A39-B86-C2-D8
	A13-B80-C1-D8		A65-B92-C1-D8		A13-B45-C2-D8		A65-B86-C2-D8
	A24-B80-C1-D8		A66-B92-C1-D8		A24-B45-C2-D8		A66-B86-C2-D8
	A69-B80-C1-D8		A2-B4-C2-D8		A69-B45-C2-D8		A2-B87-C2-D8
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	A39-B80-C1-D8		A9-B4-C2-D8		A39-B45-C2-D8		A9-B87-C2-D8
	A65-B80-C1-D8		A13-B4-C2-D8		A65-B45-C2-D8		A13-B87-C2-D8
	A66-B80-C1-D8		A24-B4-C2-D8		A66-B45-C2-D8		A24-B87-C2-D8
	A2-B85-C1-D8		A69-B4-C2-D8		A2-B53-C2-D8		A69-B87-C2-D8
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	A9-B85-C1-D8		A39-B4-C2-D8		A9-B53-C2-D8		A39-B87-C2-D8
	A13-B85-C1-D8		A65-B4-C2-D8		A13-B53-C2-D8		A65-B87-C2-D8
	A24-B85-C1-D8		A66-B4-C2-D8		A24-B53-C2-D8		A66-B87-C2-D8
	A69-B85-C1-D8		A2-B5-C2-D8		A69-B53-C2-D8		A2-B89-C2-D8
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	A2-B86-C1-D8		A69-B5-C2-D8		A2-B79-C2-D8		A69-B89-C2-D8
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	A24-B86-C1-D8		A66-B5-C2-D8		A24-B79-C2-D8		A66-B89-C2-D8
	A69-B86-C1-D8		A2-B6-C2-D8		A69-B79-C2-D8		A2-B92-C2-D8
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	A39-B86-C1-D8		A9-B6-C2-D8		A39-B79-C2-D8		A9-B92-C2-D8
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	A2-B87-C1-D8		A69-B6-C2-D8		A2-B80-C2-D8		A69-B92-C2-D8
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	A39-B87-C1-D8		A9-B32-C2-D8		A39-B80-C2-D8		A9-B4-C3-D8
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	A2-B89-C1-D8		A69-B32-C2-D8		A2-B85-C2-D8		A69-B4-C3-D8
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	A69-B89-C1-D8		A2-B39-C2-D8		A69-B85-C2-D8		A2-B5-C3-D8
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	A9-B5-C3-D8			A39-B53-C3-D8			A9-B89-C3-D8			A39-B32-C4-D8
	A13-B5-C3-D8			A65-B53-C3-D8			A13-B89-C3-D8			A65-B32-C4-D8
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	A69-B5-C3-D8			A2-B79-C3-D8			A69-B89-C3-D8			A2-B39-C4-D8
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	A65-B5-C3-D8			A13-B79-C3-D8			A65-B89-C3-D8			A13-B39-C4-D8
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	A2-B6-C3-D8			A69-B79-C3-D8			A2-B92-C3-D8			A69-B39-C4-D8
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	A65-B6-C3-D8			A13-B80-C3-D8			A65-B92-C3-D8			A13-B45-C4-D8
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	A69-B32-C3-D8			A2-B85-C3-D8			A69-B4-C4-D8			A2-B53-C4-D8
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	A39-B32-C3-D8			A9-B85-C3-D8			A39-B4-C4-D8			A9-B53-C4-D8
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	A9-B39-C3-D8			A39-B85-C3-D8			A9-B5-C4-D8			A39-B53-C4-D8
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	A69-B39-C3-D8			A2-B86-C3-D8			A69-B5-C4-D8			A2-B79-C4-D8
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	A69-B45-C3-D8			A2-B87-C3-D8			A69-B6-C4-D8			A2-B80-C4-D8
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	A9-B53-C3-D8			A39-B87-C3-D8			A9-B32-C4-D8			A39-B80-C4-D8
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	A9-B85-C4-D8		A39-B4-C5-D8		A9-B53-C5-D8		A39-B87-C5-D8
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128

	A9-B32-C6-D8		A39-B80-C6-D8		A9-B4-C7-D8		A39-B45-C7-D8
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130

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	A13-B80-C9-D8		A65-B92-C9-D8		A13-B45-C10-D8		A65-B86-C10-D8
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132

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A13-B32-C1-D9	A65-B80-C1-D9	A13-B4-C2-D9	A65-B45-C2-D9
A24-B32-C1-D9	A66-B80-C1-D9	A24-B4-C2-D9	A66-B45-C2-D9
A69-B32-C1-D9	A2-B85-C1-D9	A69-B4-C2-D9	A2-B53-C2-D9
25 A67-B32-C1-D9	80 A3-B85-C1-D9	135 A67-B4-C2-D9	190 A3-B53-C2-D9
A39-B32-C1-D9	A9-B85-C1-D9	A39-B4-C2-D9	A9-B53-C2-D9
A65-B32-C1-D9	A13-B85-C1-D9	A65-B4-C2-D9	A13-B53-C2-D9
A66-B32-C1-D9	A24-B85-C1-D9	A66-B4-C2-D9	A24-B53-C2-D9
A2-B39-C1-D9	A69-B85-C1-D9	A2-B5-C2-D9	A69-B53-C2-D9
30 A3-B39-C1-D9	85 A67-B85-C1-D9	140 A3-B5-C2-D9	195 A67-B53-C2-D9
A9-B39-C1-D9	A39-B85-C1-D9	A9-B5-C2-D9	A39-B53-C2-D9
A13-B39-C1-D9	A65-B85-C1-D9	A13-B5-C2-D9	A65-B53-C2-D9
A24-B39-C1-D9	A66-B85-C1-D9	A24-B5-C2-D9	A66-B53-C2-D9
A69-B39-C1-D9	A2-B86-C1-D9	A69-B5-C2-D9	A2-B79-C2-D9
35 A67-B39-C1-D9	90 A3-B86-C1-D9	145 A67-B5-C2-D9	200 A3-B79-C2-D9
A39-B39-C1-D9	A9-B86-C1-D9	A39-B5-C2-D9	A9-B79-C2-D9
A65-B39-C1-D9	A13-B86-C1-D9	A65-B5-C2-D9	A13-B79-C2-D9
A66-B39-C1-D9	A24-B86-C1-D9	A66-B5-C2-D9	A24-B79-C2-D9
A2-B45-C1-D9	A69-B86-C1-D9	A2-B6-C2-D9	A69-B79-C2-D9
40 A3-B45-C1-D9	95 A67-B86-C1-D9	150 A3-B6-C2-D9	205 A67-B79-C2-D9
A9-B45-C1-D9	A39-B86-C1-D9	A9-B6-C2-D9	A39-B79-C2-D9
A13-B45-C1-D9	A65-B86-C1-D9	A13-B6-C2-D9	A65-B79-C2-D9
A24-B45-C1-D9	A66-B86-C1-D9	A24-B6-C2-D9	A66-B79-C2-D9
A69-B45-C1-D9	A2-B87-C1-D9	A69-B6-C2-D9	A2-B80-C2-D9
45 A67-B45-C1-D9	100 A3-B87-C1-D9	155 A67-B6-C2-D9	210 A3-B80-C2-D9
A39-B45-C1-D9	A9-B87-C1-D9	A39-B6-C2-D9	A9-B80-C2-D9
A65-B45-C1-D9	A13-B87-C1-D9	A65-B6-C2-D9	A13-B80-C2-D9
A66-B45-C1-D9	A24-B87-C1-D9	A66-B6-C2-D9	A24-B80-C2-D9
A2-B53-C1-D9	A69-B87-C1-D9	A2-B32-C2-D9	A69-B80-C2-D9
50 A3-B53-C1-D9	105 A67-B87-C1-D9	160 A3-B32-C2-D9	215 A67-B80-C2-D9
A9-B53-C1-D9	A39-B87-C1-D9	A9-B32-C2-D9	A39-B80-C2-D9
A13-B53-C1-D9	A65-B87-C1-D9	A13-B32-C2-D9	A65-B80-C2-D9
A24-B53-C1-D9	A66-B87-C1-D9	A24-B32-C2-D9	A66-B80-C2-D9
A69-B53-C1-D9	A2-B89-C1-D9	A69-B32-C2-D9	A2-B85-C2-D9
55 A67-B53-C1-D9	110 A3-B89-C1-D9	165 A67-B32-C2-D9	220 A3-B85-C2-D9

	A9-B85-C2-D9		A39-B4-C3-D9		A9-B53-C3-D9		A39-B87-C3-D9
	A13-B85-C2-D9		A65-B4-C3-D9		A13-B53-C3-D9		A65-B87-C3-D9
	A24-B85-C2-D9		A66-B4-C3-D9		A24-B53-C3-D9		A66-B87-C3-D9
	A69-B85-C2-D9		A2-B5-C3-D9		A69-B53-C3-D9		A2-B89-C3-D9
5	A67-B85-C2-D9	60	A3-B5-C3-D9	115	A67-B53-C3-D9	170	A3-B89-C3-D9
	A39-B85-C2-D9		A9-B5-C3-D9		A39-B53-C3-D9		A9-B89-C3-D9
	A65-B85-C2-D9		A13-B5-C3-D9		A65-B53-C3-D9		A13-B89-C3-D9
	A66-B85-C2-D9		A24-B5-C3-D9		A66-B53-C3-D9		A24-B89-C3-D9
	A2-B86-C2-D9		A69-B5-C3-D9		A2-B79-C3-D9		A69-B89-C3-D9
10	A3-B86-C2-D9	65	A67-B5-C3-D9	120	A3-B79-C3-D9	175	A67-B89-C3-D9
	A9-B86-C2-D9		A39-B5-C3-D9		A9-B79-C3-D9		A39-B89-C3-D9
	A13-B86-C2-D9		A65-B5-C3-D9		A13-B79-C3-D9		A65-B89-C3-D9
	A24-B86-C2-D9		A66-B5-C3-D9		A24-B79-C3-D9		A66-B89-C3-D9
	A69-B86-C2-D9		A2-B6-C3-D9		A69-B79-C3-D9		A2-B92-C3-D9
15	A67-B86-C2-D9	70	A3-B6-C3-D9	125	A67-B79-C3-D9	180	A3-B92-C3-D9
	A39-B86-C2-D9		A9-B6-C3-D9		A39-B79-C3-D9		A9-B92-C3-D9
	A65-B86-C2-D9		A13-B6-C3-D9		A65-B79-C3-D9		A13-B92-C3-D9
	A66-B86-C2-D9		A24-B6-C3-D9		A66-B79-C3-D9		A24-B92-C3-D9
	A2-B87-C2-D9		A69-B6-C3-D9		A2-B80-C3-D9		A69-B92-C3-D9
20	A3-B87-C2-D9	75	A67-B6-C3-D9	130	A3-B80-C3-D9	185	A67-B92-C3-D9
	A9-B87-C2-D9		A39-B6-C3-D9		A9-B80-C3-D9		A39-B92-C3-D9
	A13-B87-C2-D9		A65-B6-C3-D9		A13-B80-C3-D9		A65-B92-C3-D9
	A24-B87-C2-D9		A66-B6-C3-D9		A24-B80-C3-D9		A66-B92-C3-D9
	A69-B87-C2-D9		A2-B32-C3-D9		A69-B80-C3-D9		A2-B4-C4-D9
25	A67-B87-C2-D9	80	A3-B32-C3-D9	135	A67-B80-C3-D9	190	A3-B4-C4-D9
	A39-B87-C2-D9		A9-B32-C3-D9		A39-B80-C3-D9		A9-B4-C4-D9
	A65-B87-C2-D9		A13-B32-C3-D9		A65-B80-C3-D9		A13-B4-C4-D9
	A66-B87-C2-D9		A24-B32-C3-D9		A66-B80-C3-D9		A24-B4-C4-D9
	A2-B89-C2-D9		A69-B32-C3-D9		A2-B85-C3-D9		A69-B4-C4-D9
30	A3-B89-C2-D9	85	A67-B32-C3-D9	140	A3-B85-C3-D9	195	A67-B4-C4-D9
	A9-B89-C2-D9		A39-B32-C3-D9		A9-B85-C3-D9		A39-B4-C4-D9
	A13-B89-C2-D9		A65-B32-C3-D9		A13-B85-C3-D9		A65-B4-C4-D9
	A24-B89-C2-D9		A66-B32-C3-D9		A24-B85-C3-D9		A66-B4-C4-D9
	A69-B89-C2-D9		A2-B39-C3-D9		A69-B85-C3-D9		A2-B5-C4-D9
35	A67-B89-C2-D9	90	A3-B39-C3-D9	145	A67-B85-C3-D9	200	A3-B5-C4-D9
	A39-B89-C2-D9		A9-B39-C3-D9		A39-B85-C3-D9		A9-B5-C4-D9
	A65-B89-C2-D9		A13-B39-C3-D9		A65-B85-C3-D9		A13-B5-C4-D9
	A66-B89-C2-D9		A24-B39-C3-D9		A66-B85-C3-D9		A24-B5-C4-D9
	A2-B92-C2-D9		A69-B39-C3-D9		A2-B86-C3-D9		A69-B5-C4-D9
40	A3-B92-C2-D9	95	A67-B39-C3-D9	150	A3-B86-C3-D9	205	A67-B5-C4-D9
	A9-B92-C2-D9		A39-B39-C3-D9		A9-B86-C3-D9		A39-B5-C4-D9
	A13-B92-C2-D9		A65-B39-C3-D9		A13-B86-C3-D9		A65-B5-C4-D9
	A24-B92-C2-D9		A66-B39-C3-D9		A24-B86-C3-D9		A66-B5-C4-D9
	A69-B92-C2-D9		A2-B45-C3-D9		A69-B86-C3-D9		A2-B6-C4-D9
45	A67-B92-C2-D9	100	A3-B45-C3-D9	155	A67-B86-C3-D9	210	A3-B6-C4-D9
	A39-B92-C2-D9		A9-B45-C3-D9		A39-B86-C3-D9		A9-B6-C4-D9
	A65-B92-C2-D9		A13-B45-C3-D9		A65-B86-C3-D9		A13-B6-C4-D9
	A66-B92-C2-D9		A24-B45-C3-D9		A66-B86-C3-D9		A24-B6-C4-D9
	A2-B4-C3-D9		A69-B45-C3-D9		A2-B87-C3-D9		A69-B6-C4-D9
50	A3-B4-C3-D9	105	A67-B45-C3-D9	160	A3-B87-C3-D9	215	A67-B6-C4-D9
	A9-B4-C3-D9		A39-B45-C3-D9		A9-B87-C3-D9		A39-B6-C4-D9
	A13-B4-C3-D9		A65-B45-C3-D9		A13-B87-C3-D9		A65-B6-C4-D9
	A24-B4-C3-D9		A66-B45-C3-D9		A24-B87-C3-D9		A66-B6-C4-D9
	A69-B4-C3-D9		A2-B53-C3-D9		A69-B87-C3-D9		A2-B32-C4-D9
55	A67-B4-C3-D9	110	A3-B53-C3-D9	165	A67-B87-C3-D9	220	A3-B32-C4-D9

	Col 1		Col 2		Col 3		Col 4
	A9-B32-C4-D9		A39-B80-C4-D9		A9-B4-C5-D9		A39-B45-C5-D9
	A13-B32-C4-D9		A65-B80-C4-D9		A13-B4-C5-D9		A65-B45-C5-D9
	A24-B32-C4-D9		A66-B80-C4-D9		A24-B4-C5-D9		A66-B45-C5-D9
	A69-B32-C4-D9		A2-B85-C4-D9		A69-B4-C5-D9		A2-B53-C5-D9
5	A67-B32-C4-D9	60	A3-B85-C4-D9	115	A67-B4-C5-D9	170	A3-B53-C5-D9
	A39-B32-C4-D9		A9-B85-C4-D9		A39-B4-C5-D9		A9-B53-C5-D9
	A65-B32-C4-D9		A13-B85-C4-D9		A65-B4-C5-D9		A13-B53-C5-D9
	A66-B32-C4-D9		A24-B85-C4-D9		A66-B4-C5-D9		A24-B53-C5-D9
	A2-B39-C4-D9		A69-B85-C4-D9		A2-B5-C5-D9		A69-B53-C5-D9
10	A3-B39-C4-D9	65	A67-B85-C4-D9	120	A3-B5-C5-D9	175	A67-B53-C5-D9
	A9-B39-C4-D9		A39-B85-C4-D9		A9-B5-C5-D9		A39-B53-C5-D9
	A13-B39-C4-D9		A65-B85-C4-D9		A13-B5-C5-D9		A65-B53-C5-D9
	A24-B39-C4-D9		A66-B85-C4-D9		A24-B5-C5-D9		A66-B53-C5-D9
	A69-B39-C4-D9		A2-B86-C4-D9		A69-B5-C5-D9		A2-B79-C5-D9
15	A67-B39-C4-D9	70	A3-B86-C4-D9	125	A67-B5-C5-D9	180	A3-B79-C5-D9
	A39-B39-C4-D9		A9-B86-C4-D9		A39-B5-C5-D9		A9-B79-C5-D9
	A65-B39-C4-D9		A13-B86-C4-D9		A65-B5-C5-D9		A13-B79-C5-D9
	A66-B39-C4-D9		A24-B86-C4-D9		A66-B5-C5-D9		A24-B79-C5-D9
	A2-B45-C4-D9		A69-B86-C4-D9		A2-B6-C5-D9		A69-B79-C5-D9
20	A3-B45-C4-D9	75	A67-B86-C4-D9	130	A3-B6-C5-D9	185	A67-B79-C5-D9
	A9-B45-C4-D9		A39-B86-C4-D9		A9-B6-C5-D9		A39-B79-C5-D9
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	A24-B45-C4-D9		A66-B86-C4-D9		A24-B6-C5-D9		A66-B79-C5-D9
	A69-B45-C4-D9		A2-B87-C4-D9		A69-B6-C5-D9		A2-B80-C5-D9
25	A67-B45-C4-D9	80	A3-B87-C4-D9	135	A67-B6-C5-D9	190	A3-B80-C5-D9
	A39-B45-C4-D9		A9-B87-C4-D9		A39-B6-C5-D9		A9-B80-C5-D9
	A65-B45-C4-D9		A13-B87-C4-D9		A65-B6-C5-D9		A13-B80-C5-D9
	A66-B45-C4-D9		A24-B87-C4-D9		A66-B6-C5-D9		A24-B80-C5-D9
	A2-B53-C4-D9		A69-B87-C4-D9		A2-B32-C5-D9		A69-B80-C5-D9
30	A3-B53-C4-D9	85	A67-B87-C4-D9	140	A3-B32-C5-D9	195	A67-B80-C5-D9
	A9-B53-C4-D9		A39-B87-C4-D9		A9-B32-C5-D9		A39-B80-C5-D9
	A13-B53-C4-D9		A65-B87-C4-D9		A13-B32-C5-D9		A65-B80-C5-D9
	A24-B53-C4-D9		A66-B87-C4-D9		A24-B32-C5-D9		A66-B80-C5-D9
	A69-B53-C4-D9		A2-B89-C4-D9		A69-B32-C5-D9		A2-B85-C5-D9
35	A67-B53-C4-D9	90	A3-B89-C4-D9	145	A67-B32-C5-D9	200	A3-B85-C5-D9
	A39-B53-C4-D9		A9-B89-C4-D9		A39-B32-C5-D9		A9-B85-C5-D9
	A65-B53-C4-D9		A13-B89-C4-D9		A65-B32-C5-D9		A13-B85-C5-D9
	A66-B53-C4-D9		A24-B89-C4-D9		A66-B32-C5-D9		A24-B85-C5-D9
	A2-B79-C4-D9		A69-B89-C4-D9		A2-B39-C5-D9		A69-B85-C5-D9
40	A3-B79-C4-D9	95	A67-B89-C4-D9	150	A3-B39-C5-D9	205	A67-B85-C5-D9
	A9-B79-C4-D9		A39-B89-C4-D9		A9-B39-C5-D9		A39-B85-C5-D9
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	A24-B79-C4-D9		A66-B89-C4-D9		A24-B39-C5-D9		A66-B85-C5-D9
	A69-B79-C4-D9		A2-B92-C4-D9		A69-B39-C5-D9		A2-B86-C5-D9
45	A67-B79-C4-D9	100	A3-B92-C4-D9	155	A67-B39-C5-D9	210	A3-B86-C5-D9
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	A65-B79-C4-D9		A13-B92-C4-D9		A65-B39-C5-D9		A13-B86-C5-D9
	A66-B79-C4-D9		A24-B92-C4-D9		A66-B39-C5-D9		A24-B86-C5-D9
	A2-B80-C4-D9		A69-B92-C4-D9		A2-B45-C5-D9		A69-B86-C5-D9
50	A3-B80-C4-D9	105	A67-B92-C4-D9	160	A3-B45-C5-D9	215	A67-B86-C5-D9
	A9-B80-C4-D9		A39-B92-C4-D9		A9-B45-C5-D9		A39-B86-C5-D9
	A13-B80-C4-D9		A65-B92-C4-D9		A13-B45-C5-D9		A65-B86-C5-D9
	A24-B80-C4-D9		A66-B92-C4-D9		A24-B45-C5-D9		A66-B86-C5-D9
	A69-B80-C4-D9		A2-B4-C5-D9		A69-B45-C5-D9		A2-B87-C5-D9
55	A67-B80-C4-D9	110	A3-B4-C5-D9	165	A67-B45-C5-D9	220	A3-B87-C5-D9

	A9-B87-C5-D9		A39-B6-C6-D9		A9-B80-C6-D9		A39-B92-C6-D9
	A13-B87-C5-D9		A65-B6-C6-D9		A13-B80-C6-D9		A65-B92-C6-D9
	A24-B87-C5-D9		A66-B6-C6-D9		A24-B80-C6-D9		A66-B92-C6-D9
	A69-B87-C5-D9		A2-B32-C6-D9		A69-B80-C6-D9		A2-B4-C7-D9
5	A67-B87-C5-D9	60	A3-B32-C6-D9	115	A67-B80-C6-D9	170	A3-B4-C7-D9
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137

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141

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142

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25	A67-B79-C5-D10	80	A3-B92-C5-D10	135	A67-B39-C6-D10	190	A3-B86-C6-D10
	A39-B79-C5-D10		A9-B92-C5-D10		A39-B39-C6-D10		A9-B86-C6-D10
	A65-B79-C5-D10		A13-B92-C5-D10		A65-B39-C6-D10		A13-B86-C6-D10
	A66-B79-C5-D10		A24-B92-C5-D10		A66-B39-C6-D10		A24-B86-C6-D10
	A2-B80-C5-D10		A69-B92-C5-D10		A2-B45-C6-D10		A69-B86-C6-D10
30	A3-B80-C5-D10	85	A67-B92-C5-D10	140	A3-B45-C6-D10	195	A67-B86-C6-D10
	A9-B80-C5-D10		A39-B92-C5-D10		A9-B45-C6-D10		A39-B86-C6-D10
	A13-B80-C5-D10		A65-B92-C5-D10		A13-B45-C6-D10		A65-B86-C6-D10
	A24-B80-C5-D10		A66-B92-C5-D10		A24-B45-C6-D10		A66-B86-C6-D10
	A69-B80-C5-D10		A2-B4-C6-D10		A69-B45-C6-D10		A2-B87-C6-D10
35	A67-B80-C5-D10	90	A3-B4-C6-D10	145	A67-B45-C6-D10	200	A3-B87-C6-D10
	A39-B80-C5-D10		A9-B4-C6-D10		A39-B45-C6-D10		A9-B87-C6-D10
	A65-B80-C5-D10		A13-B4-C6-D10		A65-B45-C6-D10		A13-B87-C6-D10
	A66-B80-C5-D10		A24-B4-C6-D10		A66-B45-C6-D10		A24-B87-C6-D10
	A2-B85-C5-D10		A69-B4-C6-D10		A2-B53-C6-D10		A69-B87-C6-D10
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	A9-B85-C5-D10		A39-B4-C6-D10		A9-B53-C6-D10		A39-B87-C6-D10
	A13-B85-C5-D10		A65-B4-C6-D10		A13-B53-C6-D10		A65-B87-C6-D10
	A24-B85-C5-D10		A66-B4-C6-D10		A24-B53-C6-D10		A66-B87-C6-D10
	A69-B85-C5-D10		A2-B5-C6-D10		A69-B53-C6-D10		A2-B89-C6-D10
45	A67-B85-C5-D10	100	A3-B5-C6-D10	155	A67-B53-C6-D10	210	A3-B89-C6-D10
	A39-B85-C5-D10		A9-B5-C6-D10		A39-B53-C6-D10		A9-B89-C6-D10
	A65-B85-C5-D10		A13-B5-C6-D10		A65-B53-C6-D10		A13-B89-C6-D10
	A66-B85-C5-D10		A24-B5-C6-D10		A66-B53-C6-D10		A24-B89-C6-D10
	A2-B86-C5-D10		A69-B5-C6-D10		A2-B79-C6-D10		A69-B89-C6-D10
50	A3-B86-C5-D10	105	A67-B5-C6-D10	160	A3-B79-C6-D10	215	A67-B89-C6-D10
	A9-B86-C5-D10		A39-B5-C6-D10		A9-B79-C6-D10		A39-B89-C6-D10
	A13-B86-C5-D10		A65-B5-C6-D10		A13-B79-C6-D10		A65-B89-C6-D10
	A24-B86-C5-D10		A66-B5-C6-D10		A24-B79-C6-D10		A66-B89-C6-D10
	A69-B86-C5-D10		A2-B6-C6-D10		A69-B79-C6-D10		A2-B92-C6-D10
55	A67-B86-C5-D10	110	A3-B6-C6-D10	165	A67-B79-C6-D10	220	A3-B92-C6-D10

145

	A9-B92-C6-D10		A39-B39-C7-D10
	A13-B92-C6-D10		A65-B39-C7-D10
	A24-B92-C6-D10		A66-B39-C7-D10
	A69-B92-C6-D10		A2-B45-C7-D10
5	A67-B92-C6-D10	60	A3-B45-C7-D10
	A39-B92-C6-D10		A9-B45-C7-D10
	A65-B92-C6-D10		A13-B45-C7-D10
	A66-B92-C6-D10		A24-B45-C7-D10
	A2-B4-C7-D10		A69-B45-C7-D10
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	A9-B4-C7-D10		A39-B45-C7-D10
	A13-B4-C7-D10		A65-B45-C7-D10
	A24-B4-C7-D10		A66-B45-C7-D10
	A69-B4-C7-D10		A2-B53-C7-D10
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	A39-B4-C7-D10		A9-B53-C7-D10
	A65-B4-C7-D10		A13-B53-C7-D10
	A66-B4-C7-D10		A24-B53-C7-D10
	A2-B5-C7-D10		A69-B53-C7-D10
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	A9-B5-C7-D10		A39-B53-C7-D10
	A13-B5-C7-D10		A65-B53-C7-D10
	A24-B5-C7-D10		A66-B53-C7-D10
	A69-B5-C7-D10		A2-B79-C7-D10
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	A39-B5-C7-D10		A9-B79-C7-D10
	A65-B5-C7-D10		A13-B79-C7-D10
	A66-B5-C7-D10		A24-B79-C7-D10
	A2-B6-C7-D10		A69-B79-C7-D10
30	A3-B6-C7-D10	85	A67-B79-C7-D10
	A9-B6-C7-D10		A39-B79-C7-D10
	A13-B6-C7-D10		A65-B79-C7-D10
	A24-B6-C7-D10		A66-B79-C7-D10
	A69-B6-C7-D10		A2-B80-C7-D10
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	A39-B6-C7-D10		A9-B80-C7-D10
	A65-B6-C7-D10		A13-B80-C7-D10
	A66-B6-C7-D10		A24-B80-C7-D10
	A2-B32-C7-D10		A69-B80-C7-D10
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	A9-B32-C7-D10		A39-B80-C7-D10
	A13-B32-C7-D10		A65-B80-C7-D10
	A24-B32-C7-D10		A66-B80-C7-D10
	A69-B32-C7-D10		A2-B85-C7-D10
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	A39-B32-C7-D10		A9-B85-C7-D10
	A65-B32-C7-D10		A13-B85-C7-D10
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	A2-B39-C7-D10		A69-B85-C7-D10
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	A9-B39-C7-D10		A39-B85-C7-D10
	A13-B39-C7-D10		A65-B85-C7-D10
	A24-B39-C7-D10		A66-B85-C7-D10
	A69-B39-C7-D10		A2-B86-C7-D10
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	A9-B86-C7-D10		A39-B5-C8-D10
	A13-B86-C7-D10		A65-B5-C8-D10
	A24-B86-C7-D10		A66-B5-C8-D10
	A69-B86-C7-D10		A2-B6-C8-D10
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	A39-B86-C7-D10		A9-B6-C8-D10
	A65-B86-C7-D10		A13-B6-C8-D10
	A66-B86-C7-D10		A24-B6-C8-D10
	A2-B87-C7-D10		A69-B6-C8-D10
120	A3-B87-C7-D10	175	A67-B6-C8-D10
	A9-B87-C7-D10		A39-B6-C8-D10
	A13-B87-C7-D10		A65-B6-C8-D10
	A24-B87-C7-D10		A66-B6-C8-D10
	A69-B87-C7-D10		A2-B32-C8-D10
125	A67-B87-C7-D10	180	A3-B32-C8-D10
	A39-B87-C7-D10		A9-B32-C8-D10
	A65-B87-C7-D10		A13-B32-C8-D10
	A66-B87-C7-D10		A24-B32-C8-D10
	A2-B89-C7-D10		A69-B32-C8-D10
130	A3-B89-C7-D10	185	A67-B32-C8-D10
	A9-B89-C7-D10		A39-B32-C8-D10
	A13-B89-C7-D10		A65-B32-C8-D10
	A24-B89-C7-D10		A66-B32-C8-D10
	A69-B89-C7-D10		A2-B39-C8-D10
135	A67-B89-C7-D10	190	A3-B39-C8-D10
	A39-B89-C7-D10		A9-B39-C8-D10
	A65-B89-C7-D10		A13-B39-C8-D10
	A66-B89-C7-D10		A24-B39-C8-D10
	A2-B92-C7-D10		A69-B39-C8-D10
140	A3-B92-C7-D10	195	A67-B39-C8-D10
	A9-B92-C7-D10		A39-B39-C8-D10
	A13-B92-C7-D10		A65-B39-C8-D10
	A24-B92-C7-D10		A66-B39-C8-D10
	A69-B92-C7-D10		A2-B45-C8-D10
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	A39-B92-C7-D10		A9-B45-C8-D10
	A65-B92-C7-D10		A13-B45-C8-D10
	A66-B92-C7-D10		A24-B45-C8-D10
	A2-B4-C8-D10		A69-B45-C8-D10
150	A3-B4-C8-D10	205	A67-B45-C8-D10
	A9-B4-C8-D10		A39-B45-C8-D10
	A13-B4-C8-D10		A65-B45-C8-D10
	A24-B4-C8-D10		A66-B45-C8-D10
	A69-B4-C8-D10		A2-B53-C8-D10
155	A67-B4-C8-D10	210	A3-B53-C8-D10
	A39-B4-C8-D10		A9-B53-C8-D10
	A65-B4-C8-D10		A13-B53-C8-D10
	A66-B4-C8-D10		A24-B53-C8-D10
	A2-B5-C8-D10		A69-B53-C8-D10
160	A3-B5-C8-D10	215	A67-B53-C8-D10
	A9-B5-C8-D10		A39-B53-C8-D10
	A13-B5-C8-D10		A65-B53-C8-D10
	A24-B5-C8-D10		A66-B53-C8-D10
	A69-B5-C8-D10		A2-B79-C8-D10
165	A67-B5-C8-D10	220	A3-B79-C8-D10

	Column 1		Column 2		Column 3		Column 4
	A9-B79-C8-D10		A39-B89-C8-D10		A9-B39-C9-D10		A39-B85-C9-D10
	A13-B79-C8-D10		A65-B89-C8-D10		A13-B39-C9-D10		A65-B85-C9-D10
	A24-B79-C8-D10		A66-B89-C8-D10		A24-B39-C9-D10		A66-B85-C9-D10
	A69-B79-C8-D10		A2-B92-C8-D10		A69-B39-C9-D10		A2-B86-C9-D10
5	A67-B79-C8-D10	60	A3-B92-C8-D10	115	A67-B39-C9-D10	170	A3-B86-C9-D10
	A39-B79-C8-D10		A9-B92-C8-D10		A39-B39-C9-D10		A9-B86-C9-D10
	A65-B79-C8-D10		A13-B92-C8-D10		A65-B39-C9-D10		A13-B86-C9-D10
	A66-B79-C8-D10		A24-B92-C8-D10		A66-B39-C9-D10		A24-B86-C9-D10
	A2-B80-C8-D10		A69-B92-C8-D10		A2-B45-C9-D10		A69-B86-C9-D10
10	A3-B80-C8-D10	65	A67-B92-C8-D10	120	A3-B45-C9-D10	175	A67-B86-C9-D10
	A9-B80-C8-D10		A39-B92-C8-D10		A9-B45-C9-D10		A39-B86-C9-D10
	A13-B80-C8-D10		A65-B92-C8-D10		A13-B45-C9-D10		A65-B86-C9-D10
	A24-B80-C8-D10		A66-B92-C8-D10		A24-B45-C9-D10		A66-B86-C9-D10
	A69-B80-C8-D10		A2-B4-C9-D10		A69-B45-C9-D10		A2-B87-C9-D10
15	A67-B80-C8-D10	70	A3-B4-C9-D10	125	A67-B45-C9-D10	180	A3-B87-C9-D10
	A39-B80-C8-D10		A9-B4-C9-D10		A39-B45-C9-D10		A9-B87-C9-D10
	A65-B80-C8-D10		A13-B4-C9-D10		A65-B45-C9-D10		A13-B87-C9-D10
	A66-B80-C8-D10		A24-B4-C9-D10		A66-B45-C9-D10		A24-B87-C9-D10
	A2-B85-C8-D10		A69-B4-C9-D10		A2-B53-C9-D10		A69-B87-C9-D10
20	A3-B85-C8-D10	75	A67-B4-C9-D10	130	A3-B53-C9-D10	185	A67-B87-C9-D10
	A9-B85-C8-D10		A39-B4-C9-D10		A9-B53-C9-D10		A39-B87-C9-D10
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	A24-B85-C8-D10		A66-B4-C9-D10		A24-B53-C9-D10		A66-B87-C9-D10
	A69-B85-C8-D10		A2-B5-C9-D10		A69-B53-C9-D10		A2-B89-C9-D10
25	A67-B85-C8-D10	80	A3-B5-C9-D10	135	A67-B53-C9-D10	190	A3-B89-C9-D10
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	A66-B85-C8-D10		A24-B5-C9-D10		A66-B53-C9-D10		A24-B89-C9-D10
	A2-B86-C8-D10		A69-B5-C9-D10		A2-B79-C9-D10		A69-B89-C9-D10
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	A9-B86-C8-D10		A39-B5-C9-D10		A9-B79-C9-D10		A39-B89-C9-D10
	A13-B86-C8-D10		A65-B5-C9-D10		A13-B79-C9-D10		A65-B89-C9-D10
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	A69-B86-C8-D10		A2-B6-C9-D10		A69-B79-C9-D10		A2-B92-C9-D10
35	A67-B86-C8-D10	90	A3-B6-C9-D10	145	A67-B79-C9-D10	200	A3-B92-C9-D10
	A39-B86-C8-D10		A9-B6-C9-D10		A39-B79-C9-D10		A9-B92-C9-D10
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	A66-B86-C8-D10		A24-B6-C9-D10		A66-B79-C9-D10		A24-B92-C9-D10
	A2-B87-C8-D10		A69-B6-C9-D10		A2-B80-C9-D10		A69-B92-C9-D10
40	A3-B87-C8-D10	95	A67-B6-C9-D10	150	A3-B80-C9-D10	205	A67-B92-C9-D10
	A9-B87-C8-D10		A39-B6-C9-D10		A9-B80-C9-D10		A39-B92-C9-D10
	A13-B87-C8-D10		A65-B6-C9-D10		A13-B80-C9-D10		A65-B92-C9-D10
	A24-B87-C8-D10		A66-B6-C9-D10		A24-B80-C9-D10		A66-B92-C9-D10
	A69-B87-C8-D10		A2-B32-C9-D10		A69-B80-C9-D10		A2-B4-C10-D10
45	A67-B87-C8-D10	100	A3-B32-C9-D10	155	A67-B80-C9-D10	210	A3-B4-C10-D10
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	A65-B87-C8-D10		A13-B32-C9-D10		A65-B80-C9-D10		A13-B4-C10-D10
	A66-B87-C8-D10		A24-B32-C9-D10		A66-B80-C9-D10		A24-B4-C10-D10
	A2-B89-C8-D10		A69-B32-C9-D10		A2-B85-C9-D10		A69-B4-C10-D10
50	A3-B89-C8-D10	105	A67-B32-C9-D10	160	A3-B85-C9-D10	215	A67-B4-C10-D10
	A9-B89-C8-D10		A39-B32-C9-D10		A9-B85-C9-D10		A39-B4-C10-D10
	A13-B89-C8-D10		A65-B32-C9-D10		A13-B85-C9-D10		A65-B4-C10-D10
	A24-B89-C8-D10		A66-B32-C9-D10		A24-B85-C9-D10		A66-B4-C10-D10
	A69-B89-C8-D10		A2-B39-C9-D10		A69-B85-C9-D10		A2-B5-C10-D10
55	A67-B89-C8-D10	110	A3-B39-C9-D10	165	A67-B85-C9-D10	220	A3-B5-C10-D10

	A9-B5-C10-D10		A39-B53-C10-D10		A9-B89-C10-D10		A39-B32-C11-D10
	A13-B5-C10-D10		A65-B53-C10-D10		A13-B89-C10-D10		A65-B32-C11-D10
	A24-B5-C10-D10		A66-B53-C10-D10		A24-B89-C10-D10		A66-B32-C11-D10
	A69-B5-C10-D10		A2-B79-C10-D10		A69-B89-C10-D10		A2-B39-C11-D10
5	A67-B5-C10-D10	60	A3-B79-C10-D10	115	A67-B89-C10-D10	170	A3-B39-C11-D10
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149

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	A9-B87-C1-D11		A39-B6-C2-D11		A9-B80-C2-D11		A39-B92-C2-D11
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155

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	A65-B86-C9-D11		A13-B6-C10-D11		A65-B79-C10-D11		A13-B92-C10-D11
	A66-B86-C9-D11		A24-B6-C10-D11		A66-B79-C10-D11		A24-B92-C10-D11
	A2-B87-C9-D11		A69-B6-C10-D11		A2-B80-C10-D11		A69-B92-C10-D11
20	A3-B87-C9-D11	75	A67-B6-C10-D11	130	A3-B80-C10-D11	185	A67-B92-C10-D11
	A9-B87-C9-D11		A39-B6-C10-D11		A9-B80-C10-D11		A39-B92-C10-D11
	A13-B87-C9-D11		A65-B6-C10-D11		A13-B80-C10-D11		A65-B92-C10-D11
	A24-B87-C9-D11		A66-B6-C10-D11		A24-B80-C10-D11		A66-B92-C10-D11
	A69-B87-C9-D11		A2-B32-C10-D11		A69-B80-C10-D11		A2-B4-C11-D11
25	A67-B87-C9-D11	80	A3-B32-C10-D11	135	A67-B80-C10-D11	190	A3-B4-C11-D11
	A39-B87-C9-D11		A9-B32-C10-D11		A39-B80-C10-D11		A9-B4-C11-D11
	A65-B87-C9-D11		A13-B32-C10-D11		A65-B80-C10-D11		A13-B4-C11-D11
	A66-B87-C9-D11		A24-B32-C10-D11		A66-B80-C10-D11		A24-B4-C11-D11
	A2-B89-C9-D11		A69-B32-C10-D11		A2-B85-C10-D11		A69-B4-C11-D11
30	A3-B89-C9-D11	85	A67-B32-C10-D11	140	A3-B85-C10-D11	195	A67-B4-C11-D11
	A9-B89-C9-D11		A39-B32-C10-D11		A9-B85-C10-D11		A39-B4-C11-D11
	A13-B89-C9-D11		A65-B32-C10-D11		A13-B85-C10-D11		A65-B4-C11-D11
	A24-B89-C9-D11		A66-B32-C10-D11		A24-B85-C10-D11		A66-B4-C11-D11
	A69-B89-C9-D11		A2-B39-C10-D11		A69-B85-C10-D11		A2-B5-C11-D11
35	A67-B89-C9-D11	90	A3-B39-C10-D11	145	A67-B85-C10-D11	200	A3-B5-C11-D11
	A39-B89-C9-D11		A9-B39-C10-D11		A39-B85-C10-D11		A9-B5-C11-D11
	A65-B89-C9-D11		A13-B39-C10-D11		A65-B85-C10-D11		A13-B5-C11-D11
	A66-B89-C9-D11		A24-B39-C10-D11		A66-B85-C10-D11		A24-B5-C11-D11
	A2-B92-C9-D11		A69-B39-C10-D11		A2-B86-C10-D11		A69-B5-C11-D11
40	A3-B92-C9-D11	95	A67-B39-C10-D11	150	A3-B86-C10-D11	205	A67-B5-C11-D11
	A9-B92-C9-D11		A39-B39-C10-D11		A9-B86-C10-D11		A39-B5-C11-D11
	A13-B92-C9-D11		A65-B39-C10-D11		A13-B86-C10-D11		A65-B5-C11-D11
	A24-B92-C9-D11		A66-B39-C10-D11		A24-B86-C10-D11		A66-B5-C11-D11
	A69-B92-C9-D11		A2-B45-C10-D11		A69-B86-C10-D11		A2-B6-C11-D11
45	A67-B92-C9-D11	100	A3-B45-C10-D11	155	A67-B86-C10-D11	210	A3-B6-C11-D11
	A39-B92-C9-D11		A9-B45-C10-D11		A39-B86-C10-D11		A9-B6-C11-D11
	A65-B92-C9-D11		A13-B45-C10-D11		A65-B86-C10-D11		A13-B6-C11-D11
	A66-B92-C9-D11		A24-B45-C10-D11		A66-B86-C10-D11		A24-B6-C11-D11
	A2-B4-C10-D11		A69-B45-C10-D11		A2-B87-C10-D11		A69-B6-C11-D11
50	A3-B4-C10-D11	105	A67-B45-C10-D11	160	A3-B87-C10-D11	215	A67-B6-C11-D11
	A9-B4-C10-D11		A39-B45-C10-D11		A9-B87-C10-D11		A39-B6-C11-D11
	A13-B4-C10-D11		A65-B45-C10-D11		A13-B87-C10-D11		A65-B6-C11-D11
	A24-B4-C10-D11		A66-B45-C10-D11		A24-B87-C10-D11		A66-B6-C11-D11
	A69-B4-C10-D11		A2-B53-C10-D11		A69-B87-C10-D11		A2-B32-C11-D11
55	A67-B4-C10-D11	110	A3-B53-C10-D11	165	A67-B87-C10-D11	220	A3-B32-C11-D11

	Col 1		Col 2		Col 3		Col 4
	A9-B32-C11-D11		A39-B80-C11-D11		A9-B4-C12-D11		A39-B45-C12-D11
	A13-B32-C11-D11		A65-B80-C11-D11		A13-B4-C12-D11		A65-B45-C12-D11
	A24-B32-C11-D11		A66-B80-C11-D11		A24-B4-C12-D11		A66-B45-C12-D11
	A69-B32-C11-D11		A2-B85-C11-D11		A69-B4-C12-D11		A2-B53-C12-D11
5	A67-B32-C11-D11	60	A3-B85-C11-D11	115	A67-B4-C12-D11	170	A3-B53-C12-D11
	A39-B32-C11-D11		A9-B85-C11-D11		A39-B4-C12-D11		A9-B53-C12-D11
	A65-B32-C11-D11		A13-B85-C11-D11		A65-B4-C12-D11		A13-B53-C12-D11
	A66-B32-C11-D11		A24-B85-C11-D11		A66-B4-C12-D11		A24-B53-C12-D11
	A2-B39-C11-D11		A69-B85-C11-D11		A2-B5-C12-D11		A69-B53-C12-D11
10	A3-B39-C11-D11	65	A67-B85-C11-D11	120	A3-B5-C12-D11	175	A67-B53-C12-D11
	A9-B39-C11-D11		A39-B85-C11-D11		A9-B5-C12-D11		A39-B53-C12-D11
	A13-B39-C11-D11		A65-B85-C11-D11		A13-B5-C12-D11		A65-B53-C12-D11
	A24-B39-C11-D11		A66-B85-C11-D11		A24-B5-C12-D11		A66-B53-C12-D11
	A69-B39-C11-D11		A2-B86-C11-D11		A69-B5-C12-D11		A2-B79-C12-D11
15	A67-B39-C11-D11	70	A3-B86-C11-D11	125	A67-B5-C12-D11	180	A3-B79-C12-D11
	A39-B39-C11-D11		A9-B86-C11-D11		A39-B5-C12-D11		A9-B79-C12-D11
	A65-B39-C11-D11		A13-B86-C11-D11		A65-B5-C12-D11		A13-B79-C12-D11
	A66-B39-C11-D11		A24-B86-C11-D11		A66-B5-C12-D11		A24-B79-C12-D11
	A2-B45-C11-D11		A69-B86-C11-D11		A2-B6-C12-D11		A69-B79-C12-D11
20	A3-B45-C11-D11	75	A67-B86-C11-D11	130	A3-B6-C12-D11	185	A67-B79-C12-D11
	A9-B45-C11-D11		A39-B86-C11-D11		A9-B6-C12-D11		A39-B79-C12-D11
	A13-B45-C11-D11		A65-B86-C11-D11		A13-B6-C12-D11		A65-B79-C12-D11
	A24-B45-C11-D11		A66-B86-C11-D11		A24-B6-C12-D11		A66-B79-C12-D11
	A69-B45-C11-D11		A2-B87-C11-D11		A69-B6-C12-D11		A2-B80-C12-D11
25	A67-B45-C11-D11	80	A3-B87-C11-D11	135	A67-B6-C12-D11	190	A3-B80-C12-D11
	A39-B45-C11-D11		A9-B87-C11-D11		A39-B6-C12-D11		A9-B80-C12-D11
	A65-B45-C11-D11		A13-B87-C11-D11		A65-B6-C12-D11		A13-B80-C12-D11
	A66-B45-C11-D11		A24-B87-C11-D11		A66-B6-C12-D11		A24-B80-C12-D11
	A2-B53-C11-D11		A69-B87-C11-D11		A2-B32-C12-D11		A69-B80-C12-D11
30	A3-B53-C11-D11	85	A67-B87-C11-D11	140	A3-B32-C12-D11	195	A67-B80-C12-D11
	A9-B53-C11-D11		A39-B87-C11-D11		A9-B32-C12-D11		A39-B80-C12-D11
	A13-B53-C11-D11		A65-B87-C11-D11		A13-B32-C12-D11		A65-B80-C12-D11
	A24-B53-C11-D11		A66-B87-C11-D11		A24-B32-C12-D11		A66-B80-C12-D11
	A69-B53-C11-D11		A2-B89-C11-D11		A69-B32-C12-D11		A2-B85-C12-D11
35	A67-B53-C11-D11	90	A3-B89-C11-D11	145	A67-B32-C12-D11	200	A3-B85-C12-D11
	A39-B53-C11-D11		A9-B89-C11-D11		A39-B32-C12-D11		A9-B85-C12-D11
	A65-B53-C11-D11		A13-B89-C11-D11		A65-B32-C12-D11		A13-B85-C12-D11
	A66-B53-C11-D11		A24-B89-C11-D11		A66-B32-C12-D11		A24-B85-C12-D11
	A2-B79-C11-D11		A69-B89-C11-D11		A2-B39-C12-D11		A69-B85-C12-D11
40	A3-B79-C11-D11	95	A67-B89-C11-D11	150	A3-B39-C12-D11	205	A67-B85-C12-D11
	A9-B79-C11-D11		A39-B89-C11-D11		A9-B39-C12-D11		A39-B85-C12-D11
	A13-B79-C11-D11		A65-B89-C11-D11		A13-B39-C12-D11		A65-B85-C12-D11
	A24-B79-C11-D11		A66-B89-C11-D11		A24-B39-C12-D11		A66-B85-C12-D11
	A69-B79-C11-D11		A2-B92-C11-D11		A69-B39-C12-D11		A2-B86-C12-D11
45	A67-B79-C11-D11	100	A3-B92-C11-D11	155	A67-B39-C12-D11	210	A3-B86-C12-D11
	A39-B79-C11-D11		A9-B92-C11-D11		A39-B39-C12-D11		A9-B86-C12-D11
	A65-B79-C11-D11		A13-B92-C11-D11		A65-B39-C12-D11		A13-B86-C12-D11
	A66-B79-C11-D11		A24-B92-C11-D11		A66-B39-C12-D11		A24-B86-C12-D11
	A2-B80-C11-D11		A69-B92-C11-D11		A2-B45-C12-D11		A69-B86-C12-D11
50	A3-B80-C11-D11	105	A67-B92-C11-D11	160	A3-B45-C12-D11	215	A67-B86-C12-D11
	A9-B80-C11-D11		A39-B92-C11-D11		A9-B45-C12-D11		A39-B86-C12-D11
	A13-B80-C11-D11		A65-B92-C11-D11		A13-B45-C12-D11		A65-B86-C12-D11
	A24-B80-C11-D11		A66-B92-C11-D11		A24-B45-C12-D11		A66-B86-C12-D11
	A69-B80-C11-D11		A2-B4-C12-D11		A69-B45-C12-D11		A2-B87-C12-D11
55	A67-B80-C11-D11	110	A3-B4-C12-D11	165	A67-B45-C12-D11	220	A3-B87-C12-D11

157

	A9-B87-C12-D11		A39-B6-C13-D11		A9-B80-C13-D11		A39-B92-C13-D11
	A13-B87-C12-D11		A65-B6-C13-D11		A13-B80-C13-D11		A65-B92-C13-D11
	A24-B87-C12-D11		A66-B6-C13-D11		A24-B80-C13-D11		A66-B92-C13-D11
	A69-B87-C12-D11		A2-B32-C13-D11		A69-B80-C13-D11		A2-B4-C1-D12
5	A67-B87-C12-D11	60	A3-B32-C13-D11	115	A67-B80-C13-D11	170	A3-B4-C1-D12
	A39-B87-C12-D11		A9-B32-C13-D11		A39-B80-C13-D11		A9-B4-C1-D12
	A65-B87-C12-D11		A13-B32-C13-D11		A65-B80-C13-D11		A13-B4-C1-D12
	A66-B87-C12-D11		A24-B32-C13-D11		A66-B80-C13-D11		A24-B4-C1-D12
	A2-B89-C12-D11		A69-B32-C13-D11		A2-B85-C13-D11		A69-B4-C1-D12
10	A3-B89-C12-D11	65	A67-B32-C13-D11	120	A3-B85-C13-D11	175	A67-B4-C1-D12
	A9-B89-C12-D11		A39-B32-C13-D11		A9-B85-C13-D11		A39-B4-C1-D12
	A13-B89-C12-D11		A65-B32-C13-D11		A13-B85-C13-D11		A65-B4-C1-D12
	A24-B89-C12-D11		A66-B32-C13-D11		A24-B85-C13-D11		A66-B4-C1-D12
	A69-B89-C12-D11		A2-B39-C13-D11		A69-B85-C13-D11		A2-B5-C1-D12
15	A67-B89-C12-D11	70	A3-B39-C13-D11	125	A67-B85-C13-D11	180	A3-B5-C1-D12
	A39-B89-C12-D11		A9-B39-C13-D11		A39-B85-C13-D11		A9-B5-C1-D12
	A65-B89-C12-D11		A13-B39-C13-D11		A65-B85-C13-D11		A13-B5-C1-D12
	A66-B89-C12-D11		A24-B39-C13-D11		A66-B85-C13-D11		A24-B5-C1-D12
	A2-B92-C12-D11		A69-B39-C13-D11		A2-B86-C13-D11		A69-B5-C1-D12
20	A3-B92-C12-D11	75	A67-B39-C13-D11	130	A3-B86-C13-D11	185	A67-B5-C1-D12
	A9-B92-C12-D11		A39-B39-C13-D11		A9-B86-C13-D11		A39-B5-C1-D12
	A13-B92-C12-D11		A65-B39-C13-D11		A13-B86-C13-D11		A65-B5-C1-D12
	A24-B92-C12-D11		A66-B39-C13-D11		A24-B86-C13-D11		A66-B5-C1-D12
	A69-B92-C12-D11		A2-B45-C13-D11		A69-B86-C13-D11		A2-B6-C1-D12
25	A67-B92-C12-D11	80	A3-B45-C13-D11	135	A67-B86-C13-D11	190	A3-B6-C1-D12
	A39-B92-C12-D11		A9-B45-C13-D11		A39-B86-C13-D11		A9-B6-C1-D12
	A65-B92-C12-D11		A13-B45-C13-D11		A65-B86-C13-D11		A13-B6-C1-D12
	A66-B92-C12-D11		A24-B45-C13-D11		A66-B86-C13-D11		A24-B6-C1-D12
	A2-B4-C13-D11		A69-B45-C13-D11		A2-B87-C13-D11		A69-B6-C1-D12
30	A3-B4-C13-D11	85	A67-B45-C13-D11	140	A3-B87-C13-D11	195	A67-B6-C1-D12
	A9-B4-C13-D11		A39-B45-C13-D11		A9-B87-C13-D11		A39-B6-C1-D12
	A13-B4-C13-D11		A65-B45-C13-D11		A13-B87-C13-D11		A65-B6-C1-D12
	A24-B4-C13-D11		A66-B45-C13-D11		A24-B87-C13-D11		A66-B6-C1-D12
	A69-B4-C13-D11		A2-B53-C13-D11		A69-B87-C13-D11		A2-B32-C1-D12
35	A67-B4-C13-D11	90	A3-B53-C13-D11	145	A67-B87-C13-D11	200	A3-B32-C1-D12
	A39-B4-C13-D11		A9-B53-C13-D11		A39-B87-C13-D11		A9-B32-C1-D12
	A65-B4-C13-D11		A13-B53-C13-D11	.	A65-B87-C13-D11		A13-B32-C1-D12
	A66-B4-C13-D11		A24-B53-C13-D11		A66-B87-C13-D11		A24-B32-C1-D12
	A2-B5-C13-D11		A69-B53-C13-D11		A2-B89-C13-D11		A69-B32-C1-D12
40	A3-B5-C13-D11	95	A67-B53-C13-D11	150	A3-B89-C13-D11	205	A67-B32-C1-D12
	A9-B5-C13-D11		A39-B53-C13-D11		A9-B89-C13-D11		A39-B32-C1-D12
	A13-B5-C13-D11		A65-B53-C13-D11		A13-B89-C13-D11		A65-B32-C1-D12
	A24-B5-C13-D11		A66-B53-C13-D11		A24-B89-C13-D11		A66-B32-C1-D12
	A69-B5-C13-D11		A2-B79-C13-D11		A69-B89-C13-D11		A2-B39-C1-D12
45	A67-B5-C13-D11	100	A3-B79-C13-D11	155	A67-B89-C13-D11	210	A3-B39-C1-D12
	A39-B5-C13-D11		A9-B79-C13-D11		A39-B89-C13-D11		A9-B39-C1-D12
	A65-B5-C13-D11		A13-B79-C13-D11		A65-B89-C13-D11		A13-B39-C1-D12
	A66-B5-C13-D11		A24-B79-C13-D11		A66-B89-C13-D11		A24-B39-C1-D12
	A2-B6-C13-D11		A69-B79-C13-D11		A2-B92-C13-D11		A69-B39-C1-D12
50	A3-B6-C13-D11	105	A67-B79-C13-D11	160	A3-B92-C13-D11	215	A67-B39-C1-D12
	A9-B6-C13-D11		A39-B79-C13-D11		A9-B92-C13-D11		A39-B39-C1-D12
	A13-B6-C13-D11		A65-B79-C13-D11		A13-B92-C13-D11		A65-B39-C1-D12
	A24-B6-C13-D11		A66-B79-C13-D11		A24-B92-C13-D11		A66-B39-C1-D12
	A69-B6-C13-D11		A2-B80-C13-D11		A69-B92-C13-D11		A2-B45-C1-D12
55	A67-B6-C13-D11	110	A3-B80-C13-D11	165	A67-B92-C13-D11	220	A3-B45-C1-D12

158

	Col 1		Col 2		Col 3		Col 4
	A9-B45-C1-D12		A39-B86-C1-D12		A9-B6-C2-D12		A39-B79-C2-D12
	A13-B45-C1-D12		A65-B86-C1-D12		A13-B6-C2-D12		A65-B79-C2-D12
	A24-B45-C1-D12		A66-B86-C1-D12		A24-B6-C2-D12		A66-B79-C2-D12
	A69-B45-C1-D12		A2-B87-C1-D12		A69-B6-C2-D12		A2-B80-C2-D12
5	A67-B45-C1-D12	60	A3-B87-C1-D12	115	A67-B6-C2-D12	170	A3-B80-C2-D12
	A39-B45-C1-D12		A9-B87-C1-D12		A39-B6-C2-D12		A9-B80-C2-D12
	A65-B45-C1-D12		A13-B87-C1-D12		A65-B6-C2-D12		A13-B80-C2-D12
	A66-B45-C1-D12		A24-B87-C1-D12		A66-B6-C2-D12		A24-B80-C2-D12
	A2-B53-C1-D12		A69-B87-C1-D12		A2-B32-C2-D12		A69-B80-C2-D12
10	A3-B53-C1-D12	65	A67-B87-C1-D12	120	A3-B32-C2-D12	175	A67-B80-C2-D12
	A9-B53-C1-D12		A39-B87-C1-D12		A9-B32-C2-D12		A39-B80-C2-D12
	A13-B53-C1-D12		A65-B87-C1-D12		A13-B32-C2-D12		A65-B80-C2-D12
	A24-B53-C1-D12		A66-B87-C1-D12		A24-B32-C2-D12		A66-B80-C2-D12
	A69-B53-C1-D12		A2-B89-C1-D12		A69-B32-C2-D12		A2-B85-C2-D12
15	A67-B53-C1-D12	70	A3-B89-C1-D12	125	A67-B32-C2-D12	180	A3-B85-C2-D12
	A39-B53-C1-D12		A9-B89-C1-D12		A39-B32-C2-D12		A9-B85-C2-D12
	A65-B53-C1-D12		A13-B89-C1-D12		A65-B32-C2-D12		A13-B85-C2-D12
	A66-B53-C1-D12		A24-B89-C1-D12		A66-B32-C2-D12		A24-B85-C2-D12
	A2-B79-C1-D12		A69-B89-C1-D12		A2-B39-C2-D12		A69-B85-C2-D12
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	A9-B79-C1-D12		A39-B89-C1-D12		A9-B39-C2-D12		A39-B85-C2-D12
	A13-B79-C1-D12		A65-B89-C1-D12		A13-B39-C2-D12		A65-B85-C2-D12
	A24-B79-C1-D12		A66-B89-C1-D12		A24-B39-C2-D12		A66-B85-C2-D12
	A69-B79-C1-D12		A2-B92-C1-D12		A69-B39-C2-D12		A2-B86-C2-D12
25	A67-B79-C1-D12	80	A3-B92-C1-D12	135	A67-B39-C2-D12	190	A3-B86-C2-D12
	A39-B79-C1-D12		A9-B92-C1-D12		A39-B39-C2-D12		A9-B86-C2-D12
	A65-B79-C1-D12		A13-B92-C1-D12		A65-B39-C2-D12		A13-B86-C2-D12
	A66-B79-C1-D12		A24-B92-C1-D12		A66-B39-C2-D12		A24-B86-C2-D12
	A2-B80-C1-D12		A69-B92-C1-D12		A2-B45-C2-D12		A69-B86-C2-D12
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	A9-B80-C1-D12		A39-B92-C1-D12		A9-B45-C2-D12		A39-B86-C2-D12
	A13-B80-C1-D12		A65-B92-C1-D12		A13-B45-C2-D12		A65-B86-C2-D12
	A24-B80-C1-D12		A66-B92-C1-D12		A24-B45-C2-D12		A66-B86-C2-D12
	A69-B80-C1-D12		A2-B4-C2-D12		A69-B45-C2-D12		A2-B87-C2-D12
35	A67-B80-C1-D12	90	A3-B4-C2-D12	145	A67-B45-C2-D12	200	A3-B87-C2-D12
	A39-B80-C1-D12		A9-B4-C2-D12		A39-B45-C2-D12		A9-B87-C2-D12
	A65-B80-C1-D12		A13-B4-C2-D12		A65-B45-C2-D12		A13-B87-C2-D12
	A66-B80-C1-D12		A24-B4-C2-D12		A66-B45-C2-D12		A24-B87-C2-D12
	A2-B85-C1-D12		A69-B4-C2-D12		A2-B53-C2-D12		A69-B87-C2-D12
40	A3-B85-C1-D12	95	A67-B4-C2-D12	150	A3-B53-C2-D12	205	A67-B87-C2-D12
	A9-B85-C1-D12		A39-B4-C2-D12		A9-B53-C2-D12		A39-B87-C2-D12
	A13-B85-C1-D12		A65-B4-C2-D12		A13-B53-C2-D12		A65-B87-C2-D12
	A24-B85-C1-D12		A66-B4-C2-D12		A24-B53-C2-D12		A66-B87-C2-D12
	A69-B85-C1-D12		A2-B5-C2-D12		A69-B53-C2-D12		A2-B89-C2-D12
45	A67-B85-C1-D12	100	A3-B5-C2-D12	155	A67-B53-C2-D12	210	A3-B89-C2-D12
	A39-B85-C1-D12		A9-B5-C2-D12		A39-B53-C2-D12		A9-B89-C2-D12
	A65-B85-C1-D12		A13-B5-C2-D12		A65-B53-C2-D12		A13-B89-C2-D12
	A66-B85-C1-D12		A24-B5-C2-D12		A66-B53-C2-D12		A24-B89-C2-D12
	A2-B86-C1-D12		A69-B5-C2-D12		A2-B79-C2-D12		A69-B89-C2-D12
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	A9-B86-C1-D12		A39-B5-C2-D12		A9-B79-C2-D12		A39-B89-C2-D12
	A13-B86-C1-D12		A65-B5-C2-D12		A13-B79-C2-D12		A65-B89-C2-D12
	A24-B86-C1-D12		A66-B5-C2-D12		A24-B79-C2-D12		A66-B89-C2-D12
	A69-B86-C1-D12		A2-B6-C2-D12		A69-B79-C2-D12		A2-B92-C2-D12
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	A9-B92-C2-D12		A39-B39-C3-D12		A9-B86-C3-D12		A39-B5-C4-D12
	A13-B92-C2-D12		A65-B39-C3-D12		A13-B86-C3-D12		A65-B5-C4-D12
	A24-B92-C2-D12		A66-B39-C3-D12		A24-B86-C3-D12		A66-B5-C4-D12
	A69-B92-C2-D12		A2-B45-C3-D12		A69-B86-C3-D12		A2-B6-C4-D12
5	A67-B92-C2-D12	60	A3-B45-C3-D12	115	A67-B86-C3-D12	170	A3-B6-C4-D12
	A39-B92-C2-D12		A9-B45-C3-D12		A39-B86-C3-D12		A9-B6-C4-D12
	A65-B92-C2-D12		A13-B45-C3-D12		A65-B86-C3-D12		A13-B6-C4-D12
	A66-B92-C2-D12		A24-B45-C3-D12		A66-B86-C3-D12		A24-B6-C4-D12
	A2-B4-C3-D12		A69-B45-C3-D12		A2-B87-C3-D12		A69-B6-C4-D12
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	A9-B4-C3-D12		A39-B45-C3-D12		A9-B87-C3-D12		A39-B6-C4-D12
	A13-B4-C3-D12		A65-B45-C3-D12		A13-B87-C3-D12		A65-B6-C4-D12
	A24-B4-C3-D12		A66-B45-C3-D12		A24-B87-C3-D12		A66-B6-C4-D12
	A69-B4-C3-D12		A2-B53-C3-D12		A69-B87-C3-D12		A2-B32-C4-D12
15	A67-B4-C3-D12	70	A3-B53-C3-D12	125	A67-B87-C3-D12	180	A3-B32-C4-D12
	A39-B4-C3-D12		A9-B53-C3-D12		A39-B87-C3-D12		A9-B32-C4-D12
	A65-B4-C3-D12		A13-B53-C3-D12		A65-B87-C3-D12		A13-B32-C4-D12
	A66-B4-C3-D12		A24-B53-C3-D12		A66-B87-C3-D12		A24-B32-C4-D12
	A2-B5-C3-D12		A69-B53-C3-D12		A2-B89-C3-D12		A69-B32-C4-D12
20	A3-B5-C3-D12	75	A67-B53-C3-D12	130	A3-B89-C3-D12	185	A67-B32-C4-D12
	A9-B5-C3-D12		A39-B53-C3-D12		A9-B89-C3-D12		A39-B32-C4-D12
	A13-B5-C3-D12		A65-B53-C3-D12		A13-B89-C3-D12		A65-B32-C4-D12
	A24-B5-C3-D12		A66-B53-C3-D12		A24-B89-C3-D12		A66-B32-C4-D12
	A69-B5-C3-D12		A2-B79-C3-D12		A69-B89-C3-D12		A2-B39-C4-D12
25	A67-B5-C3-D12	80	A3-B79-C3-D12	135	A67-B89-C3-D12	190	A3-B39-C4-D12
	A39-B5-C3-D12		A9-B79-C3-D12		A39-B89-C3-D12		A9-B39-C4-D12
	A65-B5-C3-D12		A13-B79-C3-D12		A65-B89-C3-D12		A13-B39-C4-D12
	A66-B5-C3-D12		A24-B79-C3-D12		A66-B89-C3-D12		A24-B39-C4-D12
	A2-B6-C3-D12		A69-B79-C3-D12		A2-B92-C3-D12		A69-B39-C4-D12
30	A3-B6-C3-D12	85	A67-B79-C3-D12	140	A3-B92-C3-D12	195	A67-B39-C4-D12
	A9-B6-C3-D12		A39-B79-C3-D12		A9-B92-C3-D12		A39-B39-C4-D12
	A13-B6-C3-D12		A65-B79-C3-D12		A13-B92-C3-D12		A65-B39-C4-D12
	A24-B6-C3-D12		A66-B79-C3-D12		A24-B92-C3-D12		A66-B39-C4-D12
	A69-B6-C3-D12		A2-B80-C3-D12		A69-B92-C3-D12		A2-B45-C4-D12
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	A39-B6-C3-D12		A9-B80-C3-D12		A39-B92-C3-D12		A9-B45-C4-D12
	A65-B6-C3-D12		A13-B80-C3-D12		A65-B92-C3-D12		A13-B45-C4-D12
	A66-B6-C3-D12		A24-B80-C3-D12		A66-B92-C3-D12		A24-B45-C4-D12
	A2-B32-C3-D12		A69-B80-C3-D12		A2-B4-C4-D12		A69-B45-C4-D12
40	A3-B32-C3-D12	95	A67-B80-C3-D12	150	A3-B4-C4-D12	205	A67-B45-C4-D12
	A9-B32-C3-D12		A39-B80-C3-D12		A9-B4-C4-D12		A39-B45-C4-D12
	A13-B32-C3-D12		A65-B80-C3-D12		A13-B4-C4-D12		A65-B45-C4-D12
	A24-B32-C3-D12		A66-B80-C3-D12		A24-B4-C4-D12		A66-B45-C4-D12
	A69-B32-C3-D12		A2-B85-C3-D12		A69-B4-C4-D12		A2-B53-C4-D12
45	A67-B32-C3-D12	100	A3-B85-C3-D12	155	A67-B4-C4-D12	210	A3-B53-C4-D12
	A39-B32-C3-D12		A9-B85-C3-D12		A39-B4-C4-D12		A9-B53-C4-D12
	A65-B32-C3-D12		A13-B85-C3-D12		A65-B4-C4-D12		A13-B53-C4-D12
	A66-B32-C3-D12		A24-B85-C3-D12		A66-B4-C4-D12		A24-B53-C4-D12
	A2-B39-C3-D12		A69-B85-C3-D12		A2-B5-C4-D12		A69-B53-C4-D12
50	A3-B39-C3-D12	105	A67-B85-C3-D12	160	A3-B5-C4-D12	215	A67-B53-C4-D12
	A9-B39-C3-D12		A39-B85-C3-D12		A9-B5-C4-D12		A39-B53-C4-D12
	A13-B39-C3-D12		A65-B85-C3-D12		A13-B5-C4-D12		A65-B53-C4-D12
	A24-B39-C3-D12		A66-B85-C3-D12		A24-B5-C4-D12		A66-B53-C4-D12
	A69-B39-C3-D12		A2-B86-C3-D12		A69-B5-C4-D12		A2-B79-C4-D12
55	A67-B39-C3-D12	110	A3-B86-C3-D12	165	A67-B5-C4-D12	220	A3-B79-C4-D12

	A9-B79-C4-D12			A39-B89-C4-D12			A9-B39-C5-D12			A39-B85-C5-D12
	A13-B79-C4-D12			A65-B89-C4-D12			A13-B39-C5-D12			A65-B85-C5-D12
	A24-B79-C4-D12			A66-B89-C4-D12			A24-B39-C5-D12			A66-B85-C5-D12
	A69-B79-C4-D12			A2-B92-C4-D12			A69-B39-C5-D12			A2-B86-C5-D12
5	A67-B79-C4-D12	60		A3-B92-C4-D12	115		A67-B39-C5-D12	170		A3-B86-C5-D12
	A39-B79-C4-D12			A9-B92-C4-D12			A39-B39-C5-D12			A9-B86-C5-D12
	A65-B79-C4-D12			A13-B92-C4-D12			A65-B39-C5-D12			A13-B86-C5-D12
	A66-B79-C4-D12			A24-B92-C4-D12			A66-B39-C5-D12			A24-B86-C5-D12
	A2-B80-C4-D12			A69-B92-C4-D12			A2-B45-C5-D12			A69-B86-C5-D12
10	A3-B80-C4-D12	65		A67-B92-C4-D12	120		A3-B45-C5-D12	175		A67-B86-C5-D12
	A9-B80-C4-D12			A39-B92-C4-D12			A9-B45-C5-D12			A39-B86-C5-D12
	A13-B80-C4-D12			A65-B92-C4-D12			A13-B45-C5-D12			A65-B86-C5-D12
	A24-B80-C4-D12			A66-B92-C4-D12			A24-B45-C5-D12			A66-B86-C5-D12
	A69-B80-C4-D12			A2-B4-C5-D12			A69-B45-C5-D12			A2-B87-C5-D12
15	A67-B80-C4-D12	70		A3-B4-C5-D12	125		A67-B45-C5-D12	180		A3-B87-C5-D12
	A39-B80-C4-D12			A9-B4-C5-D12			A39-B45-C5-D12			A9-B87-C5-D12
	A65-B80-C4-D12			A13-B4-C5-D12			A65-B45-C5-D12			A13-B87-C5-D12
	A66-B80-C4-D12			A24-B4-C5-D12			A66-B45-C5-D12			A24-B87-C5-D12
	A2-B85-C4-D12			A69-B4-C5-D12			A2-B53-C5-D12			A69-B87-C5-D12
20	A3-B85-C4-D12	75		A67-B4-C5-D12	130		A3-B53-C5-D12	185		A67-B87-C5-D12
	A9-B85-C4-D12			A39-B4-C5-D12			A9-B53-C5-D12			A39-B87-C5-D12
	A13-B85-C4-D12			A65-B4-C5-D12			A13-B53-C5-D12			A65-B87-C5-D12
	A24-B85-C4-D12			A66-B4-C5-D12			A24-B53-C5-D12			A66-B87-C5-D12
	A69-B85-C4-D12			A2-B5-C5-D12			A69-B53-C5-D12			A2-B89-C5-D12
25	A67-B85-C4-D12	80		A3-B5-C5-D12	135		A67-B53-C5-D12	190		A3-B89-C5-D12
	A39-B85-C4-D12			A9-B5-C5-D12			A39-B53-C5-D12			A9-B89-C5-D12
	A65-B85-C4-D12			A13-B5-C5-D12			A65-B53-C5-D12			A13-B89-C5-D12
	A66-B85-C4-D12			A24-B5-C5-D12			A66-B53-C5-D12			A24-B89-C5-D12
	A2-B86-C4-D12			A69-B5-C5-D12			A2-B79-C5-D12			A69-B89-C5-D12
30	A3-B86-C4-D12	85		A67-B5-C5-D12	140		A3-B79-C5-D12	195		A67-B89-C5-D12
	A9-B86-C4-D12			A39-B5-C5-D12			A9-B79-C5-D12			A39-B89-C5-D12
	A13-B86-C4-D12			A65-B5-C5-D12			A13-B79-C5-D12			A65-B89-C5-D12
	A24-B86-C4-D12			A66-B5-C5-D12			A24-B79-C5-D12			A66-B89-C5-D12
	A69-B86-C4-D12			A2-B6-C5-D12			A69-B79-C5-D12			A2-B92-C5-D12
35	A67-B86-C4-D12	90		A3-B6-C5-D12	145		A67-B79-C5-D12	200		A3-B92-C5-D12
	A39-B86-C4-D12			A9-B6-C5-D12			A39-B79-C5-D12			A9-B92-C5-D12
	A65-B86-C4-D12			A13-B6-C5-D12			A65-B79-C5-D12			A13-B92-C5-D12
	A66-B86-C4-D12			A24-B6-C5-D12			A66-B79-C5-D12			A24-B92-C5-D12
	A2-B87-C4-D12			A69-B6-C5-D12			A2-B80-C5-D12			A69-B92-C5-D12
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	A9-B87-C4-D12			A39-B6-C5-D12			A9-B80-C5-D12			A39-B92-C5-D12
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161

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163

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167

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168

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	A69-B6-C9-D13		A2-B80-C9-D13		A69-B92-C9-D13		A2-B45-C10-D13
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	A9-B45-C10-D13		A39-B86-C10-D13		A9-B6-C11-D13	A39-B79-C11-D13
	A13-B45-C10-D13		A65-B86-C10-D13		A13-B6-C11-D13	A65-B79-C11-D13
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	A65-B45-C10-D13		A13-B87-C10-D13		A65-B6-C11-D13	A13-B80-C11-D13
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	A2-B53-C10-D13		A69-B87-C10-D13		A2-B32-C11-D13	A69-B80-C11-D13
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	A69-B85-C10-D13		A2-B5-C11-D13		A69-B53-C11-D13	A2-B89-C11-D13
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173

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	A2-B5-C12-D13		A69-B53-C12-D13		A2-B89-C12-D13		A69-B32-C13-D13
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	A2-B6-C12-D13		A69-B79-C12-D13		A2-B92-C12-D13		A69-B39-C13-D13
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	A24-B39-C12-D13		A66-B85-C12-D13		A24-B5-C13-D13		A66-B53-C13-D13
	A69-B39-C12-D13		A2-B86-C12-D13		A69-B5-C13-D13		A2-B79-C13-D13
55	A67-B39-C12-D13	110	A3-B86-C12-D13	165	A67-B5-C13-D13	220	A3-B79-C13-D13

174

	Column 1		Column 2		Column 3		Column 4
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	A13-B79-C13-D13		A65-B89-C13-D13		A13-B39-C1-D14		A65-B85-C1-D14
	A24-B79-C13-D13		A66-B89-C13-D13		A24-B39-C1-D14		A66-B85-C1-D14
	A69-B79-C13-D13		A2-B92-C13-D13		A69-B39-C1-D14		A2-B86-C1-D14
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	A69-B80-C13-D13		A2-B4-C1-D14		A69-B45-C1-D14		A2-B87-C1-D14
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	A69-B85-C13-D13		A2-B5-C1-D14		A69-B53-C1-D14		A2-B89-C1-D14
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177

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	A9-B45-C5-D14		A39-B86-C5-D14		A9-B6-C6-D14		A39-B79-C6-D14
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	A24-B45-C5-D14		A66-B86-C5-D14		A24-B6-C6-D14		A66-B79-C6-D14
	A69-B45-C5-D14		A2-B87-C5-D14		A69-B6-C6-D14		A2-B80-C6-D14
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	A65-B45-C5-D14		A13-B87-C5-D14		A65-B6-C6-D14		A13-B80-C6-D14
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	A69-B53-C5-D14		A2-B89-C5-D14		A69-B32-C6-D14		A2-B85-C6-D14
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55	A67-B80-C5-D14	110	A3-B4-C6-D14	165	A67-B45-C6-D14	220	A3-B87-C6-D14

	Col 1		Col 2		Col 3		Col 4
	A9-B87-C6-D14		A39-B6-C7-D14		A9-B80-C7-D14		A39-B92-C7-D14
	A13-B87-C6-D14		A65-B6-C7-D14		A13-B80-C7-D14		A65-B92-C7-D14
	A24-B87-C6-D14		A66-B6-C7-D14		A24-B80-C7-D14		A66-B92-C7-D14
	A69-B87-C6-D14		A2-B32-C7-D14		A69-B80-C7-D14		A2-B4-C8-D14
5	A67-B87-C6-D14	60	A3-B32-C7-D14	115	A67-B80-C7-D14	170	A3-B4-C8-D14
	A39-B87-C6-D14		A9-B32-C7-D14		A39-B80-C7-D14		A9-B4-C8-D14
	A65-B87-C6-D14		A13-B32-C7-D14		A65-B80-C7-D14		A13-B4-C8-D14
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	A2-B89-C6-D14		A69-B32-C7-D14		A2-B85-C7-D14		A69-B4-C8-D14
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	A69-B89-C6-D14		A2-B39-C7-D14		A69-B85-C7-D14		A2-B5-C8-D14
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	A65-B89-C6-D14		A13-B39-C7-D14		A65-B85-C7-D14		A13-B5-C8-D14
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55	A67-B86-C8-D14	110	A3-B6-C9-D14	165	A67-B79-C9-D14	220	A3-B92-C9-D14

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185

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188

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189

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191

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193

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A13-B53-C9-D16	A65-B87-C9-D16	A13-B32-C10-D16	A65-B80-C10-D16
A24-B53-C9-D16	A66-B87-C9-D16	A24-B32-C10-D16	A66-B80-C10-D16
A69-B53-C9-D16	A2-B89-C9-D16	A69-B32-C10-D16	A2-B85-C10-D16
55 A67-B53-C9-D16	110 A3-B89-C9-D16	165 A67-B32-C10-D16	220 A3-B85-C10-D16

197

	Column 1		Column 2		Column 3		Column 4
	A9-B85-C10-D16		A39-B4-C11-D16		A9-B53-C11-D16		A39-B87-C11-D16
	A13-B85-C10-D16		A65-B4-C11-D16		A13-B53-C11-D16		A65-B87-C11-D16
	A24-B85-C10-D16		A66-B4-C11-D16		A24-B53-C11-D16		A66-B87-C11-D16
	A69-B85-C10-D16		A2-B5-C11-D16		A69-B53-C11-D16		A2-B89-C11-D16
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	A2-B86-C10-D16		A69-B5-C11-D16		A2-B79-C11-D16		A69-B89-C11-D16
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	A13-B86-C10-D16		A65-B5-C11-D16		A13-B79-C11-D16		A65-B89-C11-D16
	A24-B86-C10-D16		A66-B5-C11-D16		A24-B79-C11-D16		A66-B89-C11-D16
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	A13-B32-C12-D16		A65-B80-C12-D16		A13-B4-C13-D16		A65-B45-C13-D16
	A24-B32-C12-D16		A66-B80-C12-D16		A24-B4-C13-D16		A66-B45-C13-D16
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	A69-B39-C12-D16		A2-B86-C12-D16		A69-B5-C13-D16		A2-B79-C13-D16
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	A24-B45-C12-D16		A66-B86-C12-D16		A24-B6-C13-D16		A66-B79-C13-D16
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199

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	A69-B85-C1-D17		A2-B5-C2-D17
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	A39-B85-C1-D17		A9-B5-C2-D17
	A65-B85-C1-D17		A13-B5-C2-D17
	A66-B85-C1-D17		A24-B5-C2-D17
	A2-B86-C1-D17		A69-B5-C2-D17
130	A3-B86-C1-D17	185	A67-B5-C2-D17
	A9-B86-C1-D17		A39-B5-C2-D17
	A13-B86-C1-D17		A65-B5-C2-D17
	A24-B86-C1-D17		A66-B5-C2-D17
	A69-B86-C1-D17		A2-B6-C2-D17
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	A39-B86-C1-D17		A9-B6-C2-D17
	A65-B86-C1-D17		A13-B6-C2-D17
	A66-B86-C1-D17		A24-B6-C2-D17
	A2-B87-C1-D17		A69-B6-C2-D17
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	A9-B87-C1-D17		A39-B6-C2-D17
	A13-B87-C1-D17		A65-B6-C2-D17
	A24-B87-C1-D17		A66-B6-C2-D17
	A69-B87-C1-D17		A2-B32-C2-D17
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	A39-B87-C1-D17		A9-B32-C2-D17
	A65-B87-C1-D17		A13-B32-C2-D17
	A66-B87-C1-D17		A24-B32-C2-D17
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	A9-B89-C1-D17		A39-B32-C2-D17
	A13-B89-C1-D17		A65-B32-C2-D17
	A24-B89-C1-D17		A66-B32-C2-D17
	A69-B89-C1-D17		A2-B39-C2-D17
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	A39-B89-C1-D17		A9-B39-C2-D17
	A65-B89-C1-D17		A13-B39-C2-D17
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	A24-B92-C1-D17		A66-B39-C2-D17
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200

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	A69-B45-C2-D17		A2-B87-C2-D17		A69-B6-C3-D17		A2-B80-C3-D17
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	A69-B79-C2-D17		A2-B92-C2-D17		A69-B39-C3-D17		A2-B86-C3-D17
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	A69-B86-C2-D17		A2-B6-C3-D17		A69-B79-C3-D17		A2-B92-C3-D17
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201

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	A69-B92-C3-D17		A2-B45-C4-D17		A69-B86-C4-D17		A2-B6-C5-D17
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202

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	A69-B89-C3-D18		A2-B39-C4-D18		A69-B85-C4-D18		A2-B5-C5-D18
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210

	A9-B5-C5-D18	A39-B53-C5-D18	A9-B89-C5-D18	A39-B32-C6-D18

#	Col 1	#	Col 2	#	Col 3	#	Col 4
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	A13-B5-C5-D18		A65-B53-C5-D18		A13-B89-C5-D18		A65-B32-C6-D18
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	A69-B5-C5-D18		A2-B79-C5-D18		A69-B89-C5-D18		A2-B39-C6-D18
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	A2-B6-C5-D18		A69-B79-C5-D18		A2-B92-C5-D18		A69-B39-C6-D18
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35	A67-B39-C5-D18	90	A3-B86-C5-D18	145	A67-B5-C6-D18	200	A3-B79-C6-D18
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213

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275

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	A24-B39-C8-D26		A66-B85-C8-D26		A24-B5-C9-D26		A66-B53-C9-D26
	A69-B39-C8-D26		A2-B86-C8-D26		A69-B5-C9-D26		A2-B79-C9-D26
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279

	A9-B79-C9-D26		A39-B89-C9-D26		A9-B39-C10-D26		A39-B85-C10-D26
	A13-B79-C9-D26		A65-B89-C9-D26		A13-B39-C10-D26		A65-B85-C10-D26
	A24-B79-C9-D26		A66-B89-C9-D26		A24-B39-C10-D26		A66-B85-C10-D26
	A69-B79-C9-D26		A2-B92-C9-D26		A69-B39-C10-D26		A2-B86-C10-D26
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	A65-B79-C9-D26		A13-B92-C9-D26		A65-B39-C10-D26		A13-B86-C10-D26
	A66-B79-C9-D26		A24-B92-C9-D26		A66-B39-C10-D26		A24-B86-C10-D26
	A2-B80-C9-D26		A69-B92-C9-D26		A2-B45-C10-D26		A69-B86-C10-D26
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	A39-B80-C9-D26		A9-B4-C10-D26		A39-B45-C10-D26		A9-B87-C10-D26
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	A39-B87-C9-D26		A9-B32-C10-D26		A39-B80-C10-D26		A9-B4-C11-D26
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	A9-B89-C9-D26		A39-B32-C10-D26		A9-B85-C10-D26		A39-B4-C11-D26
	A13-B89-C9-D26		A65-B32-C10-D26		A13-B85-C10-D26		A65-B4-C11-D26
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	A69-B89-C9-D26		A2-B39-C10-D26		A69-B85-C10-D26		A2-B5-C11-D26
55	A67-B89-C9-D26	110	A3-B39-C10-D26	165	A67-B85-C10-D26	220	A3-B5-C11-D26

280

	A9-B5-C11-D26		A39-B53-C11-D26		A9-B89-C11-D26		A39-B32-C12-D26
	A13-B5-C11-D26		A65-B53-C11-D26		A13-B89-C11-D26		A65-B32-C12-D26
	A24-B5-C11-D26		A66-B53-C11-D26		A24-B89-C11-D26		A66-B32-C12-D26
	A69-B5-C11-D26		A2-B79-C11-D26		A69-B89-C11-D26		A2-B39-C12-D26
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	A9-B6-C11-D26		A39-B79-C11-D26		A9-B92-C11-D26		A39-B39-C12-D26
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	A69-B6-C11-D26		A2-B80-C11-D26		A69-B92-C11-D26		A2-B45-C12-D26
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	A9-B39-C11-D26		A39-B85-C11-D26		A9-B5-C12-D26		A39-B53-C12-D26
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	A69-B39-C11-D26		A2-B86-C11-D26		A69-B5-C12-D26		A2-B79-C12-D26
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	A39-B39-C11-D26		A9-B86-C11-D26		A39-B5-C12-D26		A9-B79-C12-D26
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40	A3-B45-C11-D26	95	A67-B86-C11-D26	150	A3-B6-C12-D26	205	A67-B79-C12-D26
	A9-B45-C11-D26		A39-B86-C11-D26		A9-B6-C12-D26		A39-B79-C12-D26
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	A69-B45-C11-D26		A2-B87-C11-D26		A69-B6-C12-D26		A2-B80-C12-D26
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	A2-B53-C11-D26		A69-B87-C11-D26		A2-B32-C12-D26		A69-B80-C12-D26
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	A24-B53-C11-D26		A66-B87-C11-D26		A24-B32-C12-D26		A66-B80-C12-D26
	A69-B53-C11-D26		A2-B89-C11-D26		A69-B32-C12-D26		A2-B85-C12-D26
55	A67-B53-C11-D26	110	A3-B89-C11-D26	165	A67-B32-C12-D26	220	A3-B85-C12-D26

	Column 1		Column 2		Column 3		Column 4
	A9-B85-C12-D26		A39-B4-C13-D26		A9-B53-C13-D26		A39-B87-C13-D26
	A13-B85-C12-D26		A65-B4-C13-D26		A13-B53-C13-D26		A65-B87-C13-D26
	A24-B85-C12-D26		A66-B4-C13-D26		A24-B53-C13-D26		A66-B87-C13-D26
	A69-B85-C12-D26		A2-B5-C13-D26		A69-B53-C13-D26		A2-B89-C13-D26
5	A67-B85-C12-D26	60	A3-B5-C13-D26	115	A67-B53-C13-D26	170	A3-B89-C13-D26
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	A66-B85-C12-D26		A24-B5-C13-D26		A66-B53-C13-D26		A24-B89-C13-D26
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15	A67-B86-C12-D26	70	A3-B6-C13-D26	125	A67-B79-C13-D26	180	A3-B92-C13-D26
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	A66-B86-C12-D26		A24-B6-C13-D26		A66-B79-C13-D26		A24-B92-C13-D26
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20	A3-B87-C12-D26	75	A67-B6-C13-D26	130	A3-B80-C13-D26	185	A67-B92-C13-D26
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	A69-B87-C12-D26		A2-B32-C13-D26		A69-B80-C13-D26		A2-B4-C1-D27
25	A67-B87-C12-D26	80	A3-B32-C13-D26	135	A67-B80-C13-D26	190	A3-B4-C1-D27
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	A65-B87-C12-D26		A13-B32-C13-D26		A65-B80-C13-D26		A13-B4-C1-D27
	A66-B87-C12-D26		A24-B32-C13-D26		A66-B80-C13-D26		A24-B4-C1-D27
	A2-B89-C12-D26		A69-B32-C13-D26		A2-B85-C13-D26		A69-B4-C1-D27
30	A3-B89-C12-D26	85	A67-B32-C13-D26	140	A3-B85-C13-D26	195	A67-B4-C1-D27
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	A24-B89-C12-D26		A66-B32-C13-D26		A24-B85-C13-D26		A66-B4-C1-D27
	A69-B89-C12-D26		A2-B39-C13-D26		A69-B85-C13-D26		A2-B5-C1-D27
35	A67-B89-C12-D26	90	A3-B39-C13-D26	145	A67-B85-C13-D26	200	A3-B5-C1-D27
	A39-B89-C12-D26		A9-B39-C13-D26		A39-B85-C13-D26		A9-B5-C1-D27
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	A66-B92-C12-D26		A24-B45-C13-D26		A66-B86-C13-D26		A24-B6-C1-D27
	A2-B4-C13-D26		A69-B45-C13-D26		A2-B87-C13-D26		A69-B6-C1-D27
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	A9-B32-C1-D27		A39-B80-C1-D27		A9-B4-C2-D27		A39-B45-C2-D27
	A13-B32-C1-D27		A65-B80-C1-D27		A13-B4-C2-D27		A65-B45-C2-D27
	A24-B32-C1-D27		A66-B80-C1-D27		A24-B4-C2-D27		A66-B45-C2-D27
	A69-B32-C1-D27		A2-B85-C1-D27		A69-B4-C2-D27		A2-B53-C2-D27
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	A69-B45-C1-D27		A2-B87-C1-D27		A69-B6-C2-D27		A2-B80-C2-D27
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	Col 1		Col 2		Col 3		Col 4
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	Col 1		Col 2		Col 3		Col 4
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	A69-B79-C12-D27		A2-B92-C12-D27		A69-B39-C13-D27		A2-B86-C13-D27
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	A39-B79-C12-D27		A9-B92-C12-D27		A39-B39-C13-D27		A9-B86-C13-D27
	A65-B79-C12-D27		A13-B92-C12-D27		A65-B39-C13-D27		A13-B86-C13-D27
	A66-B79-C12-D27		A24-B92-C12-D27		A66-B39-C13-D27		A24-B86-C13-D27
	A2-B80-C12-D27		A69-B92-C12-D27		A2-B45-C13-D27		A69-B86-C13-D27
50	A3-B80-C12-D27	105	A67-B92-C12-D27	160	A3-B45-C13-D27	215	A67-B86-C13-D27
	A9-B80-C12-D27		A39-B92-C12-D27		A9-B45-C13-D27		A39-B86-C13-D27
	A13-B80-C12-D27		A65-B92-C12-D27		A13-B45-C13-D27		A65-B86-C13-D27
	A24-B80-C12-D27		A66-B92-C12-D27		A24-B45-C13-D27		A66-B86-C13-D27
	A69-B80-C12-D27		A2-B4-C13-D27		A69-B45-C13-D27		A2-B87-C13-D27
55	A67-B80-C12-D27	110	A3-B4-C13-D27	165	A67-B45-C13-D27	220	A3-B87-C13-D27

290

	A9-B87-C13-D27		A39-B6-C1-D28		A9-B80-C1-D28		A39-B92-C1-D28
	A13-B87-C13-D27		A65-B6-C1-D28		A13-B80-C1-D28		A65-B92-C1-D28
	A24-B87-C13-D27		A66-B6-C1-D28		A24-B80-C1-D28		A66-B92-C1-D28
	A69-B87-C13-D27		A2-B32-C1-D28		A69-B80-C1-D28		A2-B4-C2-D28
5	A67-B87-C13-D27	60	A3-B32-C1-D28	115	A67-B80-C1-D28	170	A3-B4-C2-D28
	A39-B87-C13-D27		A9-B32-C1-D28		A39-B80-C1-D28		A9-B4-C2-D28
	A65-B87-C13-D27		A13-B32-C1-D28		A65-B80-C1-D28		A13-B4-C2-D28
	A66-B87-C13-D27		A24-B32-C1-D28		A66-B80-C1-D28		A24-B4-C2-D28
	A2-B89-C13-D27		A69-B32-C1-D28		A2-B85-C1-D28		A69-B4-C2-D28
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	A9-B89-C13-D27		A39-B32-C1-D28		A9-B85-C1-D28		A39-B4-C2-D28
	A13-B89-C13-D27		A65-B32-C1-D28		A13-B85-C1-D28		A65-B4-C2-D28
	A24-B89-C13-D27		A66-B32-C1-D28		A24-B85-C1-D28		A66-B4-C2-D28
	A69-B89-C13-D27		A2-B39-C1-D28		A69-B85-C1-D28		A2-B5-C2-D28
15	A67-B89-C13-D27	70	A3-B39-C1-D28	125	A67-B85-C1-D28	180	A3-B5-C2-D28
	A39-B89-C13-D27		A9-B39-C1-D28		A39-B85-C1-D28		A9-B5-C2-D28
	A65-B89-C13-D27		A13-B39-C1-D28		A65-B85-C1-D2S		A13-B5-C2-D28
	A66-B89-C13-D27		A24-B39-C1-D28		A66-B85-C1-D28		A24-B5-C2-D28
	A2-B92-C13-D27		A69-B39-C1-D28		A2-B86-C1-D28		A69-B5-C2-D28
20	A3-B92-C13-D27	75	A67-B39-C1-D28	130	A3-B86-C1-D28	185	A67-B5-C2-D28
	A9-B92-C13-D27		A39-B39-C1-D28		A9-B86-C1-D28		A39-B5-C2-D28
	A13-B92-C13-D27		A65-B39-C1-D28		A13-B86-C1-D28		A65-B5-C2-D28
	A24-B92-C13-D27		A66-B39-C1-D28		A24-B86-C1-D28		A66-B5-C2-D28
	A69-B92-C13-D27		A2-B45-C1-D28		A69-B86-C1-D28		A2-B6-C2-D28
25	A67-B92-C13-D27	80	A3-B45-C1-D28	135	A67-B86-C1-D28	190	A3-B6-C2-D28
	A39-B92-C13-D27		A9-B45-C1-D28		A39-B86-C1-D28		A9-B6-C2-D28
	A65-B92-C13-D27		A13-B45-C1-D28		A65-B86-C1-D28		A13-B6-C2-D28
	A66-B92-C13-D27		A24-B45-C1-D28		A66-B86-C1-D28		A24-B6-C2-D28
	A2-B4-C1-D28		A69-B45-C1-D28		A2-B87-C1-D28		A69-B6-C2-D28
30	A3-B4-C1-D28	85	A67-B45-C1-D28	140	A3-B87-C1-D28	195	A67-B6-C2-D28
	A9-B4-C1-D28		A39-B45-C1-D28		A9-B87-C1-D28		A39-B6-C2-D28
	A13-B4-C1-D28		A65-B45-C1-D28		A13-B87-C1-D28		A65-B6-C2-D28
	A24-B4-C1-D28		A66-B45-C1-D28		A24-B87-C1-D28		A66-B6-C2-D28
	A69-B4-C1-D28		A2-B53-C1-D28		A69-B87-C1-D28		A2-B32-C2-D28
35	A67-B4-C1-D28	90	A3-B53-C1-D28	145	A67-B87-C1-D28	200	A3-B32-C2-D28
	A39-B4-C1-D28		A9-B53-C1-D28		A39-B87-C1-D28		A9-B32-C2-D28
	A65-B4-C1-D28		A13-B53-C1-D28		A65-B87-C1-D28		A13-B32-C2-D28
	A66-B4-C1-D28		A24-B53-C1-D28		A66-B87-C1-D28		A24-B32-C2-D28
	A2-B5-C1-D28		A69-B53-C1-D28		A2-B89-C1-D28		A69-B32-C2-D28
40	A3-B5-C1-D28	95	A67-B53-C1-D28	150	A3-B89-C1-D28	205	A67-B32-C2-D28
	A9-B5-C1-D28		A39-B53-C1-D28		A9-B89-C1-D28		A39-B32-C2-D28
	A13-B5-C1-D28		A65-B53-C1-D28		A13-B89-C1-D28		A65-B32-C2-D28
	A24-B5-C1-D28		A66-B53-C1-D28		A24-B89-C1-D28		A66-B32-C2-D28
	A69-B5-C1-D28		A2-B79-C1-D28		A69-B89-C1-D28		A2-B39-C2-D28
45	A67-B5-C1-D28	100	A3-B79-C1-D28	155	A67-B89-C1-D28	210	A3-B39-C2-D28
	A39-B5-C1-D28		A9-B79-C1-D28		A39-B89-C1-D28		A9-B39-C2-D28
	A65-B5-C1-D28		A13-B79-C1-D28		A65-B89-C1-D28		A13-B39-C2-D28
	A66-B5-C1-D28		A24-B79-C1-D28		A66-B89-C1-D28		A24-B39-C2-D28
	A2-B6-C1-D28		A69-B79-C1-D28		A2-B92-C1-D28		A69-B39-C2-D28
50	A3-B6-C1-D28	105	A67-B79-C1-D28	160	A3-B92-C1-D28	215	A67-B39-C2-D28
	A9-B6-C1-D28		A39-B79-C1-D28		A9-B92-C1-D28		A39-B39-C2-D28
	A13-B6-C1-D28		A65-B79-C1-D28		A13-B92-C1-D28		A65-B39-C2-D28
	A24-B6-C1-D28		A66-B79-C1-D28		A24-B92-C1-D28		A66-B39-C2-D28
	A69-B6-C1-D28		A2-B80-C1-D28		A69-B92-C1-D28		A2-B45-C2-D28
55	A67-B6-C1-D28	110	A3-B80-C1-D28	165	A67-B92-C1-D28	220	A3-B45-C2-D28

	Col 1		Col 2		Col 3		Col 4
	A9-B45-C2-D28		A39-B86-C2-D28		A9-B6-C3-D28		A39-B79-C3-D28
	A13-B45-C2-D28		A65-B86-C2-D28		A13-B6-C3-D28		A65-B79-C3-D28
	A24-B45-C2-D28		A66-B86-C2-D28		A24-B6-C3-D28		A66-B79-C3-D28
	A69-B45-C2-D28		A2-B87-C2-D28		A69-B6-C3-D28		A2-B80-C3-D28
5	A67-B45-C2-D28	60	A3-B87-C2-D28	115	A67-B6-C3-D28	170	A3-B80-C3-D28
	A39-B45-C2-D28		A9-B87-C2-D28		A39-B6-C3-D28		A9-B80-C3-D28
	A65-B45-C2-D28		A13-B87-C2-D28		A65-B6-C3-D28		A13-B80-C3-D28
	A66-B45-C2-D28		A24-B87-C2-D28		A66-B6-C3-D28		A24-B80-C3-D28
	A2-B53-C2-D28		A69-B87-C2-D28		A2-B32-C3-D28		A69-B80-C3-D28
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	A9-B53-C2-D28		A39-B87-C2-D28		A9-B32-C3-D28		A39-B80-C3-D28
	A13-B53-C2-D28		A65-B87-C2-D28		A13-B32-C3-D28		A65-B80-C3-D28
	A24-B53-C2-D28		A66-B87-C2-D28		A24-B32-C3-D28		A66-B80-C3-D28
	A69-B53-C2-D28		A2-B89-C2-D28		A69-B32-C3-D28		A2-B85-C3-D28
15	A67-B53-C2-D28	70	A3-B89-C2-D28	125	A67-B32-C3-D28	180	A3-B85-C3-D28
	A39-B53-C2-D28		A9-B89-C2-D28		A39-B32-C3-D28		A9-B85-C3-D28
	A65-B53-C2-D28		A13-B89-C2-D28		A65-B32-C3-D28		A13-B85-C3-D28
	A66-B53-C2-D28		A24-B89-C2-D28		A66-B32-C3-D28		A24-B85-C3-D28
	A2-B79-C2-D28		A69-B89-C2-D28		A2-B39-C3-D28		A69-B85-C3-D28
20	A3-B79-C2-D28	75	A67-B89-C2-D28	130	A3-B39-C3-D28	185	A67-B85-C3-D28
	A9-B79-C2-D28		A39-B89-C2-D28		A9-B39-C3-D28		A39-B85-C3-D28
	A13-B79-C2-D28		A65-B89-C2-D28		A13-B39-C3-D28		A65-B85-C3-D28
	A24-B79-C2-D28		A66-B89-C2-D28		A24-B39-C3-D28		A66-B85-C3-D28
	A69-B79-C2-D28		A2-B92-C2-D28		A69-B39-C3-D28		A2-B86-C3-D28
25	A67-B79-C2-D28	80	A3-B92-C2-D28	135	A67-B39-C3-D28	190	A3-B86-C3-D28
	A39-B79-C2-D28		A9-B92-C2-D28		A39-B39-C3-D28		A9-B86-C3-D28
	A65-B79-C2-D28		A13-B92-C2-D28		A65-B39-C3-D28		A13-B86-C3-D28
	A66-B79-C2-D28		A24-B92-C2-D28		A66-B39-C3-D28		A24-B86-C3-D28
	A2-B80-C2-D28		A69-B92-C2-D28		A2-B45-C3-D28		A69-B86-C3-D28
30	A3-B80-C2-D28	85	A67-B92-C2-D28	140	A3-B45-C3-D28	195	A67-B86-C3-D28
	A9-B80-C2-D28		A39-B92-C2-D28		A9-B45-C3-D28		A39-B86-C3-D28
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	A69-B80-C2-D28		A2-B4-C3-D28		A69-B45-C3-D28		A2-B87-C3-D28
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	A9-B85-C2-D28		A39-B4-C3-D28		A9-B53-C3-D28		A39-B87-C3-D28
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	A69-B85-C2-D28		A2-B5-C3-D28		A69-B53-C3-D28		A2-B89-C3-D28
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	A2-B86-C2-D28		A69-B5-C3-D28		A2-B79-C3-D28		A69-B89-C3-D28
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	A69-B92-C3-D28		A2-B45-C4-D28		A69-B86-C4-D28		A2-B6-C5-D28
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	A24-B4-C4-D28		A66-B45-C4-D28		A24-B87-C4-D28		A66-B6-C5-D28
	A69-B4-C4-D28		A2-B53-C4-D28		A69-B87-C4-D28		A2-B32-C5-D28
15	A67-B4-C4-D28	70	A3-B53-C4-D28	125	A67-B87-C4-D28	180	A3-B32-C5-D28
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	A2-B5-C4-D28		A69-B53-C4-D28		A2-B89-C4-D28		A69-B32-C5-D28
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	A24-B5-C4-D28		A66-B53-C4-D28		A24-B89-C4-D28		A66-B32-C5-D28
	A69-B5-C4-D28		A2-B79-C4-D28		A69-B89-C4-D28		A2-B39-C5-D28
25	A67-B5-C4-D28	80	A3-B79-C4-D28	135	A67-B89-C4-D28	190	A3-B39-C5-D28
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	A2-B6-C4-D28		A69-B79-C4-D28		A2-B92-C4-D28		A69-B39-C5-D28
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	A13-B6-C4-D28		A65-B79-C4-D28		A13-B92-C4-D28		A65-B39-C5-D28
	A24-B6-C4-D28		A66-B79-C4-D28		A24-B92-C4-D28		A66-B39-C5-D28
	A69-B6-C4-D28		A2-B80-C4-D28		A69-B92-C4-D28		A2-B45-C5-D28
35	A67-B6-C4-D28	90	A3-B80-C4-D28	145	A67-B92-C4-D28	200	A3-B45-C5-D28
	A39-B6-C4-D28		A9-B80-C4-D28		A39-B92-C4-D28		A9-B45-C5-D28
	A65-B6-C4-D28		A13-B80-C4-D28		A65-B92-C4-D28		A13-B45-C5-D28
	A66-B6-C4-D28		A24-B80-C4-D28		A66-B92-C4-D28		A24-B45-C5-D28
	A2-B32-C4-D28		A69-B80-C4-D28		A2-B4-C5-D28		A69-B45-C5-D28
40	A3-B32-C4-D28	95	A67-B80-C4-D28	150	A3-B4-C5-D28	205	A67-B45-C5-D28
	A9-B32-C4-D28		A39-B80-C4-D28		A9-B4-C5-D28		A39-B45-C5-D28
	A13-B32-C4-D28		A65-B80-C4-D28		A13-B4-C5-D28		A65-B45-C5-D28
	A24-B32-C4-D28		A66-B80-C4-D28		A24-B4-C5-D28		A66-B45-C5-D28
	A69-B32-C4-D28		A2-B85-C4-D28		A69-B4-C5-D28		A2-B53-C5-D28
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	A39-B32-C4-D28		A9-B85-C4-D28		A39-B4-C5-D28		A9-B53-C5-D28
	A65-B32-C4-D28		A13-B85-C4-D28		A65-B4-C5-D28		A13-B53-C5-D28
	A66-B32-C4-D28		A24-B85-C4-D28		A66-B4-C5-D28		A24-B53-C5-D28
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	A9-B39-C4-D28		A39-B85-C4-D28		A9-B5-C5-D28		A39-B53-C5-D28
	A13-B39-C4-D28		A65-B85-C4-D28		A13-B5-C5-D28		A65-B53-C5-D28
	A24-B39-C4-D28		A66-B85-C4-D28		A24-B5-C5-D28		A66-B53-C5-D28
	A69-B39-C4-D28		A2-B86-C4-D28		A69-B5-C5-D28		A2-B79-C5-D28
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293

	A9-B79-C5-D28	A39-B89-C5-D28	A9-B39-C6-D28	A39-B85-C6-D28
	A13-B79-C5-D28	A65-B89-C5-D28	A13-B39-C6-D28	A65-B85-C6-D28
	A24-B79-C5-D28	A66-B89-C5-D28	A24-B39-C6-D28	A66-B85-C6-D28
	A69-B79-C5-D28	A2-B92-C5-D28	A69-B39-C6-D28	A2-B86-C6-D28
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	A39-B79-C5-D28	A9-B92-C5-D28	A39-B39-C6-D28	A9-B86-C6-D28
	A65-B79-C5-D28	A13-B92-C5-D28	A65-B39-C6-D28	A13-B86-C6-D28
	A66-B79-C5-D28	A24-B92-C5-D28	A66-B39-C6-D28	A24-B86-C6-D28
	A2-B80-C5-D28	A69-B92-C5-D28	A2-B45-C6-D28	A69-B86-C6-D28
10	A3-B80-C5-D28	65 A67-B92-C5-D28	120 A3-B45-C6-D28	175 A67-B86-C6-D28
	A9-B80-C5-D28	A39-B92-C5-D28	A9-B45-C6-D28	A39-B86-C6-D28
	A13-B80-C5-D28	A65-B92-C5-D28	A13-B45-C6-D28	A65-B86-C6-D28
	A24-B80-C5-D28	A66-B92-C5-D28	A24-B45-C6-D28	A66-B86-C6-D28
	A69-B80-C5-D28	A2-B4-C6-D28	A69-B45-C6-D28	A2-B87-C6-D28
15	A67-B80-C5-D28	70 A3-B4-C6-D28	125 A67-B45-C6-D28	180 A3-B87-C6-D28
	A39-B80-C5-D28	A9-B4-C6-D28	A39-B45-C6-D28	A9-B87-C6-D28
	A65-B80-C5-D28	A13-B4-C6-D28	A65-B45-C6-D28	A13-B87-C6-D28
	A66-B80-C5-D28	A24-B4-C6-D28	A66-B45-C6-D28	A24-B87-C6-D28
	A2-B85-C5-D28	A69-B4-C6-D28	A2-B53-C6-D28	A69-B87-C6-D28
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	A9-B85-C5-D28	A39-B4-C6-D28	A9-B53-C6-D28	A39-B87-C6-D28
	A13-B85-C5-D28	A65-B4-C6-D28	A13-B53-C6-D28	A65-B87-C6-D28
	A24-B85-C5-D28	A66-B4-C6-D28	A24-B53-C6-D28	A66-B87-C6-D28
	A69-B85-C5-D28	A2-B5-C6-D28	A69-B53-C6-D28	A2-B89-C6-D28
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	A39-B85-C5-D28	A9-B5-C6-D28	A39-B53-C6-D28	A9-B89-C6-D28
	A65-B85-C5-D28	A13-B5-C6-D28	A65-B53-C6-D28	A13-B89-C6-D28
	A66-B85-C5-D28	A24-B5-C6-D28	A66-B53-C6-D28	A24-B89-C6-D28
	A2-B86-C5-D28	A69-B5-C6-D28	A2-B79-C6-D28	A69-B89-C6-D28
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	A9-B86-C5-D28	A39-B5-C6-D28	A9-B79-C6-D28	A39-B89-C6-D28
	A13-B86-C5-D28	A65-B5-C6-D28	A13-B79-C6-D28	A65-B89-C6-D28
	A24-B86-C5-D28	A66-B5-C6-D28	A24-B79-C6-D28	A66-B89-C6-D28
	A69-B86-C5-D28	A2-B6-C6-D28	A69-B79-C6-D28	A2-B92-C6-D28
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	A39-B86-C5-D28	A9-B6-C6-D28	A39-B79-C6-D28	A9-B92-C6-D28
	A65-B86-C5-D28	A13-B6-C6-D28	A65-B79-C6-D28	A13-B92-C6-D28
	A66-B86-C5-D28	A24-B6-C6-D28	A66-B79-C6-D28	A24-B92-C6-D28
	A2-B87-C5-D28	A69-B6-C6-D28	A2-B80-C6-D28	A69-B92-C6-D28
40	A3-B87-C5-D28	95 A67-B6-C6-D28	150 A3-B80-C6-D28	205 A67-B92-C6-D28
	A9-B87-C5-D28	A39-B6-C6-D28	A9-B80-C6-D28	A39-B92-C6-D28
	A13-B87-C5-D28	A65-B6-C6-D28	A13-B80-C6-D28	A65-B92-C6-D28
	A24-B87-C5-D28	A66-B6-C6-D28	A24-B80-C6-D28	A66-B92-C6-D28
	A69-B87-C5-D28	A2-B32-C6-D28	A69-B80-C6-D28	A2-B4-C7-D28
45	A67-B87-C5-D28	100 A3-B32-C6-D28	155 A67-B80-C6-D28	210 A3-B4-C7-D28
	A39-B87-C5-D28	A9-B32-C6-D28	A39-B80-C6-D28	A9-B4-C7-D28
	A65-B87-C5-D28	A13-B32-C6-D28	A65-B80-C6-D28	A13-B4-C7-D28
	A66-B87-C5-D28	A24-B32-C6-D28	A66-B80-C6-D28	A24-B4-C7-D28
	A2-B89-C5-D28	A69-B32-C6-D28	A2-B85-C6-D28	A69-B4-C7-D28
50	A3-B89-C5-D28	105 A67-B32-C6-D28	160 A3-B85-C6-D28	215 A67-B4-C7-D28
	A9-B89-C5-D28	A39-B32-C6-D28	A9-B85-C6-D28	A39-B4-C7-D28
	A13-B89-C5-D28	A65-B32-C6-D28	A13-B85-C6-D28	A65-B4-C7-D28
	A24-B89-C5-D28	A66-B32-C6-D28	A24-B85-C6-D28	A66-B4-C7-D28
	A69-B89-C5-D28	A2-B39-C6-D28	A69-B85-C6-D28	A2-B5-C7-D28
55	A67-B89-C5-D28	110 A3-B39-C6-D28	165 A67-B85-C6-D28	220 A3-B5-C7-D28

294

	Col 1		Col 2		Col 3		Col 4
	A9-B5-C7-D28		A39-B53-C7-D28		A9-B89-C7-D28		A39-B32-C8-D28
	A13-B5-C7-D28		A65-B53-C7-D28		A13-B89-C7-D28		A65-B32-C8-D28
	A24-B5-C7-D28		A66-B53-C7-D28		A24-B89-C7-D28		A66-B32-C8-D28
	A69-B5-C7-D28		A2-B79-C7-D28		A69-B89-C7-D28		A2-B39-C8-D28
5	A67-B5-C7-D28	60	A3-B79-C7-D28	115	A67-B89-C7-D28	170	A3-B39-C8-D28
	A39-B5-C7-D28		A9-B79-C7-D28		A39-B89-C7-D28		A9-B39-C8-D28
	A65-B5-C7-D28		A13-B79-C7-D28		A65-B89-C7-D28		A13-B39-C8-D28
	A66-B5-C7-D28		A24-B79-C7-D28		A66-B89-C7-D28		A24-B39-C8-D28
	A2-B6-C7-D28		A69-B79-C7-D28		A2-B92-C7-D28		A69-B39-C8-D28
10	A3-B6-C7-D28	65	A67-B79-C7-D28	120	A3-B92-C7-D28	175	A67-B39-C8-D28
	A9-B6-C7-D28		A39-B79-C7-D28		A9-B92-C7-D28		A39-B39-C8-D28
	A13-B6-C7-D28		A65-B79-C7-D28		A13-B92-C7-D28		A65-B39-C8-D28
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	A69-B6-C7-D28		A2-B80-C7-D28		A69-B92-C7-D28		A2-B45-C8-D28
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	A39-B6-C7-D28		A9-B80-C7-D28		A39-B92-C7-D28		A9-B45-C8-D28
	A65-B6-C7-D28		A13-B80-C7-D28		A65-B92-C7-D28		A13-B45-C8-D28
	A66-B6-C7-D28		A24-B80-C7-D28		A66-B92-C7-D28		A24-B45-C8-D28
	A2-B32-C7-D28		A69-B80-C7-D28		A2-B4-C8-D28		A69-B45-C8-D28
20	A3-B32-C7-D28	75	A67-B80-C7-D28	130	A3-B4-C8-D28	185	A67-B45-C8-D28
	A9-B32-C7-D28		A39-B80-C7-D28		A9-B4-C8-D28		A39-B45-C8-D28
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	A66-B32-C7-D28		A24-B85-C7-D28		A66-B4-C8-D28		A24-B53-C8-D28
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	A2-B45-C7-D28		A69-B86-C7-D28		A2-B6-C8-D28		A69-B79-C8-D28
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	A69-B45-C7-D28		A2-B87-C7-D28		A69-B6-C8-D28		A2-B80-C8-D28
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	A66-B45-C7-D28		A24-B87-C7-D28		A66-B6-C8-D28		A24-B80-C8-D28
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	A24-B53-C7-D28		A66-B87-C7-D28		A24-B32-C8-D28		A66-B80-C8-D28
	A69-B53-C7-D28		A2-B89-C7-D28		A69-B32-C8-D28		A2-B85-C8-D28
55	A67-B53-C7-D28	110	A3-B89-C7-D28	165	A67-B32-C8-D28	220	A3-B85-C8-D28

295

	A9-B85-C8-D28		A39-B4-C9-D28		A9-B53-C9-D28	A39-B87-C9-D28
	A13-B85-C8-D28		A65-B4-C9-D28		A13-B53-C9-D28	A65-B87-C9-D28
	A24-B85-C8-D28		A66-B4-C9-D28		A24-B53-C9-D28	A66-B87-C9-D28
	A69-B85-C8-D28		A2-B5-C9-D28		A69-B53-C9-D28	A2-B89-C9-D28
5	A67-B85-C8-D28	60	A3-B5-C9-D28	115	A67-B53-C9-D28	170 A3-B89-C9-D28
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	A66-B85-C8-D28		A24-B5-C9-D28		A66-B53-C9-D28	A24-B89-C9-D28
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296

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297

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A9-B5-C5-D29	A39-B53-C5-D29	A9-B89-C5-D29	A39-B32-C6-D29
A13-B5-C5-D29	A65-B53-C5-D29	A13-B89-C5-D29	A65-B32-C6-D29
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A39-B5-C5-D29	A9-B79-C5-D29	A39-B89-C5-D29	A9-B39-C6-D29
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A9-B6-C5-D29	A39-B79-C5-D29	A9-B92-C5-D29	A39-B39-C6-D29
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A39-B32-C5-D29	A9-B85-C5-D29	A39-B4-C6-D29	A9-B53-C6-D29
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A9-B45-C5-D29	A39-B86-C5-D29	A9-B6-C6-D29	A39-B79-C6-D29
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	A69-B85-C6-D29		A2-B5-C7-D29		A69-B53-C7-D29		A2-B89-C7-D29
5	A67-B85-C6-D29	60	A3-B5-C7-D29	115	A67-B53-C7-D29	170	A3-B89-C7-D29
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	A65-B85-C6-D29		A13-B5-C7-D29		A65-B53-C7-D29		A13-B89-C7-D29
	A66-B85-C6-D29		A24-B5-C7-D29		A66-B53-C7-D29		A24-B89-C7-D29
	A2-B86-C6-D29		A69-B5-C7-D29		A2-B79-C7-D29		A69-B89-C7-D29
10	A3-B86-C6-D29	65	A67-B5-C7-D29	120	A3-B79-C7-D29	175	A67-B89-C7-D29
	A9-B86-C6-D29		A39-B5-C7-D29		A9-B79-C7-D29		A39-B89-C7-D29
	A13-B86-C6-D29		A65-B5-C7-D29		A13-B79-C7-D29		A65-B89-C7-D29
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	A69-B86-C6-D29		A2-B6-C7-D29		A69-B79-C7-D29		A2-B92-C7-D29
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	A39-B86-C6-D29		A9-B6-C7-D29		A39-B79-C7-D29		A9-B92-C7-D29
	A65-B86-C6-D29		A13-B6-C7-D29		A65-B79-C7-D29		A13-B92-C7-D29
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	A2-B87-C6-D29		A69-B6-C7-D29		A2-B80-C7-D29		A69-B92-C7-D29
20	A3-B87-C6-D29	75	A67-B6-C7-D29	130	A3-B80-C7-D29	185	A67-B92-C7-D29
	A9-B87-C6-D29		A39-B6-C7-D29		A9-B80-C7-D29		A39-B92-C7-D29
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	A24-B87-C6-D29		A66-B6-C7-D29		A24-B80-C7-D29		A66-B92-C7-D29
	A69-B87-C6-D29		A2-B32-C7-D29		A69-B80-C7-D29		A2-B4-C8-D29
25	A67-B87-C6-D29	80	A3-B32-C7-D29	135	A67-B80-C7-D29	190	A3-B4-C8-D29
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	A69-B89-C6-D29		A2-B39-C7-D29		A69-B85-C7-D29		A2-B5-C8-D29
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	A39-B89-C6-D29		A9-B39-C7-D29		A39-B85-C7-D29		A9-B5-C8-D29
	A65-B89-C6-D29		A13-B39-C7-D29		A65-B85-C7-D29		A13-B5-C8-D29
	A66-B89-C6-D29		A24-B39-C7-D29		A66-B85-C7-D29		A24-B5-C8-D29
	A2-B92-C6-D29		A69-B39-C7-D29		A2-B86-C7-D29		A69-B5-C8-D29
40	A3-B92-C6-D29	95	A67-B39-C7-D29	150	A3-B86-C7-D29	205	A67-B5-C8-D29
	A9-B92-C6-D29		A39-B39-C7-D29		A9-B86-C7-D29		A39-B5-C8-D29
	A13-B92-C6-D29		A65-B39-C7-D29		A13-B86-C7-D29		A65-B5-C8-D29
	A24-B92-C6-D29		A66-B39-C7-D29		A24-B86-C7-D29		A66-B5-C8-D29
	A69-B92-C6-D29		A2-B45-C7-D29		A69-B86-C7-D29		A2-B6-C8-D29
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	A2-B4-C7-D29		A69-B45-C7-D29		A2-B87-C7-D29		A69-B6-C8-D29
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	A13-B4-C7-D29		A65-B45-C7-D29		A13-B87-C7-D29		A65-B6-C8-D29
	A24-B4-C7-D29		A66-B45-C7-D29		A24-B87-C7-D29		A66-B6-C8-D29
	A69-B4-C7-D29		A2-B53-C7-D29		A69-B87-C7-D29		A2-B32-C8-D29
55	A67-B4-C7-D29	110	A3-B53-C7-D29	165	A67-B87-C7-D29	220	A3-B32-C8-D29

303

	Col 1		Col 2		Col 3		Col 4
	A9-B32-C8-D29		A39-B80-C8-D29		A9-B4-C9-D29		A39-B45-C9-D29
	A13-B32-C8-D29		A65-B80-C8-D29		A13-B4-C9-D29		A65-B45-C9-D29
	A24-B32-C8-D29		A66-B80-C8-D29		A24-B4-C9-D29		A66-B45-C9-D29
	A69-B32-C8-D29		A2-B85-C8-D29		A69-B4-C9-D29		A2-B53-C9-D29
5	A67-B32-C8-D29	60	A3-B85-C8-D29	115	A67-B4-C9-D29	170	A3-B53-C9-D29
	A39-B32-C8-D29		A9-B85-C8-D29		A39-B4-C9-D29		A9-B53-C9-D29
	A65-B32-C8-D29		A13-B85-C8-D29		A65-B4-C9-D29		A13-B53-C9-D29
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	A9-B39-C8-D29		A39-B85-C8-D29		A9-B5-C9-D29		A39-B53-C9-D29
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	A69-B39-C8-D29		A2-B86-C8-D29		A69-B5-C9-D29		A2-B79-C9-D29
15	A67-B39-C8-D29	70	A3-B86-C8-D29	125	A67-B5-C9-D29	180	A3-B79-C9-D29
	A39-B39-C8-D29		A9-B86-C8-D29		A39-B5-C9-D29		A9-B79-C9-D29
	A65-B39-C8-D29		A13-B86-C8-D29		A65-B5-C9-D29		A13-B79-C9-D29
	A66-B39-C8-D29		A24-B86-C8-D29		A66-B5-C9-D29		A24-B79-C9-D29
	A2-B45-C8-D29		A69-B86-C8-D29		A2-B6-C9-D29		A69-B79-C9-D29
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	A9-B45-C8-D29		A39-B86-C8-D29		A9-B6-C9-D29		A39-B79-C9-D29
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	A69-B45-C8-D29		A2-B87-C8-D29		A69-B6-C9-D29		A2-B80-C9-D29
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	A65-B45-C8-D29		A13-B87-C8-D29		A65-B6-C9-D29		A13-B80-C9-D29
	A66-B45-C8-D29		A24-B87-C8-D29		A66-B6-C9-D29		A24-B80-C9-D29
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30	A3-B53-C8-D29	85	A67-B87-C8-D29	140	A3-B32-C9-D29	195	A67-B80-C9-D29
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	A69-B53-C8-D29		A2-B89-C8-D29		A69-B32-C9-D29		A2-B85-C9-D29
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304

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A66-B5-C13-D29	A24-B79-C13-D29	A66-B89-C13-D29	A24-B39-C1-D30
A2-B6-C13-D29	A69-B79-C13-D29	A2-B92-C13-D29	A69-B39-C1-D30
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A9-B6-C13-D29	A39-B79-C13-D29	A9-B92-C13-D29	A39-B39-C1-D30
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A24-B39-C13-D29	A66-B85-C13-D29	A24-B5-C1-D30	A66-B53-C1-D30
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55 A67-B39-C13-D29	110 A3-B86-C13-D29	165 A67-B5-C1-D30	220 A3-B79-C1-D30

#	Compound	#	Compound	#	Compound	#	Compound
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	A24-B79-C1-D30		A66-B89-C1-D30		A24-B39-C2-D30		A66-B85-C2-D30
	A69-B79-C1-D30		A2-B92-C1-D30		A69-B39-C2-D30		A2-B86-C2-D30
5	A67-B79-C1-D30	60	A3-B92-C1-D30	115	A67-B39-C2-D30	170	A3-B86-C2-D30
	A39-B79-C1-D30		A9-B92-C1-D30		A39-B39-C2-D30		A9-B86-C2-D30
	A65-B79-C1-D30		A13-B92-C1-D30		A65-B39-C2-D30		A13-B86-C2-D30
	A66-B79-C1-D30		A24-B92-C1-D30		A66-B39-C2-D30		A24-B86-C2-D30
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	A13-B80-C1-D30		A65-B92-C1-D30		A13-B45-C2-D30		A65-B86-C2-D30
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310

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314

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324

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327

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333

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334

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336

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337

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	A24-B87-C9-D33		A66-B6-C10-D33		A24-B80-C10-D33		A66-B92-C10-D33
	A69-B87-C9-D33		A2-B32-C10-D33		A69-B80-C10-D33		A2-B4-C11-D33
25	A67-B87-C9-D33	80	A3-B32-C10-D33	135	A67-B80-C10-D33	190	A3-B4-C11-D33
	A39-B87-C9-D33		A9-B32-C10-D33		A39-B80-C10-D33		A9-B4-C11-D33
	A65-B87-C9-D33		A13-B32-C10-D33		A65-B80-C10-D33		A13-B4-C11-D33
	A66-B87-C9-D33		A24-B32-C10-D33		A66-B80-C10-D33		A24-B4-C11-D33
	A2-B89-C9-D33		A69-B32-C10-D33		A2-B85-C10-D33		A69-B4-C11-D33
30	A3-B89-C9-D33	85	A67-B32-C10-D33	140	A3-B85-C10-D33	195	A67-B4-C11-D33
	A9-B89-C9-D33		A39-B32-C10-D33		A9-B85-C10-D33		A39-B4-C11-D33
	A13-B89-C9-D33		A65-B32-C10-D33		A13-B85-C10-D33		A65-B4-C11-D33
	A24-B89-C9-D33		A66-B32-C10-D33		A24-B85-C10-D33		A66-B4-C11-D33
	A69-B89-C9-D33		A2-B39-C10-D33		A69-B85-C10-D33		A2-B5-C11-D33
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	A39-B89-C9-D33		A9-B39-C10-D33		A39-B85-C10-D33		A9-B5-C11-D33
	A65-B89-C9-D33		A13-B39-C10-D33		A65-B85-C10-D33		A13-B5-C11-D33
	A66-B89-C9-D33		A24-B39-C10-D33		A66-B85-C10-D33		A24-B5-C11-D33
	A2-B92-C9-D33		A69-B39-C10-D33		A2-B86-C10-D33		A69-B5-C11-D33
40	A3-B92-C9-D33	95	A67-B39-C10-D33	150	A3-B86-C10-D33	205	A67-B5-C11-D33
	A9-B92-C9-D33		A39-B39-C10-D33		A9-B86-C10-D33		A39-B5-C11-D33
	A13-B92-C9-D33		A65-B39-C10-D33		A13-B86-C10-D33		A65-B5-C11-D33
	A24-B92-C9-D33		A66-B39-C10-D33		A24-B86-C10-D33		A66-B5-C11-D33
	A69-B92-C9-D33		A2-B45-C10-D33		A69-B86-C10-D33		A2-B6-C11-D33
45	A67-B92-C9-D33	100	A3-B45-C10-D33	155	A67-B86-C10-D33	210	A3-B6-C11-D33
	A39-B92-C9-D33		A9-B45-C10-D33		A39-B86-C10-D33		A9-B6-C11-D33
	A65-B92-C9-D33		A13-B45-C10-D33		A65-B86-C10-D33		A13-B6-C11-D33
	A66-B92-C9-D33		A24-B45-C10-D33		A66-B86-C10-D33		A24-B6-C11-D33
	A2-B4-C10-D33		A69-B45-C10-D33		A2-B87-C10-D33		A69-B6-C11-D33
50	A3-B4-C10-D33	105	A67-B45-C10-D33	160	A3-B87-C10-D33	215	A67-B6-C11-D33
	A9-B4-C10-D33		A39-B45-C10-D33		A9-B87-C10-D33		A39-B6-C11-D33
	A13-B4-C10-D33		A65-B45-C10-D33		A13-B87-C10-D33		A65-B6-C11-D33
	A24-B4-C10-D33		A66-B45-C10-D33		A24-B87-C10-D33		A66-B6-C11-D33
	A69-B4-C10-D33		A2-B53-C10-D33		A69-B87-C10-D33		A2-B32-C11-D33
55	A67-B4-C10-D33	110	A3-B53-C10-D33	165	A67-B87-C10-D33	220	A3-B32-C11-D33

338

	A9-B32-C11-D33	A39-B80-C11-D33	A9-B4-C12-D33	A39-B45-C12-D33
	A13-B32-C11-D33	A65-B80-C11-D33	A13-B4-C12-D33	A65-B45-C12-D33
	A24-B32-C11-D33	A66-B80-C11-D33	A24-B4-C12-D33	A66-B45-C12-D33
	A69-B32-C11-D33	A2-B85-C11-D33	A69-B4-C12-D33	A2-B53-C12-D33
5	A67-B32-C11-D33	60 A3-B85-C11-D33	115 A67-B4-C12-D33	170 A3-B53-C12-D33
	A39-B32-C11-D33	A9-B85-C11-D33	A39-B4-C12-D33	A9-B53-C12-D33
	A65-B32-C11-D33	A13-B85-C11-D33	A65-B4-C12-D33	A13-B53-C12-D33
	A66-B32-C11-D33	A24-B85-C11-D33	A66-B4-C12-D33	A24-B53-C12-D33
	A2-B39-C11-D33	A69-B85-C11-D33	A2-B5-C12-D33	A69-B53-C12-D33
10	A3-B39-C11-D33	65 A67-B85-C11-D33	120 A3-B5-C12-D33	175 A67-B53-C12-D33
	A9-B39-C11-D33	A39-B85-C11-D33	A9-B5-C12-D33	A39-B53-C12-D33
	A13-B39-C11-D33	A65-B85-C11-D33	A13-B5-C12-D33	A65-B53-C12-D33
	A24-B39-C11-D33	A66-B85-C11-D33	A24-B5-C12-D33	A66-B53-C12-D33
	A69-B39-C11-D33	A2-B86-C11-D33	A69-B5-C12-D33	A2-B79-C12-D33
15	A67-B39-C11-D33	70 A3-B86-C11-D33	125 A67-B5-C12-D33	180 A3-B79-C12-D33
	A39-B39-C11-D33	A9-B86-C11-D33	A39-B5-C12-D33	A9-B79-C12-D33
	A65-B39-C11-D33	A13-B86-C11-D33	A65-B5-C12-D33	A13-B79-C12-D33
	A66-B39-C11-D33	A24-B86-C11-D33	A66-B5-C12-D33	A24-B79-C12-D33
	A2-B45-C11-D33	A69-B86-C11-D33	A2-B6-C12-D33	A69-B79-C12-D33
20	A3-B45-C11-D33	75 A67-B86-C11-D33	130 A3-B6-C12-D33	185 A67-B79-C12-D33
	A9-B45-C11-D33	A39-B86-C11-D33	A9-B6-C12-D33	A39-B79-C12-D33
	A13-B45-C11-D33	A65-B86-C11-D33	A13-B6-C12-D33	A65-B79-C12-D33
	A24-B45-C11-D33	A66-B86-C11-D33	A24-B6-C12-D33	A66-B79-C12-D33
	A69-B45-C11-D33	A2-B87-C11-D33	A69-B6-C12-D33	A2-B80-C12-D33
25	A67-B45-C11-D33	80 A3-B87-C11-D33	135 A67-B6-C12-D33	190 A3-B80-C12-D33
	A39-B45-C11-D33	A9-B87-C11-D33	A39-B6-C12-D33	A9-B80-C12-D33
	A65-B45-C11-D33	A13-B87-C11-D33	A65-B6-C12-D33	A13-B80-C12-D33
	A66-B45-C11-D33	A24-B87-C11-D33	A66-B6-C12-D33	A24-B80-C12-D33
	A2-B53-C11-D33	A69-B87-C11-D33	A2-B32-C12-D33	A69-B80-C12-D33
30	A3-B53-C11-D33	85 A67-B87-C11-D33	140 A3-B32-C12-D33	195 A67-B80-C12-D33
	A9-B53-C11-D33	A39-B87-C11-D33	A9-B32-C12-D33	A39-B80-C12-D33
	A13-B53-C11-D33	A65-B87-C11-D33	A13-B32-C12-D33	A65-B80-C12-D33
	A24-B53-C11-D33	A66-B87-C11-D33	A24-B32-C12-D33	A66-B80-C12-D33
	A69-B53-C11-D33	A2-B89-C11-D33	A69-B32-C12-D33	A2-B85-C12-D33
35	A67-B53-C11-D33	90 A3-B89-C11-D33	145 A67-B32-C12-D33	200 A3-B85-C12-D33
	A39-B53-C11-D33	A9-B89-C11-D33	A39-B32-C12-D33	A9-B85-C12-D33
	A65-B53-C11-D33	A13-B89-C11-D33	A65-B32-C12-D33	A13-B85-C12-D33
	A66-B53-C11-D33	A24-B89-C11-D33	A66-B32-C12-D33	A24-B85-C12-D33
	A2-B79-C11-D33	A69-B89-C11-D33	A2-B39-C12-D33	A69-B85-C12-D33
40	A3-B79-C11-D33	95 A67-B89-C11-D33	150 A3-B39-C12-D33	205 A67-B85-C12-D33
	A9-B79-C11-D33	A39-B89-C11-D33	A9-B39-C12-D33	A39-B85-C12-D33
	A13-B79-C11-D33	A65-B89-C11-D33	A13-B39-C12-D33	A65-B85-C12-D33
	A24-B79-C11-D33	A66-B89-C11-D33	A24-B39-C12-D33	A66-B85-C12-D33
	A69-B79-C11-D33	A2-B92-C11-D33	A69-B39-C12-D33	A2-B86-C12-D33
45	A67-B79-C11-D33	100 A3-B92-C11-D33	155 A67-B39-C12-D33	210 A3-B86-C12-D33
	A39-B79-C11-D33	A9-B92-C11-D33	A39-B39-C12-D33	A9-B86-C12-D33
	A65-B79-C11-D33	A13-B92-C11-D33	A65-B39-C12-D33	A13-B86-C12-D33
	A66-B79-C11-D33	A24-B92-C11-D33	A66-B39-C12-D33	A24-B86-C12-D33
	A2-B80-C11-D33	A69-B92-C11-D33	A2-B45-C12-D33	A69-B86-C12-D33
50	A3-B80-C11-D33	105 A67-B92-C11-D33	160 A3-B45-C12-D33	215 A67-B86-C12-D33
	A9-B80-C11-D33	A39-B92-C11-D33	A9-B45-C12-D33	A39-B86-C12-D33
	A13-B80-C11-D33	A65-B92-C11-D33	A13-B45-C12-D33	A65-B86-C12-D33
	A24-B80-C11-D33	A66-B92-C11-D33	A24-B45-C12-D33	A66-B86-C12-D33
	A69-B80-C11-D33	A2-B4-C12-D33	A69-B45-C12-D33	A2-B87-C12-D33
55	A67-B80-C11-D33	110 A3-B4-C12-D33	165 A67-B45-C12-D33	220 A3-B87-C12-D33

339

	Col 1		Col 2		Col 3		Col 4
	A9-B87-C12-D33		A39-B6-C13-D33		A9-B80-C13-D33		A39-B92-C13-D33
	A13-B87-C12-D33		A65-B6-C13-D33		A13-B80-C13-D33		A65-B92-C13-D33
	A24-B87-C12-D33		A66-B6-C13-D33		A24-B80-C13-D33		A66-B92-C13-D33
	A69-B87-C12-D33		A2-B32-C13-D33		A69-B80-C13-D33		A2-B4-C1-D34
5	A67-B87-C12-D33	60	A3-B32-C13-D33	115	A67-B80-C13-D33	170	A3-B4-C1-D34
	A39-B87-C12-D33		A9-B32-C13-D33		A39-B80-C13-D33		A9-B4-C1-D34
	A65-B87-C12-D33		A13-B32-C13-D33		A65-B80-C13-D33		A13-B4-C1-D34
	A66-B87-C12-D33		A24-B32-C13-D33		A66-B80-C13-D33		A24-B4-C1-D34
	A2-B89-C12-D33		A69-B32-C13-D33		A2-B85-C13-D33		A69-B4-C1-D34
10	A3-B89-C12-D33	65	A67-B32-C13-D33	120	A3-B85-C13-D33	175	A67-B4-C1-D34
	A9-B89-C12-D33		A39-B32-C13-D33		A9-B85-C13-D33		A39-B4-C1-D34
	A13-B89-C12-D33		A65-B32-C13-D33		A13-B85-C13-D33		A65-B4-C1-D34
	A24-B89-C12-D33		A66-B32-C13-D33		A24-B85-C13-D33		A66-B4-C1-D34
	A69-B89-C12-D33		A2-B39-C13-D33		A69-B85-C13-D33		A2-B5-C1-D34
15	A67-B89-C12-D33	70	A3-B39-C13-D33	125	A67-B85-C13-D33	180	A3-B5-C1-D34
	A39-B89-C12-D33		A9-B39-C13-D33		A39-B85-C13-D33		A9-B5-C1-D34
	A65-B89-C12-D33		A13-B39-C13-D33		A65-B85-C13-D33		A13-B5-C1-D34
	A66-B89-C12-D33		A24-B39-C13-D33		A66-B85-C13-D33		A24-B5-C1-D34
	A2-B92-C12-D33		A69-B39-C13-D33		A2-B86-C13-D33		A69-B5-C1-D34
20	A3-B92-C12-D33	75	A67-B39-C13-D33	130	A3-B86-C13-D33	185	A67-B5-C1-D34
	A9-B92-C12-D33		A39-B39-C13-D33		A9-B86-C13-D33		A39-B5-C1-D34
	A13-B92-C12-D33		A65-B39-C13-D33		A13-B86-C13-D33		A65-B5-C1-D34
	A24-B92-C12-D33		A66-B39-C13-D33		A24-B86-C13-D33		A66-B5-C1-D34
	A69-B92-C12-D33		A2-B45-C13-D33		A69-B86-C13-D33		A2-B6-C1-D34
25	A67-B92-C12-D33	80	A3-B45-C13-D33	135	A67-B86-C13-D33	190	A3-B6-C1-D34
	A39-B92-C12-D33		A9-B45-C13-D33		A39-B86-C13-D33		A9-B6-C1-D34
	A65-B92-C12-D33		A13-B45-C13-D33		A65-B86-C13-D33		A13-B6-C1-D34
	A66-B92-C12-D33		A24-B45-C13-D33		A66-B86-C13-D33		A24-B6-C1-D34
	A2-B4-C13-D33		A69-B45-C13-D33		A2-B87-C13-D33		A69-B6-C1-D34
30	A3-B4-C13-D33	85	A67-B45-C13-D33	140	A3-B87-C13-D33	195	A67-B6-C1-D34
	A9-B4-C13-D33		A39-B45-C13-D33		A9-B87-C13-D33		A39-B6-C1-D34
	A13-B4-C13-D33		A65-B45-C13-D33		A13-B87-C13-D33		A65-B6-C1-D34
	A24-B4-C13-D33		A66-B45-C13-D33		A24-B87-C13-D33		A66-B6-C1-D34
	A69-B4-C13-D33		A2-B53-C13-D33		A69-B87-C13-D33		A2-B32-C1-D34
35	A67-B4-C13-D33	90	A3-B53-C13-D33	145	A67-B87-C13-D33	200	A3-B32-C1-D34
	A39-B4-C13-D33		A9-B53-C13-D33		A39-B87-C13-D33		A9-B32-C1-D34
	A65-B4-C13-D33		A13-B53-C13-D33		A65-B87-C13-D33		A13-B32-C1-D34
	A66-B4-C13-D33		A24-B53-C13-D33		A66-B87-C13-D33		A24-B32-C1-D34
	A2-B5-C13-D33		A69-B53-C13-D33		A2-B89-C13-D33		A69-B32-C1-D34
40	A3-B5-C13-D33	95	A67-B53-C13-D33	150	A3-B89-C13-D33	205	A67-B32-C1-D34
	A9-B5-C13-D33		A39-B53-C13-D33		A9-B89-C13-D33		A39-B32-C1-D34
	A13-B5-C13-D33		A65-B53-C13-D33		A13-B89-C13-D33		A65-B32-C1-D34
	A24-B5-C13-D33		A66-B53-C13-D33		A24-B89-C13-D33		A66-B32-C1-D34
	A69-B5-C13-D33		A2-B79-C13-D33		A69-B89-C13-D33		A2-B39-C1-D34
45	A67-B5-C13-D33	100	A3-B79-C13-D33	155	A67-B89-C13-D33	210	A3-B39-C1-D34
	A39-B5-C13-D33		A9-B79-C13-D33		A39-B89-C13-D33		A9-B39-C1-D34
	A65-B5-C13-D33		A13-B79-C13-D33		A65-B89-C13-D33		A13-B39-C1-D34
	A66-B5-C13-D33		A24-B79-C13-D33		A66-B89-C13-D33		A24-B39-C1-D34
	A2-B6-C13-D33		A69-B79-C13-D33		A2-B92-C13-D33		A69-B39-C1-D34
50	A3-B6-C13-D33	105	A67-B79-C13-D33	160	A3-B92-C13-D33	215	A67-B39-C1-D34
	A9-B6-C13-D33		A39-B79-C13-D33		A9-B92-C13-D33		A39-B39-C1-D34
	A13-B6-C13-D33		A65-B79-C13-D33		A13-B92-C13-D33		A65-B39-C1-D34
	A24-B6-C13-D33		A66-B79-C13-D33		A24-B92-C13-D33		A66-B39-C1-D34
	A69-B6-C13-D33		A2-B80-C13-D33		A69-B92-C13-D33		A2-B45-C1-D34
55	A67-B6-C13-D33	110	A3-B80-C13-D33	165	A67-B92-C13-D33	220	A3-B45-C1-D34

340

	A9-B45-C1-D34		A39-B86-C1-D34		A9-B6-C2-D34		A39-B79-C2-D34
	A13-B45-C1-D34		A65-B86-C1-D34		A13-B6-C2-D34		A65-B79-C2-D34
	A24-B45-C1-D34		A66-B86-C1-D34		A24-B6-C2-D34		A66-B79-C2-D34
	A69-B45-C1-D34		A2-B87-C1-D34		A69-B6-C2-D34		A2-B80-C2-D34
5	A67-B45-C1-D34	60	A3-B87-C1-D34	115	A67-B6-C2-D34	170	A3-B80-C2-D34
	A39-B45-C1-D34		A9-B87-C1-D34		A39-B6-C2-D34		A9-B80-C2-D34
	A65-B45-C1-D34		A13-B87-C1-D34		A65-B6-C2-D34		A13-B80-C2-D34
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	A2-B53-C1-D34		A69-B87-C1-D34		A2-B32-C2-D34		A69-B80-C2-D34
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349

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	A9-B86-C5-D35		A39-B5-C6-D35		A9-B79-C6-D35		A39-B89-C6-D35
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	A24-B86-C5-D35		A66-B5-C6-D35		A24-B79-C6-D35		A66-B89-C6-D35
	A69-B86-C5-D35		A2-B6-C6-D35		A69-B79-C6-D35		A2-B92-C6-D35
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	A39-B86-C5-D35		A9-B6-C6-D35		A39-B79-C6-D35		A9-B92-C6-D35
	A65-B86-C5-D35		A13-B6-C6-D35		A65-B79-C6-D35		A13-B92-C6-D35
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	A2-B87-C5-D35		A69-B6-C6-D35		A2-B80-C6-D35		A69-B92-C6-D35
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	A9-B87-C5-D35		A39-B6-C6-D35		A9-B80-C6-D35		A39-B92-C6-D35
	A13-B87-C5-D35		A65-B6-C6-D35		A13-B80-C6-D35		A65-B92-C6-D35
	A24-B87-C5-D35		A66-B6-C6-D35		A24-B80-C6-D35		A66-B92-C6-D35
	A69-B87-C5-D35		A2-B32-C6-D35		A69-B80-C6-D35		A2-B4-C7-D35
25	A67-B87-C5-D35	80	A3-B32-C6-D35	135	A67-B80-C6-D35	190	A3-B4-C7-D35
	A39-B87-C5-D35		A9-B32-C6-D35		A39-B80-C6-D35		A9-B4-C7-D35
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	A66-B87-C5-D35		A24-B32-C6-D35		A66-B80-C6-D35		A24-B4-C7-D35
	A2-B89-C5-D35		A69-B32-C6-D35		A2-B85-C6-D35		A69-B4-C7-D35
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	A24-B89-C5-D35		A66-B32-C6-D35		A24-B85-C6-D35		A66-B4-C7-D35
	A69-B89-C5-D35		A2-B39-C6-D35		A69-B85-C6-D35		A2-B5-C7-D35
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	A39-B89-C5-D35		A9-B39-C6-D35		A39-B85-C6-D35		A9-B5-C7-D35
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	A2-B92-C5-D35		A69-B39-C6-D35		A2-B86-C6-D35		A69-B5-C7-D35
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	A9-B92-C5-D35		A39-B39-C6-D35		A9-B86-C6-D35		A39-B5-C7-D35
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	A69-B92-C5-D35		A2-B45-C6-D35		A69-B86-C6-D35		A2-B6-C7-D35
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	A9-B4-C6-D35		A39-B45-C6-D35		A9-B87-C6-D35		A39-B6-C7-D35
	A13-B4-C6-D35		A65-B45-C6-D35		A13-B87-C6-D35		A65-B6-C7-D35
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	A69-B4-C6-D35		A2-B53-C6-D35		A69-B87-C6-D35		A2-B32-C7-D35
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	A9-B32-C7-D35		A39-B80-C7-D35		A9-B4-C8-D35		A39-B45-C8-D35
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	A69-B32-C7-D35		A2-B85-C7-D35		A69-B4-C8-D35		A2-B53-C8-D35
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	A39-B32-C7-D35		A9-B85-C7-D35		A39-B4-C8-D35		A9-B53-C8-D35
	A65-B32-C7-D35		A13-B85-C7-D35		A65-B4-C8-D35		A13-B53-C8-D35
	A66-B32-C7-D35		A24-B85-C7-D35		A66-B4-C8-D35		A24-B53-C8-D35
	A2-B39-C7-D35		A69-B85-C7-D35		A2-B5-C8-D35		A69-B53-C8-D35
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	A9-B39-C7-D35		A39-B85-C7-D35		A9-B5-C8-D35		A39-B53-C8-D35
	A13-B39-C7-D35		A65-B85-C7-D35		A13-B5-C8-D35		A65-B53-C8-D35
	A24-B39-C7-D35		A66-B85-C7-D35		A24-B5-C8-D35		A66-B53-C8-D35
	A69-B39-C7-D35		A2-B86-C7-D35		A69-B5-C8-D35		A2-B79-C8-D35
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	A39-B45-C7-D35		A9-B87-C7-D35		A39-B6-C8-D35		A9-B80-C8-D35
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	A13-B53-C7-D35		A65-B87-C7-D35		A13-B32-C8-D35		A65-B80-C8-D35
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	A39-B53-C7-D35		A9-B89-C7-D35		A39-B32-C8-D35		A9-B85-C8-D35
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	A2-B80-C7-D35		A69-B92-C7-D35		A2-B45-C8-D35		A69-B86-C8-D35
50	A3-B80-C7-D35	105	A67-B92-C7-D35	160	A3-B45-C8-D35	215	A67-B86-C8-D35
	A9-B80-C7-D35		A39-B92-C7-D35		A9-B45-C8-D35		A39-B86-C8-D35
	A13-B80-C7-D35		A65-B92-C7-D35		A13-B45-C8-D35		A65-B86-C8-D35
	A24-B80-C7-D35		A66-B92-C7-D35		A24-B45-C8-D35		A66-B86-C8-D35
	A69-B80-C7-D35		A2-B4-C8-D35		A69-B45-C8-D35		A2-B87-C8-D35
55	A67-B80-C7-D35	110	A3-B4-C8-D35	165	A67-B45-C8-D35	220	A3-B87-C8-D35

	Col 1		Col 2		Col 3		Col 4
	A9-B87-C8-D35		A39-B6-C9-D35		A9-B80-C9-D35		A39-B92-C9-D35
	A13-B87-C8-D35		A65-B6-C9-D35		A13-B80-C9-D35		A65-B92-C9-D35
	A24-B87-C8-D35		A66-B6-C9-D35		A24-B80-C9-D35		A66-B92-C9-D35
	A69-B87-C8-D35		A2-B32-C9-D35		A69-B80-C9-D35		A2-B4-C10-D35
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	A2-B92-C8-D35		A69-B39-C9-D35		A2-B86-C9-D35		A69-B5-C10-D35
20	A3-B92-C8-D35	75	A67-B39-C9-D35	130	A3-B86-C9-D35	185	A67-B5-C10-D35
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	A69-B5-C9-D35		A2-B79-C9-D35		A69-B89-C9-D35		A2-B39-C10-D35
45	A67-B5-C9-D35	100	A3-B79-C9-D35	155	A67-B89-C9-D35	210	A3-B39-C10-D35
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354

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355

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358

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361

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362

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368

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374

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375

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	Column 1		Column 2		Column 3		Column 4
	A9-B92-C5-D38		A39-B39-C6-D38		A9-B86-C6-D38		A39-B5-C7-D38
	A13-B92-C5-D38		A65-B39-C6-D38		A13-B86-C6-D38		A65-B5-C7-D38
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	A2-B4-C6-D38		A69-B45-C6-D38		A2-B87-C6-D38		A69-B6-C7-D38
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382

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384

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	A9-B86-C5-D39		A39-B5-C6-D39		A9-B79-C6-D39		A39-B89-C6-D39
	A13-B86-C5-D39		A65-B5-C6-D39		A13-B79-C6-D39		A65-B89-C6-D39
	A24-B86-C5-D39		A66-B5-C6-D39		A24-B79-C6-D39		A66-B89-C6-D39
	A69-B86-C5-D39		A2-B6-C6-D39		A69-B79-C6-D39		A2-B92-C6-D39
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	A39-B86-C5-D39		A9-B6-C6-D39		A39-B79-C6-D39		A9-B92-C6-D39
	A65-B86-C5-D39		A13-B6-C6-D39		A65-B79-C6-D39		A13-B92-C6-D39
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	A2-B87-C5-D39		A69-B6-C6-D39		A2-B80-C6-D39		A69-B92-C6-D39
40	A3-B87-C5-D39	95	A67-B6-C6-D39	150	A3-B80-C6-D39	205	A67-B92-C6-D39
	A9-B87-C5-D39		A39-B6-C6-D39		A9-B80-C6-D39		A39-B92-C6-D39
	A13-B87-C5-D39		A65-B6-C6-D39		A13-B80-C6-D39		A65-B92-C6-D39
	A24-B87-C5-D39		A66-B6-C6-D39		A24-B80-C6-D39		A66-B92-C6-D39
	A69-B87-C5-D39		A2-B32-C6-D39		A69-B80-C6-D39		A2-B4-C7-D39
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	A9-B89-C5-D39		A39-B32-C6-D39		A9-B85-C6-D39		A39-B4-C7-D39
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	A24-B89-C5-D39		A66-B32-C6-D39		A24-B85-C6-D39		A66-B4-C7-D39
	A69-B89-C5-D39		A2-B39-C6-D39		A69-B85-C6-D39		A2-B5-C7-D39
55	A67-B89-C5-D39	110	A3-B39-C6-D39	165	A67-B85-C6-D39	220	A3-B5-C7-D39

385

	A9-B5-C7-D39	A39-B53-C7-D39	A9-B89-C7-D39	A39-B32-C8-D39
	A13-B5-C7-D39	A65-B53-C7-D39	A13-B89-C7-D39	A65-B32-C8-D39
	A24-B5-C7-D39	A66-B53-C7-D39	A24-B89-C7-D39	A66-B32-C8-D39
	A69-B5-C7-D39	A2-B79-C7-D39	A69-B89-C7-D39	A2-B39-C8-D39
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A39-B5-C7-D39	A9-B79-C7-D39	A39-B89-C7-D39	A9-B39-C8-D39
A65-B5-C7-D39	A13-B79-C7-D39	A65-B89-C7-D39	A13-B39-C8-D39
A66-B5-C7-D39	A24-B79-C7-D39	A66-B89-C7-D39	A24-B39-C8-D39
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A9-B6-C7-D39	A39-B79-C7-D39	A9-B92-C7-D39	A39-B39-C8-D39
A13-B6-C7-D39	A65-B79-C7-D39	A13-B92-C7-D39	A65-B39-C8-D39
A24-B6-C7-D39	A66-B79-C7-D39	A24-B92-C7-D39	A66-B39-C8-D39
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A39-B6-C7-D39	A9-B80-C7-D39	A39-B92-C7-D39	A9-B45-C8-D39
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A9-B32-C7-D39	A39-B80-C7-D39	A9-B4-C8-D39	A39-B45-C8-D39
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A39-B32-C7-D39	A9-B85-C7-D39	A39-B4-C8-D39	A9-B53-C8-D39
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A9-B39-C7-D39	A39-B85-C7-D39	A9-B5-C8-D39	A39-B53-C8-D39
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A24-B39-C7-D39	A66-B85-C7-D39	A24-B5-C8-D39	A66-B53-C8-D39
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A66-B39-C7-D39	A24-B86-C7-D39	A66-B5-C8-D39	A24-B79-C8-D39
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40 A3-B45-C7-D39	95 A67-B86-C7-D39	150 A3-B6-C8-D39	205 A67-B79-C8-D39
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A13-B45-C7-D39	A65-B86-C7-D39	A13-B6-C8-D39	A65-B79-C8-D39
A24-B45-C7-D39	A66-B86-C7-D39	A24-B6-C8-D39	A66-B79-C8-D39
A69-B45-C7-D39	A2-B87-C7-D39	A69-B6-C8-D39	A2-B80-C8-D39
.45 A67-B45-C7-D39	100 A3-B87-C7-D39	155 A67-B6-C8-D39	210 A3-B80-C8-D39
A39-B45-C7-D39	A9-B87-C7-D39	A39-B6-C8-D39	A9-B80-C8-D39
A65-B45-C7-D39	A13-B87-C7-D39	A65-B6-C8-D39	A13-B80-C8-D39
A66-B45-C7-D39	A24-B87-C7-D39	A66-B6-C8-D39	A24-B80-C8-D39
A2-B53-C7-D39	A69-B87-C7-D39	A2-B32-C8-D39	A69-B80-C8-D39
. 50 A3-B53-C7-D39	105 A67-B87-C7-D39	160 A3-B32-C8-D39	215 A67-B80-C8-D39
A9-B53-C7-D39	A39-B87-C7-D39	A9-B32-C8-D39	A39-B80-C8-D39
A13-B53-C7-D39	A65-B87-C7-D39	A13-B32-C8-D39	A65-B80-C8-D39
A24-B53-C7-D39	A66-B87-C7-D39	A24-B32-C8-D39	A66-B80-C8-D39
A69-B53-C7-D39	A2-B89-C7-D39	A69-B32-C8-D39	A2-B85-C8-D39
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	Col 1		Col 2		Col 3		Col 4
	A9-B85-C8-D39		A39-B4-C9-D39		A9-B53-C9-D39		A39-B87-C9-D39
	A13-B85-C8-D39		A65-B4-C9-D39		A13-B53-C9-D39		A65-B87-C9-D39
	A24-B85-C8-D39		A66-B4-C9-D39		A24-B53-C9-D39		A66-B87-C9-D39
	A69-B85-C8-D39		A2-B5-C9-D39		A69-B53-C9-D39		A2-B89-C9-D39
5	A67-B85-C8-D39	60	A3-B5-C9-D39	115	A67-B53-C9-D39	170	A3-B89-C9-D39
	A39-B85-C8-D39		A9-B5-C9-D39		A39-B53-C9-D39		A9-B89-C9-D39
	A65-B85-C8-D39		A13-B5-C9-D39		A65-B53-C9-D39		A13-B89-C9-D39
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	A2-B86-C8-D39		A69-B5-C9-D39		A2-B79-C9-D39		A69-B89-C9-D39
10	A3-B86-C8-D39	65	A67-B5-C9-D39	120	A3-B79-C9-D39	175	A67-B89-C9-D39
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	A13-B86-C8-D39		A65-B5-C9-D39		A13-B79-C9-D39		A65-B89-C9-D39
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	A69-B86-C8-D39		A2-B6-C9-D39		A69-B79-C9-D39		A2-B92-C9-D39
15	A67-B86-C8-D39	70	A3-B6-C9-D39	125	A67-B79-C9-D39	180	A3-B92-C9-D39
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	A66-B86-C8-D39		A24-B6-C9-D39		A66-B79-C9-D39		A24-B92-C9-D39
	A2-B87-C8-D39		A69-B6-C9-D39		A2-B80-C9-D39		A69-B92-C9-D39
20	A3-B87-C8-D39	75	A67-B6-C9-D39	130	A3-B80-C9-D39	185	A67-B92-C9-D39
	A9-B87-C8-D39		A39-B6-C9-D39		A9-B80-C9-D39		A39-B92-C9-D39
	A13-B87-C8-D39		A65-B6-C9-D39		A13-B80-C9-D39		A65-B92-C9-D39
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	A69-B87-C8-D39		A2-B32-C9-D39		A69-B80-C9-D39		A2-B4-C10-D39
25	A67-B87-C8-D39	80	A3-B32-C9-D39	135	A67-B80-C9-D39	190	A3-B4-C10-D39
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387

A9-B32-C10-D39	A39-B80-C10-D39	A9-B4-C11-D39	A39-B45-C11-D39
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5 A67-B32-C10-D39	60 A3-B85-C10-D39	115 A67-B4-C11-D39	170 A3-B53-C11-D39
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15 A67-B39-C10-D39	70 A3-B86-C10-D39	125 A67-B5-C11-D39	180 A3-B79-C11-D39
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389

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392

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Column 1

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A65-B80-C6-D40
A66-B80-C6-D40
A2-B85-C6-D40
220 A3-B85-C6-D40

	Column 1		Column 2		Column 3		Column 4
	A9-B85-C6-D40		A39-B4-C7-D40		A9-B53-C7-D40		A39-B87-C7-D40
	A13-B85-C6-D40		A65-B4-C7-D40		A13-B53-C7-D40		A65-B87-C7-D40
	A24-B85-C6-D40		A66-B4-C7-D40		A24-B53-C7-D40		A66-B87-C7-D40
	A69-B85-C6-D40		A2-B5-C7-D40		A69-B53-C7-D40		A2-B89-C7-D40
5	A67-B85-C6-D40	60	A3-B5-C7-D40	115	A67-B53-C7-D40	170	A3-B89-C7-D40
	A39-B85-C6-D40		A9-B5-C7-D40		A39-B53-C7-D40		A9-B89-C7-D40
	A65-B85-C6-D40		A13-B5-C7-D40		A65-B53-C7-D40		A13-B89-C7-D40
	A66-B85-C6-D40		A24-B5-C7-D40		A66-B53-C7-D40		A24-B89-C7-D40
	A2-B86-C6-D40		A69-B5-C7-D40		A2-B79-C7-D40		A69-B89-C7-D40
10	A3-B86-C6-D40	65	A67-B5-C7-D40	120	A3-B79-C7-D40	175	A67-B89-C7-D40
	A9-B86-C6-D40		A39-B5-C7-D40		A9-B79-C7-D40		A39-B89-C7-D40
	A13-B86-C6-D40		A65-B5-C7-D40		A13-B79-C7-D40		A65-B89-C7-D40
	A24-B86-C6-D40		A66-B5-C7-D40		A24-B79-C7-D40		A66-B89-C7-D40
	A69-B86-C6-D40		A2-B6-C7-D40		A69-B79-C7-D40		A2-B92-C7-D40
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	A39-B86-C6-D40		A9-B6-C7-D40		A39-B79-C7-D40		A9-B92-C7-D40
	A65-B86-C6-D40		A13-B6-C7-D40		A65-B79-C7-D40		A13-B92-C7-D40
	A66-B86-C6-D40		A24-B6-C7-D40		A66-B79-C7-D40		A24-B92-C7-D40
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	A9-B87-C6-D40		A39-B6-C7-D40		A9-B80-C7-D40		A39-B92-C7-D40
	A13-B87-C6-D40		A65-B6-C7-D40		A13-B80-C7-D40		A65-B92-C7-D40
	A24-B87-C6-D40		A66-B6-C7-D40		A24-B80-C7-D40		A66-B92-C7-D40
	A69-B87-C6-D40		A2-B32-C7-D40		A69-B80-C7-D40		A2-B4-C8-D40
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	A39-B87-C6-D40		A9-B32-C7-D40		A39-B80-C7-D40		A9-B4-C8-D40
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	A66-B87-C6-D40		A24-B32-C7-D40		A66-B80-C7-D40		A24-B4-C8-D40
	A2-B89-C6-D40		A69-B32-C7-D40		A2-B85-C7-D40		A69-B4-C8-D40
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	A9-B89-C6-D40		A39-B32-C7-D40		A9-B85-C7-D40		A39-B4-C8-D40
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	A24-B89-C6-D40		A66-B32-C7-D40		A24-B85-C7-D40		A66-B4-C8-D40
	A69-B89-C6-D40		A2-B39-C7-D40		A69-B85-C7-D40		A2-B5-C8-D40
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	A39-B89-C6-D40		A9-B39-C7-D40		A39-B85-C7-D40		A9-B5-C8-D40
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	A66-B89-C6-D40		A24-B39-C7-D40		A66-B85-C7-D40		A24-B5-C8-D40
	A2-B92-C6-D40		A69-B39-C7-D40		A2-B86-C7-D40		A69-B5-C8-D40
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	A9-B92-C6-D40		A39-B39-C7-D40		A9-B86-C7-D40		A39-B5-C8-D40
	A13-B92-C6-D40		A65-B39-C7-D40		A13-B86-C7-D40		A65-B5-C8-D40
	A24-B92-C6-D40		A66-B39-C7-D40		A24-B86-C7-D40		A66-B5-C8-D40
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	A65-B92-C6-D40		A13-B45-C7-D40		A65-B86-C7-D40		A13-B6-C8-D40
	A66-B92-C6-D40		A24-B45-C7-D40		A66-B86-C7-D40		A24-B6-C8-D40
	A2-B4-C7-D40		A69-B45-C7-D40		A2-B87-C7-D40		A69-B6-C8-D40
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	A9-B4-C7-D40		A39-B45-C7-D40		A9-B87-C7-D40		A39-B6-C8-D40
	A13-B4-C7-D40		A65-B45-C7-D40		A13-B87-C7-D40		A65-B6-C8-D40
	A24-B4-C7-D40		A66-B45-C7-D40		A24-B87-C7-D40		A66-B6-C8-D40
	A69-B4-C7-D40		A2-B53-C7-D40		A69-B87-C7-D40		A2-B32-C8-D40
55	A67-B4-C7-D40	110	A3-B53-C7-D40	165	A67-B87-C7-D40	220	A3-B32-C8-D40

A9-B32-C8-D40	A39-B80-C8-D40	A9-B4-C9-D40	A39-B45-C9-D40
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A24-B32-C8-D40	A66-B80-C8-D40	A24-B4-C9-D40	A66-B45-C9-D40
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A65-B32-C8-D40	A13-B85-C8-D40	A65-B4-C9-D40	A13-B53-C9-D40
A66-B32-C8-D40	A24-B85-C8-D40	A66-B4-C9-D40	A24-B53-C9-D40
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A24-B39-C8-D40	A66-B85-C8-D40	A24-B5-C9-D40	A66-B53-C9-D40
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15 A67-B39-C8-D40	70 A3-B86-C8-D40	125 A67-B5-C9-D40	180 A3-B79-C9-D40
A39-B39-C8-D40	A9-B86-C8-D40	A39-B5-C9-D40	A9-B79-C9-D40
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A9-B53-C8-D40	A39-B87-C8-D40	A9-B32-C9-D40	A39-B80-C9-D40
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A65-B53-C8-D40	A13-B89-C8-D40	A65-B32-C9-D40	A13-B85-C9-D40
A66-B53-C8-D40	A24-B89-C8-D40	A66-B32-C9-D40	A24-B85-C9-D40
A2-B79-C8-D40	A69-B89-C8-D40	A2-B39-C9-D40	A69-B85-C9-D40
40 A3-B79-C8-D40	95 A67-B89-C8-D40	150 A3-B39-C9-D40	205 A67-B85-C9-D40
A9-B79-C8-D40	A39-B89-C8-D40	A9-B39-C9-D40	A39-B85-C9-D40
A13-B79-C8-D40	A65-B89-C8-D40	A13-B39-C9-D40	A65-B85-C9-D40
A24-B79-C8-D40	A66-B89-C8-D40	A24-B39-C9-D40	A66-B85-C9-D40
A69-B79-C8-D40	A2-B92-C8-D40	A69-B39-C9-D40	A2-B86-C9-D40
45 A67-B79-C8-D40	100 A3-B92-C8-D40	155 A67-B39-C9-D40	210 A3-B86-C9-D40
A39-B79-C8-D40	A9-B92-C8-D40	A39-B39-C9-D40	A9-B86-C9-D40
A65-B79-C8-D40	A13-B92-C8-D40	A65-B39-C9-D40	A13-B86-C9-D40
A66-B79-C8-D40	A24-B92-C8-D40	A66-B39-C9-D40	A24-B86-C9-D40
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A9-B80-C8-D40	A39-B92-C8-D40	A9-B45-C9-D40	A39-B86-C9-D40
A13-B80-C8-D40	A65-B92-C8-D40	A13-B45-C9-D40	A65-B86-C9-D40
A24-B80-C8-D40	A66-B92-C8-D40	A24-B45-C9-D40	A66-B86-C9-D40
A69-B80-C8-D40	A2-B4-C9-D40	A69-B45-C9-D40	A2-B87-C9-D40
55 A67-B80-C8-D40	110 A3-B4-C9-D40	165 A67-B45-C9-D40	220 A3-B87-C9-D40

	Col1		Col2		Col3		Col4
	A9-B87-C9-D40		A39-B6-C10-D40		A9-B80-C10-D40		A39-B92-C10-D40
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	A24-B87-C9-D40		A66-B6-C10-D40		A24-B80-C10-D40		A66-B92-C10-D40
	A69-B87-C9-D40		A2-B32-C10-D40		A69-B80-C10-D40		A2-B4-C11-D40
5	A67-B87-C9-D40	60	A3-B32-C10-D40	115	A67-B80-C10-D40	170	A3-B4-C11-D40
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	A24-B89-C9-D40		A66-B32-C10-D40		A24-B85-C10-D40		A66-B4-C11-D40
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15	A67-B89-C9-D40	70	A3-B39-C10-D40	125	A67-B85-C10-D40	180	A3-B5-C11-D40
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	A66-B89-C9-D40		A24-B39-C10-D40		A66-B85-C10-D40		A24-B5-C11-D40
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	A2-B4-C10-D40		A69-B45-C10-D40		A2-B87-C10-D40		A69-B6-C11-D40
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	A24-B4-C10-D40		A66-B45-C10-D40		A24-B87-C10-D40		A66-B6-C11-D40
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	A2-B5-C10-D40		A69-B53-C10-D40		A2-B89-C10-D40		A69-B32-C11-D40
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	A9-B5-C10-D40		A39-B53-C10-D40		A9-B89-C10-D40		A39-B32-C11-D40
	A13-B5-C10-D40		A65-B53-C10-D40		A13-B89-C10-D40		A65-B32-C11-D40
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396

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398

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408

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409

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410

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411

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414

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415

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417

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418

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	A39-B32-C7-D43		A9-B85-C7-D43
	A65-B32-C7-D43		A13-B85-C7-D43
	A66-B32-C7-D43		A24-B85-C7-D43
	A2-B39-C7-D43		A69-B85-C7-D43
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	A9-B39-C7-D43		A39-B85-C7-D43
	A13-B39-C7-D43		A65-B85-C7-D43
	A24-B39-C7-D43		A66-B85-C7-D43
	A69-B39-C7-D43		A2-B86-C7-D43
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	A9-B86-C7-D43		A39-B5-C8-D43
	A13-B86-C7-D43		A65-B5-C8-D43
	A24-B86-C7-D43		A66-B5-C8-D43
	A69-B86-C7-D43		A2-B6-C8-D43
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	A39-B86-C7-D43		A9-B6-C8-D43
	A65-B86-C7-D43		A13-B6-C8-D43
	A66-B86-C7-D43		A24-B6-C8-D43
	A2-B87-C7-D43		A69-B6-C8-D43
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	A9-B87-C7-D43		A39-B6-C8-D43
	A13-B87-C7-D43		A65-B6-C8-D43
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	A69-B87-C7-D43		A2-B32-C8-D43
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	A39-B87-C7-D43		A9-B32-C8-D43
	A65-B87-C7-D43		A13-B32-C8-D43
	A66-B87-C7-D43		A24-B32-C8-D43
	A2-B89-C7-D43		A69-B32-C8-D43
130	A3-B89-C7-D43	185	A67-B32-C8-D43
	A9-B89-C7-D43		A39-B32-C8-D43
	A13-B89-C7-D43		A65-B32-C8-D43
	A24-B89-C7-D43		A66-B32-C8-D43
	A69-B89-C7-D43		A2-B39-C8-D43
135	A67-B89-C7-D43	190	A3-B39-C8-D43
	A39-B89-C7-D43		A9-B39-C8-D43
	A65-B89-C7-D43		A13-B39-C8-D43
	A66-B89-C7-D43		A24-B39-C8-D43
	A2-B92-C7-D43		A69-B39-C8-D43
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	A9-B92-C7-D43		A39-B39-C8-D43
	A13-B92-C7-D43		A65-B39-C8-D43
	A24-B92-C7-D43		A66-B39-C8-D43
	A69-B92-C7-D43		A2-B45-C8-D43
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	A39-B92-C7-D43		A9-B45-C8-D43
	A65-B92-C7-D43		A13-B45-C8-D43
	A66-B92-C7-D43		A24-B45-C8-D43
	A2-B4-C8-D43		A69-B45-C8-D43
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	A9-B4-C8-D43		A39-B45-C8-D43
	A13-B4-C8-D43		A65-B45-C8-D43
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	A2-B5-C8-D43		A69-B53-C8-D43
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	A9-B5-C8-D43		A39-B53-C8-D43
	A13-B5-C8-D43		A65-B53-C8-D43
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165	A67-B5-C8-D43	220	A3-B79-C8-D43

419

	Col 1		Col 2		Col 3		Col 4
	A9-B79-C8-D43		A39-B89-C8-D43		A9-B39-C9-D43		A39-B85-C9-D43
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	A69-B79-C8-D43		A2-B92-C8-D43		A69-B39-C9-D43		A2-B86-C9-D43
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	A65-B79-C8-D43		A13-B92-C8-D43		A65-B39-C9-D43		A13-B86-C9-D43
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	A9-B80-C8-D43		A39-B92-C8-D43		A9-B45-C9-D43		A39-B86-C9-D43
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	A66-B86-C8-D43		A24-B6-C9-D43		A66-B79-C9-D43		A24-B92-C9-D43
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	A13-B87-C8-D43		A65-B6-C9-D43		A13-B80-C9-D43		A65-B92-C9-D43
	A24-B87-C8-D43		A66-B6-C9-D43		A24-B80-C9-D43		A66-B92-C9-D43
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	A69-B89-C8-D43		A2-B39-C9-D43		A69-B85-C9-D43		A2-B5-C10-D43
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422

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	A9-B87-C6-D44		A39-B6-C7-D44		A9-B80-C7-D44		A39-B92-C7-D44
	A13-B87-C6-D44		A65-B6-C7-D44		A13-B80-C7-D44		A65-B92-C7-D44
	A24-B87-C6-D44		A66-B6-C7-D44		A24-B80-C7-D44		A66-B92-C7-D44
	A69-B87-C6-D44		A2-B32-C7-D44		A69-B80-C7-D44		A2-B4-C8-D44
45	A67-B87-C6-D44	100	A3-B32-C7-D44	155	A67-B80-C7-D44	210	A3-B4-C8-D44
	A39-B87-C6-D44		A9-B32-C7-D44		A39-B80-C7-D44		A9-B4-C8-D44
	A65-B87-C6-D44		A13-B32-C7-D44		A65-B80-C7-D44		A13-B4-C8-D44
	A66-B87-C6-D44		A24-B32-C7-D44		A66-B80-C7-D44		A24-B4-C8-D44
	A2-B89-C6-D44		A69-B32-C7-D44		A2-B85-C7-D44		A69-B4-C8-D44
50	A3-B89-C6-D44	105	A67-B32-C7-D44	160	A3-B85-C7-D44	215	A67-B4-C8-D44
	A9-B89-C6-D44		A39-B32-C7-D44		A9-B85-C7-D44		A39-B4-C8-D44
	A13-B89-C6-D44		A65-B32-C7-D44		A13-B85-C7-D44		A65-B4-C8-D44
	A24-B89-C6-D44		A66-B32-C7-D44		A24-B85-C7-D44		A66-B4-C8-D44
	A69-B89-C6-D44		A2-B39-C7-D44		A69-B85-C7-D44		A2-B5-C8-D44
55	A67-B89-C6-D44	110	A3-B39-C7-D44	165	A67-B85-C7-D44	220	A3-B5-C8-D44

427

	A9-B5-C8-D44		A39-B53-C8-D44
	A13-B5-C8-D44		A65-B53-C8-D44
	A24-B5-C8-D44		A66-B53-C8-D44
	A69-B5-C8-D44		A2-B79-C8-D44
5	A67-B5-C8-D44	60	A3-B79-C8-D44
	A39-B5-C8-D44		A9-B79-C8-D44
	A65-B5-C8-D44		A13-B79-C8-D44
	A66-B5-C8-D44		A24-B79-C8-D44
	A2-B6-C8-D44		A69-B79-C8-D44
10	A3-B6-C8-D44	65	A67-B79-C8-D44
	A9-B6-C8-D44		A39-B79-C8-D44
	A13-B6-C8-D44		A65-B79-C8-D44
	A24-B6-C8-D44		A66-B79-C8-D44
	A69-B6-C8-D44		A2-B80-C8-D44
15	A67-B6-C8-D44	70	A3-B80-C8-D44
	A39-B6-C8-D44		A9-B80-C8-D44
	A65-B6-C8-D44		A13-B80-C8-D44
	A66-B6-C8-D44		A24-B80-C8-D44
	A2-B32-C8-D44		A69-B80-C8-D44
20	A3-B32-C8-D44	75	A67-B80-C8-D44
	A9-B32-C8-D44		A39-B80-C8-D44
	A13-B32-C8-D44		A65-B80-C8-D44
	A24-B32-C8-D44		A66-B80-C8-D44
	A69-B32-C8-D44		A2-B85-C8-D44
25	A67-B32-C8-D44	80	A3-B85-C8-D44
	A39-B32-C8-D44		A9-B85-C8-D44
	A65-B32-C8-D44		A13-B85-C8-D44
	A66-B32-C8-D44		A24-B85-C8-D44
	A2-B39-C8-D44		A69-B85-C8-D44
30	A3-B39-C8-D44	85	A67-B85-C8-D44
	A9-B39-C8-D44		A39-B85-C8-D44
	A13-B39-C8-D44		A65-B85-C8-D44
	A24-B39-C8-D44		A66-B85-C8-D44
	A69-B39-C8-D44		A2-B86-C8-D44
35	A67-B39-C8-D44	90	A3-B86-C8-D44
	A39-B39-C8-D44		A9-B86-C8-D44
	A65-B39-C8-D44		A13-B86-C8-D44
	A66-B39-C8-D44		A24-B86-C8-D44
	A2-B45-C8-D44		A69-B86-C8-D44
40	A3-B45-C8-D44	95	A67-B86-C8-D44
	A9-B45-C8-D44		A39-B86-C8-D44
	A13-B45-C8-D44		A65-B86-C8-D44
	A24-B45-C8-D44		A66-B86-C8-D44
	A69-B45-C8-D44		A2-B87-C8-D44
45	A67-B45-C8-D44	100	A3-B87-C8-D44
	A39-B45-C8-D44		A9-B87-C8-D44
	A65-B45-C8-D44		A13-B87-C8-D44
	A66-B45-C8-D44		A24-B87-C8-D44
	A2-B53-C8-D44		A69-B87-C8-D44
50	A3-B53-C8-D44	105	A67-B87-C8-D44
	A9-B53-C8-D44		A39-B87-C8-D44
	A13-B53-C8-D44		A65-B87-C8-D44
	A24-B53-C8-D44		A66-B87-C8-D44
	A69-B53-C8-D44		A2-B89-C8-D44
55	A67-B53-C8-D44	110	A3-B89-C8-D44

	A9-B89-C8-D44		A39-B32-C9-D44
	A13-B89-C8-D44		A65-B32-C9-D44
	A24-B89-C8-D44		A66-B32-C9-D44
	A69-B89-C8-D44		A2-B39-C9-D44
115	A67-B89-C8-D44	170	A3-B39-C9-D44
	A39-B89-C8-D44		A9-B39-C9-D44
	A65-B89-C8-D44		A13-B39-C9-D44
	A66-B89-C8-D44		A24-B39-C9-D44
	A2-B92-C8-D44		A69-B39-C9-D44
120	A3-B92-C8-D44	175	A67-B39-C9-D44
	A9-B92-C8-D44		A39-B39-C9-D44
	A13-B92-C8-D44		A65-B39-C9-D44
	A24-B92-C8-D44		A66-B39-C9-D44
	A69-B92-C8-D44		A2-B45-C9-D44
125	A67-B92-C8-D44	180	A3-B45-C9-D44
	A39-B92-C8-D44		A9-B45-C9-D44
	A65-B92-C8-D44		A13-B45-C9-D44
	A66-B92-C8-D44		A24-B45-C9-D44
	A2-B4-C9-D44		A69-B45-C9-D44
130	A3-B4-C9-D44	185	A67-B45-C9-D44
	A9-B4-C9-D44		A39-B45-C9-D44
	A13-B4-C9-D44		A65-B45-C9-D44
	A24-B4-C9-D44		A66-B45-C9-D44
	A69-B4-C9-D44		A2-B53-C9-D44
135	A67-B4-C9-D44	190	A3-B53-C9-D44
	A39-B4-C9-D44		A9-B53-C9-D44
	A65-B4-C9-D44		A13-B53-C9-D44
	A66-B4-C9-D44		A24-B53-C9-D44
	A2-B5-C9-D44		A69-B53-C9-D44
140	A3-B5-C9-D44	195	A67-B53-C9-D44
	A9-B5-C9-D44		A39-B53-C9-D44
	A13-B5-C9-D44		A65-B53-C9-D44
	A24-B5-C9-D44		A66-B53-C9-D44
	A69-B5-C9-D44		A2-B79-C9-D44
145	A67-B5-C9-D44	200	A3-B79-C9-D44
	A39-B5-C9-D44		A9-B79-C9-D44
	A65-B5-C9-D44		A13-B79-C9-D44
	A66-B5-C9-D44		A24-B79-C9-D44
	A2-B6-C9-D44		A69-B79-C9-D44
150	A3-B6-C9-D44	205	A67-B79-C9-D44
	A9-B6-C9-D44		A39-B79-C9-D44
	A13-B6-C9-D44		A65-B79-C9-D44
	A24-B6-C9-D44		A66-B79-C9-D44
	A69-B6-C9-D44		A2-B80-C9-D44
155	A67-B6-C9-D44	210	A3-B80-C9-D44
	A39-B6-C9-D44		A9-B80-C9-D44
	A65-B6-C9-D44		A13-B80-C9-D44
	A66-B6-C9-D44		A24-B80-C9-D44
	A2-B32-C9-D44		A69-B80-C9-D44
160	A3-B32-C9-D44	215	A67-B80-C9-D44
	A9-B32-C9-D44		A39-B80-C9-D44
	A13-B32-C9-D44		A65-B80-C9-D44
	A24-B32-C9-D44		A66-B80-C9-D44
	A69-B32-C9-D44		A2-B85-C9-D44
165	A67-B32-C9-D44	220	A3-B85-C9-D44

	Col 1		Col 2		Col 3		Col 4
	A9-B85-C9-D44		A39-B4-C10-D44		A9-B53-C10-D44		A39-B87-C10-D44
	A13-B85-C9-D44		A65-B4-C10-D44		A13-B53-C10-D44		A65-B87-C10-D44
	A24-B85-C9-D44		A66-B4-C10-D44		A24-B53-C10-D44		A66-B87-C10-D44
	A69-B85-C9-D44		A2-B5-C10-D44		A69-B53-C10-D44		A2-B89-C10-D44
5	A67-B85-C9-D44	60	A3-B5-C10-D44	115	A67-B53-C10-D44	170	A3-B89-C10-D44
	A39-B85-C9-D44		A9-B5-C10-D44		A39-B53-C10-D44		A9-B89-C10-D44
	A65-B85-C9-D44		A13-B5-C10-D44		A65-B53-C10-D44		A13-B89-C10-D44
	A66-B85-C9-D44		A24-B5-C10-D44		A66-B53-C10-D44		A24-B89-C10-D44
	A2-B86-C9-D44		A69-B5-C10-D44		A2-B79-C10-D44		A69-B89-C10-D44
10	A3-B86-C9-D44	65	A67-B5-C10-D44	120	A3-B79-C10-D44	175	A67-B89-C10-D44
	A9-B86-C9-D44		A39-B5-C10-D44		A9-B79-C10-D44		A39-B89-C10-D44
	A13-B86-C9-D44		A65-B5-C10-D44		A13-B79-C10-D44		A65-B89-C10-D44
	A24-B86-C9-D44		A66-B5-C10-D44		A24-B79-C10-D44		A66-B89-C10-D44
	A69-B86-C9-D44		A2-B6-C10-D44		A69-B79-C10-D44		A2-B92-C10-D44
15	A67-B86-C9-D44	70	A3-B6-C10-D44	125	A67-B79-C10-D44	180	A3-B92-C10-D44
	A39-B86-C9-D44		A9-B6-C10-D44		A39-B79-C10-D44		A9-B92-C10-D44
	A65-B86-C9-D44		A13-B6-C10-D44		A65-B79-C10-D44		A13-B92-C10-D44
	A66-B86-C9-D44		A24-B6-C10-D44		A66-B79-C10-D44		A24-B92-C10-D44
	A2-B87-C9-D44		A69-B6-C10-D44		A2-B80-C10-D44		A69-B92-C10-D44
20	A3-B87-C9-D44	75	A67-B6-C10-D44	130	A3-B80-C10-D44	185	A67-B92-C10-D44
	A9-B87-C9-D44		A39-B6-C10-D44		A9-B80-C10-D44		A39-B92-C10-D44
	A13-B87-C9-D44		A65-B6-C10-D44		A13-B80-C10-D44		A65-B92-C10-D44
	A24-B87-C9-D44		A66-B6-C10-D44		A24-B80-C10-D44		A66-B92-C10-D44
	A69-B87-C9-D44		A2-B32-C10-D44		A69-B80-C10-D44		A2-B4-C11-D44
25	A67-B87-C9-D44	80	A3-B32-C10-D44	135	A67-B80-C10-D44	190	A3-B4-C11-D44
	A39-B87-C9-D44		A9-B32-C10-D44		A39-B80-C10-D44		A9-B4-C11-D44
	A65-B87-C9-D44		A13-B32-C10-D44		A65-B80-C10-D44		A13-B4-C11-D44
	A66-B87-C9-D44		A24-B32-C10-D44		A66-B80-C10-D44		A24-B4-C11-D44
	A2-B89-C9-D44		A69-B32-C10-D44		A2-B85-C10-D44		A69-B4-C11-D44
30	A3-B89-C9-D44	85	A67-B32-C10-D44	140	A3-B85-C10-D44	195	A67-B4-C11-D44
	A9-B89-C9-D44		A39-B32-C10-D44		A9-B85-C10-D44		A39-B4-C11-D44
	A13-B89-C9-D44		A65-B32-C10-D44		A13-B85-C10-D44		A65-B4-C11-D44
	A24-B89-C9-D44		A66-B32-C10-D44		A24-B85-C10-D44		A66-B4-C11-D44
	A69-B89-C9-D44		A2-B39-C10-D44		A69-B85-C10-D44		A2-B5-C11-D44
35	A67-B89-C9-D44	90	A3-B39-C10-D44	145	A67-B85-C10-D44	200	A3-B5-C11-D44
	A39-B89-C9-D44		A9-B39-C10-D44		A39-B85-C10-D44		A9-B5-C11-D44
	A65-B89-C9-D44		A13-B39-C10-D44		A65-B85-C10-D44		A13-B5-C11-D44
	A66-B89-C9-D44		A24-B39-C10-D44		A66-B85-C10-D44		A24-B5-C11-D44
	A2-B92-C9-D44		A69-B39-C10-D44		A2-B86-C10-D44		A69-B5-C11-D44
40	A3-B92-C9-D44	95	A67-B39-C10-D44	150	A3-B86-C10-D44	205	A67-B5-C11-D44
	A9-B92-C9-D44		A39-B39-C10-D44		A9-B86-C10-D44		A39-B5-C11-D44
	A13-B92-C9-D44		A65-B39-C10-D44		A13-B86-C10-D44		A65-B5-C11-D44
	A24-B92-C9-D44		A66-B39-C10-D44		A24-B86-C10-D44		A66-B5-C11-D44
	A69-B92-C9-D44		A2-B45-C10-D44		A69-B86-C10-D44		A2-B6-C11-D44
45	A67-B92-C9-D44	100	A3-B45-C10-D44	155	A67-B86-C10-D44	210	A3-B6-C11-D44
	A39-B92-C9-D44		A9-B45-C10-D44		A39-B86-C10-D44		A9-B6-C11-D44
	A65-B92-C9-D44		A13-B45-C10-D44		A65-B86-C10-D44		A13-B6-C11-D44
	A66-B92-C9-D44		A24-B45-C10-D44		A66-B86-C10-D44		A24-B6-C11-D44
	A2-B4-C10-D44		A69-B45-C10-D44		A2-B87-C10-D44		A69-B6-C11-D44
50	A3-B4-C10-D44	105	A67-B45-C10-D44	160	A3-B87-C10-D44	215	A67-B6-C11-D44
	A9-B4-C10-D44		A39-B45-C10-D44		A9-B87-C10-D44		A39-B6-C11-D44
	A13-B4-C10-D44		A65-B45-C10-D44		A13-B87-C10-D44		A65-B6-C11-D44
	A24-B4-C10-D44		A66-B45-C10-D44		A24-B87-C10-D44		A66-B6-C11-D44
	A69-B4-C10-D44		A2-B53-C10-D44		A69-B87-C10-D44		A2-B32-C11-D44
55	A67-B4-C10-D44	110	A3-B53-C10-D44	165	A67-B87-C10-D44	220	A3-B32-C11-D44

	A9-B32-C11-D44		A39-B80-C11-D44		A9-B4-C12-D44		A39-B45-C12-D44
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	A24-B32-C11-D44		A66-B80-C11-D44		A24-B4-C12-D44		A66-B45-C12-D44
	A69-B32-C11-D44		A2-B85-C11-D44		A69-B4-C12-D44		A2-B53-C12-D44
5	A67-B32-C11-D44	60	A3-B85-C11-D44	115	A67-B4-C12-D44	170	A3-B53-C12-D44
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	A66-B32-C11-D44		A24-B85-C11-D44		A66-B4-C12-D44		A24-B53-C12-D44
	A2-B39-C11-D44		A69-B85-C11-D44		A2-B5-C12-D44		A69-B53-C12-D44
10	A3-B39-C11-D44	65	A67-B85-C11-D44	120	A3-B5-C12-D44	175	A67-B53-C12-D44
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	A13-B39-C11-D44		A65-B85-C11-D44		A13-B5-C12-D44		A65-B53-C12-D44
	A24-B39-C11-D44		A66-B85-C11-D44		A24-B5-C12-D44		A66-B53-C12-D44
	A69-B39-C11-D44		A2-B86-C11-D44		A69-B5-C12-D44		A2-B79-C12-D44
15	A67-B39-C11-D44	70	A3-B86-C11-D44	125	A67-B5-C12-D44	180	A3-B79-C12-D44
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	A2-B45-C11-D44		A69-B86-C11-D44		A2-B6-C12-D44		A69-B79-C12-D44
20	A3-B45-C11-D44	75	A67-B86-C11-D44	130	A3-B6-C12-D44	185	A67-B79-C12-D44
	A9-B45-C11-D44		A39-B86-C11-D44		A9-B6-C12-D44		A39-B79-C12-D44
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220 A3-B45-C1-D45

	Col 1		Col 2		Col 3		Col 4
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	A13-B45-C1-D45		A65-B86-C1-D45		A13-B6-C2-D45		A65-B79-C2-D45
	A24-B45-C1-D45		A66-B86-C1-D45		A24-B6-C2-D45		A66-B79-C2-D45
	A69-B45-C1-D45		A2-B87-C1-D45		A69-B6-C2-D45		A2-B80-C2-D45
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434

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435

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436

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	A24-B32-C9-D45		A66-B80-C9-D45		A24-B4-C10-D45		A66-B45-C10-D45
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437

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440

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442

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445

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446

	A9-B92-C11-D46		A39-B39-C12-D46		A9-B86-C12-D46		A39-B5-C13-D46
	A13-B92-C11-D46		A65-B39-C12-D46		A13-B86-C12-D46		A65-B5-C13-D46
	A24-B92-C11-D46		A66-B39-C12-D46		A24-B86-C12-D46		A66-B5-C13-D46
	A69-B92-C11-D46		A2-B45-C12-D46		A69-B86-C12-D46		A2-B6-C13-D46
5	A67-B92-C11-D46	60	A3-B45-C12-D46	115	A67-B86-C12-D46	170	A3-B6-C13-D46
	A39-B92-C11-D46		A9-B45-C12-D46		A39-B86-C12-D46		A9-B6-C13-D46
	A65-B92-C11-D46		A13-B45-C12-D46		A65-B86-C12-D46		A13-B6-C13-D46
	A66-B92-C11-D46		A24-B45-C12-D46		A66-B86-C12-D46		A24-B6-C13-D46
	A2-B4-C12-D46		A69-B45-C12-D46		A2-B87-C12-D46		A69-B6-C13-D46
10	A3-B4-C12-D46	65	A67-B45-C12-D46	120	A3-B87-C12-D46	175	A67-B6-C13-D46
	A9-B4-C12-D46		A39-B45-C12-D46		A9-B87-C12-D46		A39-B6-C13-D46
	A13-B4-C12-D46		A65-B45-C12-D46		A13-B87-C12-D46		A65-B6-C13-D46
	A24-B4-C12-D46		A66-B45-C12-D46		A24-B87-C12-D46		A66-B6-C13-D46
	A69-B4-C12-D46		A2-B53-C12-D46		A69-B87-C12-D46		A2-B32-C13-D46
15	A67-B4-C12-D46	70	A3-B53-C12-D46	125	A67-B87-C12-D46	180	A3-B32-C13-D46
	A39-B4-C12-D46		A9-B53-C12-D46		A39-B87-C12-D46		A9-B32-C13-D46
	A65-B4-C12-D46		A13-B53-C12-D46		A65-B87-C12-D46		A13-B32-C13-D46
	A66-B4-C12-D46		A24-B53-C12-D46		A66-B87-C12-D46		A24-B32-C13-D46
	A2-B5-C12-D46		A69-B53-C12-D46		A2-B89-C12-D46		A69-B32-C13-D46
20	A3-B5-C12-D46	75	A67-B53-C12-D46	130	A3-B89-C12-D46	185	A67-B32-C13-D46
	A9-B5-C12-D46		A39-B53-C12-D46		A9-B89-C12-D46		A39-B32-C13-D46
	A13-B5-C12-D46		A65-B53-C12-D46		A13-B89-C12-D46		A65-B32-C13-D46
	A24-B5-C12-D46		A66-B53-C12-D46		A24-B89-C12-D46		A66-B32-C13-D46
	A69-B5-C12-D46		A2-B79-C12-D46		A69-B89-C12-D46		A2-B39-C13-D46
25	A67-B5-C12-D46	80	A3-B79-C12-D46	135	A67-B89-C12-D46	190	A3-B39-C13-D46
	A39-B5-C12-D46		A9-B79-C12-D46		A39-B89-C12-D46		A9-B39-C13-D46
	A65-B5-C12-D46		A13-B79-C12-D46		A65-B89-C12-D46		A13-B39-C13-D46
	A66-B5-C12-D46		A24-B79-C12-D46		A66-B89-C12-D46		A24-B39-C13-D46
	A2-B6-C12-D46		A69-B79-C12-D46		A2-B92-C12-D46		A69-B39-C13-D46
30	A3-B6-C12-D46	85	A67-B79-C12-D46	140	A3-B92-C12-D46	195	A67-B39-C13-D46
	A9-B6-C12-D46		A39-B79-C12-D46		A9-B92-C12-D46		A39-B39-C13-D46
	A13-B6-C12-D46		A65-B79-C12-D46		A13-B92-C12-D46		A65-B39-C13-D46
	A24-B6-C12-D46		A66-B79-C12-D46		A24-B92-C12-D46		A66-B39-C13-D46
	A69-B6-C12-D46		A2-B80-C12-D46		A69-B92-C12-D46		A2-B45-C13-D46
35	A67-B6-C12-D46	90	A3-B80-C12-D46	145	A67-B92-C12-D46	200	A3-B45-C13-D46
	A39-B6-C12-D46		A9-B80-C12-D46		A39-B92-C12-D46		A9-B45-C13-D46
	A65-B6-C12-D46		A13-B80-C12-D46		A65-B92-C12-D46		A13-B45-C13-D46
	A66-B6-C12-D46		A24-B80-C12-D46		A66-B92-C12-D46		A24-B45-C13-D46
	A2-B32-C12-D46		A69-B80-C12-D46		A2-B4-C13-D46		A69-B45-C13-D46
40	A3-B32-C12-D46	95	A67-B80-C12-D46	150	A3-B4-C13-D46	205	A67-B45-C13-D46
	A9-B32-C12-D46		A39-B80-C12-D46		A9-B4-C13-D46		A39-B45-C13-D46
	A13-B32-C12-D46		A65-B80-C12-D46		A13-B4-C13-D46		A65-B45-C13-D46
	A24-B32-C12-D46		A66-B80-C12-D46		A24-B4-C13-D46		A66-B45-C13-D46
	A69-B32-C12-D46		A2-B85-C12-D46		A69-B4-C13-D46		A2-B53-C13-D46
45	A67-B32-C12-D46	100	A3-B85-C12-D46	155	A67-B4-C13-D46	210	A3-B53-C13-D46
	A39-B32-C12-D46		A9-B85-C12-D46		A39-B4-C13-D46		A9-B53-C13-D46
	A65-B32-C12-D46		A13-B85-C12-D46		A65-B4-C13-D46		A13-B53-C13-D46
	A66-B32-C12-D46		A24-B85-C12-D46		A66-B4-C13-D46		A24-B53-C13-D46
	A2-B39-C12-D46		A69-B85-C12-D46		A2-B5-C13-D46		A69-B53-C13-D46
50	A3-B39-C12-D46	105	A67-B85-C12-D46	160	A3-B5-C13-D46	215	A67-B53-C13-D46
	A9-B39-C12-D46		A39-B85-C12-D46		A9-B5-C13-D46		A39-B53-C13-D46
	A13-B39-C12-D46		A65-B85-C12-D46		A13-B5-C13-D46		A65-B53-C13-D46
	A24-B39-C12-D46		A66-B85-C12-D46		A24-B5-C13-D46		A66-B53-C13-D46
	A69-B39-C12-D46		A2-B86-C12-D46		A69-B5-C13-D46		A2-B79-C13-D46
55	A67-B39-C12-D46	110	A3-B86-C12-D46	165	A67-B5-C13-D46	220	A3-B79-C13-D46

447

	Col 1		Col 2		Col 3		Col 4
	A9-B79-C13-D46		A39-B89-C13-D46		A9-B39-C1-D47		A39-B85-C1-D47
	A13-B79-C13-D46		A65-B89-C13-D46		A13-B39-C1-D47		A65-B85-C1-D47
	A24-B79-C13-D46		A66-B89-C13-D46		A24-B39-C1-D47		A66-B85-C1-D47
	A69-B79-C13-D46		A2-B92-C13-D46		A69-B39-C1-D47		A2-B86-C1-D47
5	A67-B79-C13-D46	60	A3-B92-C13-D46	115	A67-B39-C1-D47	170	A3-B86-C1-D47
	A39-B79-C13-D46		A9-B92-C13-D46		A39-B39-C1-D47		A9-B86-C1-D47
	A65-B79-C13-D46		A13-B92-C13-D46		A65-B39-C1-D47		A13-B86-C1-D47
	A66-B79-C13-D46		A24-B92-C13-D46		A66-B39-C1-D47		A24-B86-C1-D47
	A2-B80-C13-D46		A69-B92-C13-D46		A2-B45-C1-D47		A69-B86-C1-D47
10	A3-B80-C13-D46	65	A67-B92-C13-D46	120	A3-B45-C1-D47	175	A67-B86-C1-D47
	A9-B80-C13-D46		A39-B92-C13-D46		A9-B45-C1-D47		A39-B86-C1-D47
	A13-B80-C13-D46		A65-B92-C13-D46		A13-B45-C1-D47		A65-B86-C1-D47
	A24-B80-C13-D46		A66-B92-C13-D46		A24-B45-C1-D47		A66-B86-C1-D47
	A69-B80-C13-D46		A2-B4-C1-D47		A69-B45-C1-D47		A2-B87-C1-D47
15	A67-B80-C13-D46	70	A3-B4-C1-D47	125	A67-B45-C1-D47	180	A3-B87-C1-D47
	A39-B80-C13-D46		A9-B4-C1-D47		A39-B45-C1-D47		A9-B87-C1-D47
	A65-B80-C13-D46		A13-B4-C1-D47		A65-B45-C1-D47		A13-B87-C1-D47
	A66-B80-C13-D46		A24-B4-C1-D47		A66-B45-C1-D47		A24-B87-C1-D47
	A2-B85-C13-D46		A69-B4-C1-D47		A2-B53-C1-D47		A69-B87-C1-D47
20	A3-B85-C13-D46	75	A67-B4-C1-D47	130	A3-B53-C1-D47	185	A67-B87-C1-D47
	A9-B85-C13-D46		A39-B4-C1-D47		A9-B53-C1-D47		A39-B87-C1-D47
	A13-B85-C13-D46		A65-B4-C1-D47		A13-B53-C1-D47		A65-B87-C1-D47
	A24-B85-C13-D46		A66-B4-C1-D47		A24-B53-C1-D47		A66-B87-C1-D47
	A69-B85-C13-D46		A2-B5-C1-D47		A69-B53-C1-D47		A2-B89-C1-D47
25	A67-B85-C13-D46	80	A3-B5-C1-D47	135	A67-B53-C1-D47	190	A3-B89-C1-D47
	A39-B85-C13-D46		A9-B5-C1-D47		A39-B53-C1-D47		A9-B89-C1-D47
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	A2-B86-C13-D46		A69-B5-C1-D47		A2-B79-C1-D47		A69-B89-C1-D47
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40	A3-B87-C13-D46	95	A67-B6-C1-D47	150	A3-B80-C1-D47	205	A67-B92-C1-D47
	A9-B87-C13-D46		A39-B6-C1-D47		A9-B80-C1-D47		A39-B92-C1-D47
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	A69-B87-C13-D46		A2-B32-C1-D47		A69-B80-C1-D47		A2-B4-C2-D47
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448

A9-B5-C2-D47	A39-B53-C2-D47	A9-B89-C2-D47	A39-B32-C3-D47
A13-B5-C2-D47	A65-B53-C2-D47	A13-B89-C2-D47	A65-B32-C3-D47
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A9-B39-C2-D47	A39-B85-C2-D47	A9-B5-C3-D47	A39-B53-C3-D47
A13-B39-C2-D47	A65-B85-C2-D47	A13-B5-C3-D47	A65-B53-C3-D47
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A9-B45-C2-D47	A39-B86-C2-D47	A9-B6-C3-D47	A39-B79-C3-D47
A13-B45-C2-D47	A65-B86-C2-D47	A13-B6-C3-D47	A65-B79-C3-D47
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456

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457

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459

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488

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489

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492

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495

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497

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498

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508

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517

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518

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A66-B89-E5	A24-B39-E6	A66-B85-E6	A24-B5-E7
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535

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A66-B92-E16	A39-B39-E17	A69-B85-E17	

190

Thus, for example, in table 6 the compound denoted as A2-B4-C6-D8 is the product of the combination of group A2 in Table 1 and B4 in Table 2 and C6 in Table 3

and D8 in Table 4, namely *N*-[(S)-1-(1-benzylcarbamoyl-methanoyl)-propyl]-4-morpholin-
4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide:

5　　Particular compounds of the invention are:

3-biphenyl-3-yl-*N*-cyanomethyl-2-benzylsulfonylmethyl-propionamide;

3-biphenyl-4-yl-*N*-cyanomethyl-2-benzylsulfonylmethyl-propionamide;

3-(3-bromo-phenyl)-*N*-cyanomethyl-2-benzylsulfonylmethyl-propionamide;

N-cyanomethyl-3-(3-cyano-benzylsulfonyl)-2-benzylsulfonyl-methyl-propionamide;

10　　*N*-cyanomethyl-2-[2-1,1-difluoro-methoxy)-benzylsulfanylmethyl]-3-benzylsulfanyl-
propionamide;

N-cyanomethyl-3-(2-trifluoromethyl-benzylsulfanyl)-2-(2-trifluoro-methyl-
benzylsulfanylmethyl)-propionamide;

N-cyanomethyl-3-isobutylsulfanyl-2-isobutylsulfanylmethyl-propionamide;

15　　*N*-cyanomethyl-4-phenylsulfanyl-2-(2-phenylsulfanyl-ethyl)-butyramide;

N-cyanomethyl-3-[2-(1,1-difluoro-methoxy)-benzylsulfanyl]-2-[2-(1,1-difluoro-methoxy)-
benzylsulfanylmethyl]-propionamide;

3-benzylsulfanyl-2-benzylsulfanylmethyl-*N*-cyanomethyl-propionamide;

N-cyanomethyl-2-[2-1,1-difluoro-methoxy)-benzylsulfonylmethyl]-3-benzylsulfonyl-

20　　propionamide;

N-cyanomethyl-3-(2-trifluoromethyl-benzylsulfonyl)-2-(2-trifluoromethyl-
benzylsulfonylmethyl)-propionamide;

4-benzenesulfonyl-2-(2-benzenesulfonyl-ethyl)-*N*-cyanomethyl-butyramide;

N-cyanomethyl-3-[2-(1,1-difluoro-methoxy)-benzylsulfonyl]-2-[2-(1,1-difluoro-methoxy)-

25　　benzylsulfonylmethyl]-propionamide;

N-cyanomethyl-3-benzylsulfonyl-2-benzylsulfonylmethyl-propionamide;

N-cyanomethyl-3-(2-methyl-propane-1-sulfonyl)-2-(2-methyl-propane-1-sulfonylmethyl)-propionamide;

~~*N*-cyanomethyl-3-(2-methyl-thiazol-4-ylmethylsulfonyl)-2-benzyl-sulfonylmethyl-~~
propionamide;

5   3-biphenyl-3-yl-*N*-cyanomethyl-2-[2-(1,1-difluoro-methoxy)-benzyl-sulfonylmethyl]-propionamide;

(3'-{2-(cyanomethyl-carbamoyl)-3-[2-(1,1-difluoro-methoxy)-benzyl-sulfonyl]-propyl}-biphenyl-4-yl)-carbamic acid ethyl ester;

N-cyanomethyl-2-[2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl]-3-(4'-

10   methylsulfonylamino-biphenyl-3-yl)-propionamide;

3-(3-bromo-phenyl)-*N*-cyanomethyl-2-[2-(1,1-difluoro-methoxy)-phenyl-methylsulfonylmethyl]-propionamide;

N-cyanomethyl-2-((E)-3-phenyl-allyl)-3-benzylsulfonyl-propionamide;

N-cyanomethyl-3-benzylsulfonyl-2-(3-phenyl-propyl)-propionamide;

15   *N*-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-butyl]-3-benzylsulfonyl-2-benzylsulfonylmethyl-propionamide;

N-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-butyl]-3-(2-trifluoromethyl-benzylsulfonyl)-2-(2-trifluoromethyl-benzylsulfonylmethyl)-propionamide;

N-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-pentyl]-4-(2-methoxy-benzenesulfonyl)-2-[2-(2-

20   methoxy-benzenesulfonyl)-ethyl]-butyramide;

4-Benzenesulfonyl-2-(2-benzenesulfonyl-ethyl)-*N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-butyramide;

(R)-*N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-cyclohexylmethyl-3-benzylsulfonyl-propionamide;

25   *N*-[(S)-1-(1-benzothiazol-2-yl-methanoyl)-propyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide;

N-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-3-cyclohexyl-2-cyclohexylmethyl-propionamide;

N-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-butyl]-3-isobutylsulfanyl-2-

30   isobutylsulfanylmethyl-propionamide;

N-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-3-benzylsulfanyl-2-benzylsulfanylmethyl-propionamide;

N-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-4-phenylsulfanyl-2-(2-phenylsulfanyl-ethyl)-butyramide;

5   *N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide;

N-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-pentyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide;

4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-*N*-{(S)-1-[1-(3-phenyl-[1,2,4]oxadiazol-

10   5-yl)-methanoyl]-propyl}-butyramide;

N-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-butyl]-2-[2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl]-3-benzylsulfonyl-propionamide;

4-Morpholin-4-yl-4-oxo-*N*-[1-(2-oxo-2-phenyl-acetyl)-pentyl]-2-benzylsulfonylmethyl-butyramide;

15   *N*-(1,1-Dimethyl-2-oxazolo[4,5-b]pyridin-2-yl-2-oxo-ethyl)-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide;

N-[1-(5-Ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide;

N-[1-(5-Ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-oxo-2-benzylsulfonyl-methyl-4-

20   piperidin-1-yl-butyramide;

N-[1-(5-Ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-oxo-2-benzylsulfonyl-methyl-4-pyrrolidin-1-yl-butyramide;

N-[1-(5-Methoxymethyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide;

25   *N*-[1-(5-Methoxymethyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-butyramide;

N-[1-(5-Methoxymethyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonylmethyl-4-pyrrolidin-1-yl-butyramide;

4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-*N*-[1-(5-phenyl-[1,3,4]oxadiazole-2-

30   carbonyl)-propyl]-butyramide;

4-Oxo-2-benzylsulfonylmethyl-*N*-[1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-
piperidin-1-yl-butyramide;

4-Oxo-2-benzylsulfonylmethyl-*N*-[1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-
pyrrolidin-1-yl-butyramide;

5   4-Morpholin-4-yl-*N*-[1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propyl]-4-oxo-2-
benzylsulfonylmethyl-butyramide;

N-[1-(Oxazolo[4,5-b]pyridine-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonyl-methyl-4-
piperidin-1-yl-butyramide;

N-[1-(Oxazolo[4,5-b]pyridine-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonyl-methyl-4-

10   pyrrolidin-1-yl-butyramide;

4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-*N*-[1-(5-pyridin-4-yl-[1,3,4]oxadiazole-2-
carbonyl)-propyl]-butyramide;

4-Oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-*N*-[1-(5-pyridin-4-yl-[1,3,4]oxadiazole-2-
carbonyl)-propyl]-butyramide;

15   4-Oxo-2-benzylsulfonylmethyl-*N*-[1-(5-pyridin-4-yl-[1,3,4]oxadiazole-2-carbonyl)-
propyl]-4-pyrrolidin-1-yl-butyramide;

4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-*N*-[1-(5-pyridin-3-yl-[1,3,4]oxadiazole-2-
carbonyl)-propyl]-butyramide;

N-[1-(Benzooxazole-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-

20   butyramide;

N-[1-(Benzooxazole-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonylmethyl-4-pyrrolidin-1-yl-
butyramide;

N-[1-(Benzooxazole-2-carbonyl)-propyl]-2-cyclohexylmethyl-4-morpholin-4-yl-4-oxo-
butyramide;

25   2-Cyclohexylmethyl-4-morpholin-4-yl-*N*-[1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propyl]-
4-oxo-butyramide;

2-Cyclohexylmethyl-N-[1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-morpholin-4-yl-
4-oxo-butyramide;

N-(2-Benzooxazol-2-yl-1-methoxymethyl-2-oxo-ethyl)-2-(2-difluoromethoxy-

30   benzylsulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyramide;

N-[1-(Benzooxazole-2-carbonyl)-propyl]-2-(2-cyclohexyl-ethyl)-4-morpholin-4-yl-4-oxo-
butyramide;

2-(2-Cyclohexyl-ethyl)-4-morpholin-4-yl-*N*-[1-(oxazolo[4,5-b]pyridine-2-carbonyl)-
propyl]-4-oxo-butyramide;

5   2-(2-Cyclohexyl-ethyl)-4-morpholin-4-yl-4-oxo-*N*-[1-(5-phenyl-[1,3,4]oxadiazole-2-
carbonyl)-propyl]-butyramide;

2-(2-Difluoromethoxy-benzylsulfonylmethyl)-4-morpholin-4-yl-4-oxo-*N*-[1-(5-phenyl-
[1,3,4]oxadiazole-2-carbonyl)-propyl]-butyramide;

2-(2-Difluoromethoxy-benzylsulfonylmethyl)-*N*-[1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-

10   butyl]-4-morpholin-4-yl-4-oxo-butyramide;

N-[1-(Benzooxazole-2-carbonyl)-propyl]-2-(2-difluoromethoxy-benzyl-sulfonylmethyl)-4-
morpholin-4-yl-4-oxo-butyramide;

2-(2-Morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid,

1-(benzooxazole-2-carbonyl)-propyl]-amide;

15   (R)-2-Cyclohexylmethyl-4-morpholin-4-yl-4-oxo-*N*-[(S)-1-(5-phenyl-1,2,4-oxadiazole-3-
carbonyl)-propyl]-butyramide;

2-(2-Morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid,

(S)-1-(5-phenyl-[1,2,4]oxadiazole-3-carbonyl)-propyl]-amide;

4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-*N*-[(S)-1-(5-phenyl-1,2,4-oxadiazole-3-

20   carbonyl)-propyl]-butyramide;

(R)-2-Cyclohexylmethyl-4-morpholin-4-yl-4-oxo-*N*-[(S)-1-(3-phenyl-1,2,4-oxadiazole-5-
carbonyl)-propyl]-butyramide;

4-Morpholin-4-yl-*N*-[1-(oxazole-2-carbonyl)-3-phenyl-propyl]-4-oxo-2-
benzylsulfonylmethyl-butyramide;

25   *N*-(1,1-Dimethyl-2-oxazol-2-yl-2-oxo-ethyl)-4-morpholin-4-yl-4-oxo-2-
benzylsulfonylmethyl-butyramide;

N-4-Isopropyl-*N*-1-[1-(oxazole-2-carbonyl)-3-phenyl-propyl]-2-benzylsulfonylmethyl-
succinamide;

2-(2-Difluoromethoxy-benzylsulfonylmethyl)-4-morpholin-4-yl-*N*-[1-(oxazole-2-

30   carbonyl)-3-phenyl-propyl]-4-oxo-butyramide;

2-(2-Methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-*N*-[1-(oxazole-2-carbonyl)-3-

phenyl-propyl]-4-oxo-butyramide;

2-Cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-*N*-[1-(oxazole-2-carbonyl)-3-
phenyl-propyl]-4-oxo-butyramide;

N-[1-(Benzooxazole-2-carbonyl)-butyl]-2-benzylsulfonyl-3-(tetrahydro-pyran-4-

5   yloxymethyl)-propionamide;

N-[1-(Benzooxazole-2-carbonyl)-butyl]-3-ethanesulfonyl-2-(tetrahydro-pyran-4-
yloxymethyl)-propionamide;

N-(1-Benzenesulfonyl-3-oxo-azepan-4-yl)-2-cyclopropylmethylsulfonyl-methyl-4-
morpholin-4-yl-4-oxo-butyramide;

10   2-Cyclopropylmethylsulfonylmethyl-N-{(S)-1-[(R)-hydroxy-(3-phenyl-1,2,4-oxadiazol-5-
yl)-methyl]-propyl}-4-morpholin-4-yl-4-oxo-butyramide;

N-{(S)-1-[(R)-hydroxy-(3-phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propyl}-2-(2-methyl-
propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyramide;

2-(2-Morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid {(S)-1-[(R)-hydroxy-(3-phenyl-

15   1,2,4-oxadiazol-5-yl)-methyl]-propyl}-amide;

2-Cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-4-oxo-N-[(S)-1-(3-phenyl-1,2,4-
oxadiazole-5-carbonyl)-propyl]-butyramide;

2-(2-methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-N-[(S)-1-(3-phenyl-1,2,4-
oxadiazole-5-carbonyl)-propyl]-butyramide;

20   2-(2-Morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid,
(S)-1-(3-phenyl-1,2,4-oxadiazole-5-carbonyl)-propyl}-amide;

N-[(1S)-1-(Benzooxazol-2-yl-hydroxy-methyl)-3-phenyl-propyl]-2-
cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-4-oxo-butyramide;

(R)-2-((S)-1-Hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid,

25   1-(benzoxazole-2-carbonyl)-propyl]-amide;

(R)-5-(2-Difluoromethoxy-phenyl)-2-((S)-1-hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-
pentanoic acid, 1-(benzoxazole-2-carbonyl)-propyl]-amide;

4-Morpholin-4-yl-N-[1-(oxazole-2-carbonyl)-cyclopropyl]-4-oxo-2-benzylsulfonyl methyl
–butyramide;

30   *N*-[(S)-1-((E)-2-benzenesulfonyl-vinyl)-pentyl]-3-benzylsulfonyl-2-benzylsulfonylmethyl-
propionamide

N-(3-benzenesulfonyl-1-phenethyl-allyl)-3-benzylsulfonyl-2-benzylsulfonylmethyl-propionamide;

N-(3-benzenesulfonylamino-2-oxo-propyl)-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide;

5 (S)-2,2-difluoro-4-(4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butanoylamino)-3-oxo-hexanoic acid dimethylamide;

N-[(S)-1-(1-Benzylcarbamoyl-methanoyl)-propyl]-3-benzylsulfonyl-2-benzylsulfonylmethyl-propionamide;

N-[(S)-1-(1-Benzylcarbamoyl-methanoyl)-propyl]-4-morpholin-4-yl-4-oxo-2-

10 benzylsulfonylmethyl-butyramide;

3-Hydroxy-4-(4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyrylamino)-azepane-1-carboxylic acid tert-butyl ester;

4-(2-Cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-4-oxo-butyrylamino)-3-hydroxy-azepane-1-carboxylic acid tert-butyl ester;

15 3-Hydroxy-4-[2-(2-methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyrylamino]-azepane-1-carboxylic acid tert-butyl ester;

4-(4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyrylamino)-3-oxo-azepane-1-carboxylic acid tert-butyl ester;

4-(2-Cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-4-oxo-butyrylamino)-3-oxo-

20 azepane-1-carboxylic acid tert-butyl ester;

4-[2-(2-Methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyrylamino]-3-oxo-azepane-1-carboxylic acid tert-butyl ester;

N-(1-Benzenesulfonyl-3-oxo-azepan-4-yl)-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide;

25 *N*-(1-Benzenesulfonyl-3-oxo-azepan-4-yl)-2-(2-methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyramide;

3-(4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyrylamino)-4-oxo-pyrrolidine-1-carboxylic acid tert-butyl ester;

4-(4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyrylamino)-3-oxo-azepane-1-

30 carboxylic acid benzyl ester;

acetic acid (2S,3S)-3-(4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butanoylamino)-4-

oxo-azetidin-2-yl ester;and their corresponding N-oxides, and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and
5   mixtures of isomers thereof.

Preferred compounds of the invention are:-

N-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-3-benzylsulfonyl-2-benzylsulfonylmethyl-
10   propionamide (compound denoted as A64-B4-C11-D6), (Compound 1);

N-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-3-(2-trifluoromethyl-benzylsulfonyl)-2-(2-trifluoromethyl-benzylsulfonylmethyl)-propionamide,   (compound   denoted   as A69-B32-C11-D6), (Compound 2);

N-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-pentyl]-4-(2-methoxy-benzenesulfonyl)-2-[2-(2-
15   methoxy-benzenesulfonyl)-ethyl]-butyramide, (compound denoted as A64-B85-C11-D6), (Compound 3);

4-benzenesulfonyl-2-(2-benzenesulfonyl-ethyl)-*N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-butyramide, (compound denoted as A4-B6-C11-D6), (Compound 4);

(R)-*N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-cyclohexylmethyl-3-
20   benzylsulfonyl-propionamide, (Compound 5) ;

N-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-3-isobutylsulfanyl-2-isobutylsulfanylmethyl-propionamide,   (compound   denoted   as   A68-B79-C11-D6), (Compound 8);

N-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-3-benzylsulfanyl-2-benzylsulfanylmethyl-
25   propionamide, (compound denoted as A64-B85-C11-D6), (Compound 9);

N-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-4-phenylsulfanyl-2-(2-phenylsulfanyl-ethyl)-butyramide, (compound denoted as A70-B80-C6-D6), (Compound 10);

N-cyanomethyl-2-[2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl]-4-morpholin-4-yl-4-oxo-butyramide, (compound denoted as A2-B39-C1-D1), (Compound 25);

30   *N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide, (compound denoted as A2-B4-C6-D6), (Compound 29);

N-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-pentyl]-4-morpholin-4-yl-4-oxo-2-

benzylsulfonylmethyl-butyramide, (compound denoted as A2-B4-C9-D6), (Compound 30);

N-[(S)-1-(1-benzylcarbamoyl-methanoyl)-propyl]-4-morpholin-4-yl-4-oxo-2-

benzylsulfonylmethyl-butyramide, (compound denoted as A2-B4-C6-D8), (Compound 32);

5   *N*-[(S)-1-((E)-2-benzenesulfonyl-vinyl)-pentyl]-3-benzylsulfonyl-2-benzylsulfonylmethyl-

propionamide, (compound denoted as A13-B4-C9-D7), (Compound 38);

N-(3-Benzenesulfonyl-1-phenethyl-allyl)-3-benzylsulfonyl-2-benzylsulfonylmethyl-

propionamide, (compound denoted as A13-B4-C10-D7), (Compound 39) ;

N-cyanomethyl-3-(3-cyano-benzylsulfonyl)-2-benzylsulfonyl-methyl-propionamide,

10   (compound denoted as A89-B4-C1-D1), (Compound 40);

4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-*N*-{(S)-1-[1-(3-phenyl-[1,2,4]oxadiazol-

5-yl)-methanoyl]-propyl}-butyramide,   (compound   denoted   as   A2-B4-C6-D10),

(Compound 41);

N-cyanomethyl-2-[2-1,1-difluoro-methoxy)-benzylsulfonylmethyl]-3-benzylsulfonyl-

15   propionamide, (compound denoted as A13-B39-C1-D1), (Compound 48);

N-cyanomethyl-3-[2-(1,1-difluoro-methoxy)-benzylsulfonyl]-2-[2-(1,1-difluoro-methoxy)-

benzylsulfonylmethyl]-propionamide, (compound denoted as A5-B39-C1-D1), (Compound

51);

N-[(S)-1-(1-benzylcarbamoyl-methanoyl)-propyl]-3-benzylsulfonyl-2-

20   benzylsulfonylmethyl-propionamide, (compound denoted as A13-B4-C6-D8), (Compound

53);

N-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-[2-(1,1-difluoro-methoxy)-

benzylsulfonylmethyl]-3-benzylsulfonyl-propionamide, (compound denoted as A13-B39-

C11-D6), (Compound 54);

25   acetic acid (2S,3S)-3-(4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butanoylamino)-4-

oxo-azetidin-2-yl ester, (compound denoted as A2-B4-E4), (Compound 58);

N-cyanomethyl-3-(2-methyl-thiazol-4-ylmethylsulfonyl)-2-benzyl-sulfonylmethyl-

propionamide, (compound denoted as A114-B4-C1-D1), (Compound 59);

and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual

30   stereoisomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts

and solvates (e.g. hydrates) of such compounds and the N-oxide derivatives, prodrug

derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

Especially preferred compounds of the invention are:-

N-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-3-benzylsulfonyl-2-benzylsulfonylmethyl-

5   propionamide (compound denoted as A64-B4-C11-D6), (Compound 1);

4-benzenesulfonyl-2-(2-benzenesulfonyl-ethyl)-*N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-

butyl]-butyramide, (compound denoted as A4-B6-C11-D6), (Compound 4);

N-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-4-morpholin-4-yl-4-oxo-2-

benzylsulfonylmethyl-butyramide (compound denoted as A2-B4-C6-D6), (Compound 29);

10   *N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-pentyl]-4-morpholin-4-yl-4-oxo-2-

benzylsulfonylmethyl-butyramide, (compound denoted as A2-B4-C9-D6), (Compound 30);

N-[(S)-1-(1-benzylcarbamoyl-methanoyl)-propyl]-4-morpholin-4-yl-4-oxo-2-

benzylsulfonylmethyl-butyramide, (compound denoted as A2-B4-C6-D8), (Compound 32);

N-[(S)-1-((E)-2-benzenesulfonyl-vinyl)-pentyl]-3-benzylsulfonyl-2-benzylsulfonylmethyl-

15   propionamide, (compound denoted as A13-B4-C9-D7), (Compound 38);

N-(3-Benzenesulfonyl-1-phenethyl-allyl)-3-benzylsulfonyl-2-benzylsulfonylmethyl-

propionamide, (compound denoted as A13-B4-C10-D7), (Compound 39) ;

4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-*N*-{(S)-1-[1-(3-phenyl-[1,2,4]oxadiazol-

5-yl)-methanoyl]-propyl}-butyramide,   (compound   denoted   as   A2-B4-C6-D10),

20   (Compound 41);

N-[(S)-1-(1-benzylcarbamoyl-methanoyl)-propyl]-3-benzylsulfonyl-2-

benzylsulfonylmethyl-propionamide, (compound denoted as A13-B4-C6-D8), (Compound

53);

N-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-[2-(1,1-difluoro-methoxy)-

25   benzylsulfonylmethyl]-3-benzylsulfonyl-propionamide, (compound denoted as A13-B39-

C11-D6), (Compound 54);

and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual

stereoisomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts

and solvates (e.g. hydrates) of such compounds and the N-oxide derivatives, prodrug

30   derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

Pharmacology and Utility:

The compounds of the invention are selective inhibitors of cathepsin S and, as such, are useful for treating diseases in which cathepsin S activity contributes to the pathology and/or symptomatology of the disease. For example, the compounds of the invention are
5   useful in treating autoimmune disorders, including, but not limited to, juvenile onset diabetes, multiple sclerosis, pemphigus vulgaris, Graves' disease, myasthenia gravis, systemic lupus erythemotasus, rheumatoid arthritis and Hashimoto's thyroiditis, allergic disorders, including, but not limited to, asthma, and allogeneic immune responses, including, but not limited to, organ transplants or tissue grafts.

10   Cathepsin S also is implicated in disorders involving excessive elastolysis, such as chronic obstructive pulmonary disease (e.g., emphysema), bronchiolitis, excessive airway elastolysis in asthma and bronchitis, pneumonities and cardiovascular disease such as plaque rupture and atheroma. Cathepsin S is implicated in fibril formation and, therefore, inhibitors of cathepsins S are of use in treatment of systemic amyloidosis.

15   The cysteine protease inhibitory activities of the compounds of the invention can be determined by methods known to those of ordinary skill in the art. Suitable *in vitro* assays for measuring protease activity and the inhibition thereof by test compounds are known. Typically, the assay measures protease-induced hydrolysis of a peptide-based substrate. Details of assays for measuring protease inhibitory activity are set forth in Examples 69,
20   70, 71 and 72, *infra*.

Administration and Pharmaceutical Compositions:

In general, compounds of Formula I will be administered in therapeutically effective amounts via any of the usual and acceptable modes known in the art, either singly
25   or in combination with one or more therapeutic agents. A therapeutically effective amount may vary widely depending on the severity of the disease, the age and relative health of the subject, the potency of the compound used and other factors. For example, therapeutically effective amounts of a compound of Formula I may range from about 1 micrograms per kilogram body weight (μg/kg) per day to about 1 milligram per kilogram body weight
30   (mg/kg) per day, typically from about 10 μg/kg/day to about 0.1 mg/kg/day. Therefore, a therapeutically effective amount for a 80 kg human patient may range from about 100

552

μg/day to about 100 mg/day, typically from about 1 μg/day to about 10 mg/day. In general, one of ordinary skill in the art, acting in reliance upon personal knowledge and the disclosure of this Application, will be able to ascertain a therapeutically effective amount of a compound of Formula I for treating a given disease.

5   The compounds of Formula I can be administered as pharmaceutical compositions by one of the following routes: oral, systemic (e.g., transdermal, intranasal or by suppository) or parenteral (e.g., intramuscular, intravenous or subcutaneous). Compositions can take the form of tablets, pills, capsules, semisolids, powders, sustained release formulations, solutions, suspensions, elixirs, aerosols, or any other appropriate

10   composition and are comprised of, in general, a compound of Formula I in combination with at least one pharmaceutically acceptable excipient. Acceptable excipients are non-toxic, aid administration, and do not adversely affect the therapeutic benefit of the active ingredient. Such excipient may be any solid, liquid, semisolid or, in the case of an aerosol composition, gaseous excipient that is generally available to one of skill in the art.

15   Solid pharmaceutical excipients include starch, cellulose, talc, glucose, lactose, sucrose, gelatin, malt, rice, flour, chalk, silica gel, magnesium stearate, sodium stearate, glycerol monostearate, sodium chloride, dried skim milk, and the like. Liquid and semisolid excipients may be selected from water, ethanol, glycerol, propylene glycol and various oils, including those of petroleum, animal, vegetable or synthetic origin (e.g.,

20   peanut oil, soybean oil, mineral oil, sesame oil, and the like). Preferred liquid carriers, particularly for injectable solutions, include water, saline, aqueous dextrose and glycols.

The amount of a compound of Formula I in the composition may vary widely depending upon the type of formulation, size of a unit dosage, kind of excipients and other factors known to those of skill in the art of pharmaceutical sciences. In general, a

25   composition of a compound of Formula I for treating a given disease will comprise from 0.01%w to 10%w, preferably 0.3%w to 1%w, of active ingredient with the remainder being the excipient or excipients. Preferably the pharmaceutical composition is administered in a single unit dosage form for continuous treatment or in a single unit dosage form ad libitum when relief of symptoms is specifically required. Representative pharmaceutical

30   formulations containing a compound of Formula I are described in Example 73.

Chemistry:

5   Processes for Making Compounds of Formula I:

Compounds of the invention may be prepared by the application or adaptation of known methods, by which is meant methods used heretofore or described in the literature, for example those described by R.C. Larock in Comprehensive Organic Transformations, VCH publishers, 1989.

10   In the reactions described hereinafter it may be necessary to protect reactive functional groups, for example hydroxy, amino, imino, thio or carboxy groups, where these are desired in the final product, to avoid their unwanted participation in the reactions. Conventional protecting groups may be used in accordance with standard practice, for examples see T.W. Greene and P. G. M. Wuts in "Protective Groups in Organic

15   Chemistry" John Wiley and Sons, 1991.

Compounds of Formula I, where X^1 is $-NHC(R^1)(R^2)X^2$, can be prepared by proceeding as in the following Reaction Scheme 1:

Reaction Scheme 1

2

20   I

in which each X^2, R^1, R^2, R^3 and R^4 are as defined for Formula I in the Summary of the

Invention.

Compounds of Formula I can be prepared by condensing an acid of Formula 2 with an amino compound of formula $NH_2CR^1R^2X^2$. The condensation reaction can be effected with an appropriate coupling agent (e.g., benzotriazol-1-yloxytrispyrrolidinophosphonium

5 hexafluorophosphate (PyBOP®), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (EDCI), O-benzotriazol-1-yl-N,N,N',N'-tetramethyluronium hexafluorophosphate (HBTU), 1,3-dicyclohexylcarbodiimide (DCC), or the like) and optionally an appropriate catalyst (e.g., 1-hydroxybenzotriazole (HOBt), 1-hydroxy-7-azabenzotriazole (HOAt), O-(7-azabenzotrizol-1-yl)-1,1,3,3, tetra-

10 methyluroniumhexafluorophosphate (HATU), or the like) and non-nucleophilic base (e.g., triethylamine, N-methylmorpholine, and the like, or any suitable combination thereof) at ambient temperature and requires 5 to 10 hours to complete.

An oxidation step, if required, can be carried out with an oxidizing agent (e.g., Oxone®, metachloroperbenzoic acid or the like) in a suitable solvent (e.g., methanol, water,

15 or the like, or any suitable combination thereof) at ambient temperature and requires 16 to 24 hours to complete. Detailed descriptions for the synthesis of a compound of Formula I by the processes in Reaction Scheme 1 are set forth in the Examples 1 to 6, *infra*.

Compounds of Formula I, where X^1 is $-NHX^3$, can be prepared by proceeding as in the following Reaction Scheme 2:

20   Reaction Scheme 2

$$\underset{\underset{\displaystyle 2}{}}{\overset{\displaystyle R^3}{\underset{R^4}{\bigvee}}\underset{\overset{\|}{O}}{}\!\!-\!OH}$$

$$\Big\downarrow NH_2X^3$$

$$\underset{\underset{\displaystyle I}{}}{\overset{\displaystyle R^3}{\underset{R^4}{\bigvee}}\underset{\overset{\|}{O}}{}\!\!\overset{NH}{\diagdown}\!X^3}$$

in which each X^3, R^3 and R^4 are as defined for Formula I in the Summary of the Invention.

Compounds of Formula I can be prepared by condensing an acid of Formula 2 with

5　　an amino compound of formula NH_2X^3. The condensation reaction can be effected with an

appropriate coupling agent (e.g., benzotriazol-1-yloxytrispyrrolidinophosphonium

hexafluorophosphate (PyBOP®), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide

hydrochloride (EDCI), O-benzotriazol-1-yl-N,N,N',N'-tetramethyluronium

hexafluorophosphate (HBTU), 1,3-dicyclohexylcarbodiimide (DCC), or the like) and

10　　optionally an appropriate catalyst (e.g., 1-hydroxybenzotriazole (HOBt), 1-hydroxy-

7-azabenzotriazole (HOAt), O-(7-azabenzotrizol-1-yl)-1,1,3,3, tetra-

methyluroniumhexafluorophosphate (HATU), or the like) and non-nucleophilic base (e.g.,

triethylamine, N-methylmorpholine, and the like, or any suitable combination thereof) at

ambient temperature and requires 5 to 10 hours to complete.

15　　　　An oxidation step, if required, can be carried out with an oxidizing agent (e.g.,

Oxone®, metachloroperbenzoic acid or the like) in a suitable solvent (e.g., methanol, water,

or the like, or any suitable combination thereof) at ambient temperature and requires 16 to

24 hours to complete.

Compounds of Formula 2 can be prepared by reacting a compound of Formula 3

20　　with a compound of Formula R^3L:

$$\text{3}$$

in which L is a leaving group and R^3 and R^4 are as defined in the Summary of the Invention. The reaction involves coupling (or alkylation) followed by alkaline hydrolysis

5   at a temperature during which the dicarboxylic acid formed undergoes mono-decarboxylation. The coupling reaction can be carried out in the presence of a suitable base (e.g. triethylamine) in a suitable solvent (e.g. ethanol). The decarbalkoxylation can be effected under strongly basic conditions (e.g. in the presence of 1N aqueous sodium hydroxide) in a suitable solvent (e.g. ethanol). Detailed descriptions for the synthesis of

10   compounds of Formula 2 by the process described above are set forth in the References, *infra*.

Compounds of Formula 2, in which R^3 and R^4 are benzylsulfonylmethyl, can be prepared by reacting a compound of Formula 4:

$$\text{4}$$

15

in which R^{30} is a halo group, with benzyl mercaptan under strongly basic conditions to produce a compound of Formula 5:

$$\text{5}$$

20

followed by reaction with benzyl mercaptan in the presence of a suitable coupling reagent

(e.g. DMAP) and in a suitable solvent (e.g. DMF). A detailed description of the synthesis of a compound of Formula 2 by a similar process as that described above is set forth in the References, *infra.*

Compounds of Formula 2, in which R^4 is biaryl, can be prepared by coupling a

5   compound of Formula 6:

6

in which R^{30} is a halo group and R^3 is as defined in the Summary of the Invention, with a compound of ArL, in which Ar is an aryl group and L is a leaving group, to produce a

10   compound of Formula 2 in which R^4 is biaryl. The coupling reaction takes place in the presence of a suitable catalyst (e.g. tetrakis-triphenylphosphine palladium). A detailed description of the synthesis of a compound of Formula 2 by the process described above is set forth in the References, *infra.*

15   Additional Processes for Preparing Compounds of Formula I:

A compound of Formula I can be prepared as a pharmaceutically acceptable acid addition salt by reacting the free base form of the compound with a pharmaceutically acceptable inorganic or organic acid. Alternatively, a pharmaceutically acceptable base addition salt of a compound of Formula I can be prepared by reacting the free acid form of

20   the compound with a pharmaceutically acceptable inorganic or organic base. Inorganic and organic acids and bases suitable for the preparation of the pharmaceutically acceptable salts of compounds of Formula I are set forth in the definitions section of this Application. Alternatively, the salt forms of the compounds of Formula I can be prepared using salts of the starting materials or intermediates.

25   The free acid or free base forms of the compounds of Formula I can be prepared from the corresponding base addition salt or acid addition salt form. For example, a compound of Formula I in an acid addition salt form can be converted to the corresponding

free base by treating with a suitable base (e.g., ammonium hydroxide solution, sodium hydroxide, and the like). A compound of Formula I in a base addition salt form can be converted to the corresponding free acid by treating with a suitable acid (e.g., hydrochloric acid, etc).

5   The *N*-oxides of compounds of Formula I can be prepared by methods known to those of ordinary skill in the art. For example, *N*-oxides can be prepared by treating an unoxidized form of the compound of Formula I with an oxidizing agent (e.g., trifluoroperacetic acid, permaleic acid, perbenzoic acid, peracetic acid, *meta*-chloroperoxybenzoic acid, or the like) in a suitable inert organic solvent (e.g., a

10   halogenated hydrocarbon such as dichloromethyl) at approximately 0°C. Alternatively, the *N*-oxides of the compounds of Formula I can be prepared from the *N*-oxide of an appropriate starting material.

         Compounds of Formula I in unoxidized form can be prepared from *N*-oxides of compounds of Formula I by treating with a reducing agent (e.g., sulfur, sulfur dioxide,

15   triphenyl phosphine, lithium borohydride, sodium borohydride, phosphorus trichloride, tribromide, or the like) in an suitable inert organic solvent (e.g., acetonitrile, ethanol, aqueous dioxane, or the like) at 0 to 80°C.

         Prodrug derivatives of the compounds of Formula I can be prepared by methods known to those of ordinary skill in the art (e.g., for further details see Saulnier *et al.*(1994),

20   *Bioorganic and Medicinal Chemistry Letters*, Vol. 4, p. 1985). For example, appropriate prodrugs can be prepared by reacting a non-derivatized compound of Formula I with a suitable carbamylating agent (e.g., 1,1-acyloxyalkylcarbonochloridate, *para*-nitrophenyl carbonate, or the like).

         Protected derivatives of the compounds of Formula I can be made by means known

25   to those of ordinary skill in the art. A detailed description of the techniques applicable to the creation of protecting groups and their removal can be found in T.W. Greene, *Protecting Groups in Organic Synthesis*, 3rd edition, John Wiley & Sons, Inc. 1999. Compounds of the present invention may be conveniently prepared, or formed during the process of the invention, as solvates (e.g. hydrates). Hydrates of compounds of the present

30   invention may be conveniently prepared by recrystallisation from an aqueous/organic solvent mixture, using organic solvents such as dioxin, tetrahydrofuran or methanol.

Compounds of Formula I can be prepared as their individual stereoisomers by reacting a

racemic mixture of the compound with an optically active resolving agent to form a pair of

diastereoisomeric compounds, separating the diastereomers and recovering the optically

pure enantiomer. While resolution of enantiomers can be carried out using covalent

5   diasteromeric derivatives of compounds of Formula I, dissociable complexes are preferred

(e.g., crystalline diastereoisomeric salts). Diastereomers have distinct physical properties

(e.g., melting points, boiling points, solubilities, reactivity, etc.) and can be readily

separated by taking advantage of these dissimilarities. The diastereomers can be separated

by chromatography or, preferably, by separation/resolution techniques based upon

10   differences in solubility. The optically pure enantiomer is then recovered, along with the

resolving agent, by any practical means that would not result in racemization. A more

detailed description of the techniques applicable to the resolution of stereoisomers of

compounds from their racemic mixture can be found in Jean Jacques Andre Collet, Samuel

H. Wilen, Enantiomers, Racemates and Resolutions, John Wiley & Sons, Inc. (1981).

15

In summary, the compounds of Formula I are made by a process which comprises:

(A)   reacting a compound of Formula 2:

$$R^4 \overset{\displaystyle R^3}{\underset{\displaystyle O}{\diagdown \diagup}} OH$$

2

20   with a compound of the formula $NH_2CR^1R^2X^2$, in which X^2, R^1, R^2, R^3 and R^4

are as defined in the Summary of the Invention for Formula I; or

(B)   reacting a compound of Formula 2 with a compound of the formula NH_2X^3, in

which X^3, R^3 and R^4 are as defined in the Summary of the Invention for Formula

25   I; or

(C)   optionally converting a compound of Formula I into a pharmaceutically

acceptable salt;

(D)   optionally converting a salt form of a compound of Formula I to non-salt form;

(E)   optionally converting an unoxidized form of a compound of Formula I into a
        pharmaceutically acceptable *N*-oxide;

(F)   optionally converting an *N*-oxide form of a compound of Formula I its
        unoxidized form;

5   (G)   optionally resolving an individual isomer of a compound of Formula I from a
        mixture of isomers;

(H)   optionally converting a non-derivatized compound of Formula I into a
        pharmaceutically prodrug derivative; and

(I)   optionally converting a prodrug derivative of a compound of Formula I to its
10   non-derivatized form.

Examples:

        The present invention is further exemplified, but not limited by, the following

        examples that illustrate the preparation of compounds of Formula I (Examples) and

15   intermediates (References) according to the invention.

REFERENCE 1

3-Benzylsulfanyl-2-benzylsulfanylmethyl-propionic acid

20

        A solution of diethyl bis(hydroxymethyl)malonate (46.95g, 0.21 moles) (prepared

        by the method of P. Block, Jr., Organic Synthesis, Collective Volume V, 381 (1973)) in

25   methylene chloride (500mL) was treated with triethylamine (63mL) and cooled to –30°C.

        A mixture of methylsulfonyl chloride (35 mL) in methylene chloride (40 mL) was added to

        the reaction mixture dropwise over 20 minutes and the reaction mixture was allowed to stir

at room temperature for 18 hours. The reaction mixture was then poured into ice water and the product was extracted with methylene chloride. The organic extracts were washed with saturated aqueous sodium chloride and then dried over magnesium sulfate. The solvent was removed by rotary evaporation at reduced pressure and the residue was recrystallized

5   from t-butylmethyl ether and hexane to give 2,2-bis-methylsulfonyloxymethyl-malonic acid diethyl ester (55.04 g).

Sodium (0.268 g, 11.6 mmol) was dissolved in ethanol (25 mL) and the resulting solution was treated with benzyl mercaptan (1.87 mL, 15.9 mmol). The reaction mixture was cooled on ice and the 2,2-bis-methylsulfonyloxymethyl-malonic acid diethyl ester

10   (2.00g, 5.31 mmol) was added. The reaction mixture was stirred at room temperature for 16 hours and then heated at 55°C for 1.5 hours. The resulting solution was cooled to room temperature and poured into ice water. The product was extracted with ethyl acetate. The extracts were washed with saturated aqueous sodium chloride and then dried over magnesium sulfate. The solvent was removed by rotary evaporation at reduced pressure

15   and the residue was chromatographed on silica gel eluting with ethyl acetate/hexane to give 3-benzylsulfanyl-2-benzylsulfanylmethyl-propionic acid ethyl ester (1.589 g, 83% yield).

3-Benzylsulfanyl-2-benzylsulfanylmethyl-propionic acid ethyl ester (1.589 g, 4.41 mmol) in a mixture of potassium hydroxide (1N, 7 mL), water (3 mL), dioxane (30 mL) and ethanol (10 mL) was stirred at room temperature for 18 hours. The solvents were

20   removed form the reaction mixture by rotary evaporation at reduced pressure and the residue was dissolved in water and washed with ether. The aqueous layer was cooled on ice, acidified to pH 2 and the product extracted with ethyl acetate. The extracts were washed with saturated aqueous sodium chloride and then dried over magnesium sulfate. The solvent was removed by rotary evaporation at reduced pressure to give 3-

25   benzylsulfanyl-2-benzylsulfanylmethyl-propionic acid (1.293g, 88%).

REFERENCE 2

2-Benzylsulfanylmethyl-3-[2-(1,1-difluoro-methoxy)-benzylsulfanyl]-propionic acid

30

     2-Bromomethylacrylic acid (3.00 g, 18.1 mmol) was dissolved in methanol (100mL), cooled on an ice bath and treated with benzyl mercaptan. Aqueous sodium

5   hydroxide (1N, 39.8 mL) was added dropwise and the reaction mixture was allowed to adjust to room temperature with stirring for 23 hours. Methanol was removed by rotary evaporation at reduced pressure and water (100 mL) was added to the residue, which was then washed with ether. The aqueous layer was cooled on ice and acidified to pH 2.5. The precipitated solid was isolated by filtration and dried to give 2-benzylsulfanylmethyl-

10 acrylic acid (3.346 g, 89 %).

     A solution of 2-difluoromethoxybenzyl mercaptan (0.534 g, 2.81 mmol), 2-benzylsulfanylmethyl-acrylic acid (0.585 g, 2.81 mmol) and 4-dimethylaminopyridine (36 mg, 0.3 mmol) in DMF (1.5 ml) was stirred at room temperature for 20 hours. An additional amount of 2-difluoromethoxybenzyl mercaptan (0.201 g) was added to the

15 reaction mixture and stirring was continued for another 24 hours. The reaction mixture was poured into dilute, cold, aqueous HCl and the product extracted with ethyl acetate. The extracts were washed with saturated aqueous sodium chloride and then dried over magnesium sulfate. The solvent was removed by rotary evaporation at reduced pressure and the residue was chromatographed on silica gel eluting with ethyl acetate/hexane to give

20 2-Benzylsulfanylmethyl-3-[2-(1,1-difluoro-methoxy)-benzylsulfanyl]-propionic acid (0.706 g).

<div align="center">

REFERENCE 3

25   2-Benzylsulfanylmethyl-3-cyclohexyl-propionic acid

</div>

A solution of diethyl-2-cyclohexylmethyl malonate (2.56 g), 37% aqueous formaldehyde (0.80 mL), potassium bicarbonate (0.08g) and ethanol (2.5 mL) was stirred

5   at room temperature for 20 hours. Saturated aqueous ammonium sulfate (10 mL) was added to the reaction and the product extracted with ethyl acetate. The extracts were washed with saturated aqueous sodium chloride and then dried over magnesium sulfate. The solvent was removed by rotary evaporation at reduced pressure and the residue was chromatographed on silica gel eluting with ethyl acetate/hexane to give 2-

10   cyclohexylmethyl-2-hydroxymethyl-malonic acid diethyl ester (1.31g).

A solution of 2-cyclohexylmethyl-2-hydroxymethyl-malonic acid diethyl ester (1.31 g, 4.13 mmol) in methylene chloride (20 mL) and triethyl amine (1.16 mL, 8.00 mmol) was cooled to -40°C. A solution of methylsulfonyl chloride (0.402 mL, 5.2 mmol) in methylene chloride (4 mL) was added to the reaction mixture over 5 minutes. The reaction

15   mixture was warmed to -10°C over 1 hour and then poured into cold dilute aqueous HCl. The product was extracted with ethyl acetate, the extracts were washed with saturated aqueous sodium chloride and then dried over magnesium sulfate. The solvent was removed by rotary evaporation at reduced pressure to give 2-cyclohexylmethyl-2-methylsulfonyloxymethyl-malonic acid diethyl ester (1.505 g).

20   Sodium (0.097 g, 4.2 mmol) was dissolved in ethanol (10 mL) and the resulting solution was cooled to 0°C and treated with a mixture comprising benzyl mercaptan (0.493 mL, 4.2 mmol) and 2-cyclohexylmethyl-2-methylsulfonyloxymethyl-malonic acid diethyl ester (1.466 g, 4.02 mmol). The reaction was stirred at room temperature for 17 hours, 53°C for 20 hours and 73°C for 24 hours. The ethanol was removed by rotary evaporation,

25   the reaction mixture was poured into water and the product was extracted with ethyl acetate. The extracts were washed with saturated aqueous sodium chloride and then dried. over magnesium sulfate. The solvent was removed by rotary evaporation at reduced

pressure and the residue was chromatographed on silica gel eluting with ethyl acetate/hexane to give 2-benzylsulfanylmethyl-3-cyclohexyl-propionic acid ethyl ester (0.237 g).

A mixture of 2-benzylsulfanylmethyl-3-cyclohexyl-propionic acid ethyl ester
5 (0.230g), dioxane (10 mL), sodium hydroxide (1N, 3 mL), water (2 mL) and ethanol (4 mL) was stirred for 20 hours at room temperature. The solvents were evaporated and the residue dissolved in water (50 mL). The aqueous solution was washed twice with ether and then acidified to pH2. The product was extracted from the aqueous solution with ethyl acetate and the extracts were washed with saturated aqueous sodium chloride and then
10 dried over magnesium sulfate. The solvent was removed by rotary evaporation at reduced pressure to give acid 2-benzylsulfanylmethyl-3-cyclohexyl-propionic acid (0.210 g).

REFERENCE 4

15   4-Benzenesulfonyl-2-(2-benzenesulfonyl-ethyl)-butyric acid

A mixture of 2-iodoethylphenyl sulfide (19.81g, 75mmol), diethyl malonate (4.80g,
20 30mmol), potassium carbonate (10.35g, 75mmol) and DMF (40mL) was heated at 52°C for 18 hours. More potassium carbonate (10g) was added and the reaction was continued at 52°C for another 8 hours. The reaction mixture was cooled, diluted with ice water and the product extracted with ethyl acetate. The extracts were washed with saturated aqueous sodium chloride and then dried over magnesium sulfate. The solvent was removed by
25 rotary evaporation at reduced pressure and the residue was chromatographed on silica gel eluting with ethyl acetate/hexane to give 2,2-bis-(2-phenylsulfanyl-ethyl)-malonic acid diethyl ester (5.648g).

A solution of 2,2-bis-(2-phenylsulfanyl-ethyl)-malonic acid diethyl ester (5.614g) in ethanol (100mL) was treated with lithium hydroxide (2.84g) in water (10mL). The reaction mixture was heated at 49°C for 17 hours followed by 85°C for 2 hours. The solvents were evaporated at reduced pressure to give a residue that was treated with water

5   (100mL) and washed with ether. The aqueous layer was cooled on ice, acidified and the product extracted with ethyl acetate. The extracts were dried over magnesium sulfate. The solvent was removed by rotary evaporation at reduced pressure to give acid 2,2-bis-(2-phenylsulfanyl-ethyl)-malonic acid (5.628 g).

2,2-Bis-(2-phenylsulfanyl-ethyl)-malonic acid (5.628 g) was heated at 150°C for 30

10   minutes. The reaction mixture was cooled to room temperature, dissolved in ethyl acetate and washed with aqueous sodium bicarbonate. The ethyl acetate solution was washed with saturated aqueous sodium chloride and then dried over magnesium sulfate. The solvent was removed by rotary evaporation at reduced pressure and the residue was chromatographed on silica gel eluting with ethyl acetate/hexane to give 4-phenylsulfanyl-2-

15   (2-phenylsulfanyl-ethyl)-butyric acid (1.831 g).

A solution of 4-phenylsulfanyl-2-(2-phenylsulfanyl-ethyl)-butyric acid (0.332g) in methanol (10mL) was treated with a solution of Oxone® (1.87g in 10mL of water). After stirring 18 hours at room temperature the reaction mixture was diluted with water (30mL) and evaporated under reduced pressure to remove the methanol. The product was extracted

20   with ethyl acetate. The extracts were washed with saturated aqueous sodium chloride and then dried over magnesium sulfate. The solvent was removed by rotary evaporation and the resulting oil was crystallized from t-butylmethyl ether to give 4-benzenesulfonyl-2-(2-benzenesulfonyl-ethyl)-butyric acid (0.315g).

25

REFERENCE 5

4-Morpholin-4-yl-4-oxo-2-(2-trifluoromethyl-benzylsulfonylmethyl)-butyric acid

A solution of 3-methylene-dihydro-furan-2,5-dione (5.9g, 52.7mmol) in CH$_2$Cl$_2$ (200mL) was cooled to 0°C before adding morpholine (4.6mL, 52.7mmol) slowly over 5 minutes. The ice bath was removed and the mixture was stirred at room temperature for 1 hour. The solvent was evaporated under vacuum to 2-Methylene-4-morpholin-4-yl-4-oxo-butyric acid.

A mixture of 2-methylene-4-morpholin-4-yl-4-oxo-butyric acid (2g, 10.03mmol), in DMF (5mL), 2-trifluoromethylbenzyl mercaptan (1.93g, 10.03mmol) and DMAP (122mg, 1.0mmol) was stirred at ambient temperature for 16 hours. Methanol (200mL) and a saturated aqueous solution of Oxone® (20g, 32.5mmol) were added with continued stirring for 2 hours. Methanol was removed under vacuum and the aqueous residue was diluted with 200mL of water. The crystallized product was filtered, washed with water, and dried under vacuum to yield 4-morpholin-4-yl-4-oxo-2-(2-trifluoromethyl-benzylsulfonylmethyl)-butyric acid (0.95g) as a white solid.

Compounds of Formula I in which R^3 is –CH$_2$SR^{14} (R^{14} is as described in the summary of the invention) can be synthesized by the following reaction protocol:

reference: JCS Perkin 1 1721,1998

Compound **1** was prepared as S or R enantiomers using the method described by Crawforth et al. J. Chem. Soc., Perkin Trans. 1, 1721-1725,1998.

5   Compound **2** was prepared by dissolving compound **1** in methylene chloride with triphenylphosphine (1.1 equivalents) followed by the slow addition of *N*-bromosuccinamide (1.05 equivalents) over a 5 minute period and the reaction was allowed to stir for 3 –8 hours at room temperature. The mixture was then extracted with water and brine, then dried over sodium sulfate. After concentrating the residue was dissolved in

10   ether and a small amount of heptane was added to remove unwanted solids. After filtering and concentration the resulting bromide was used without further purification. This intermediate was dissolved in THF then potassium thioacetate (1.1 equivalents) was added in one portion and the reaction was stirred for 3 to 24 hours at room temperature. The solvent was removed and the residue taken up in ethanol. Sodium hydroxide was added

15   (2.2 equivalents) and the reaction was stirred for 10 to 60 minutes at room temperature. 1 equivalent of a halo-substituted compound (eg. benzyl bromide, isobutyl bromide, cyclopropylmethyl bromide; see other elements from table 2, *supra*) was added with stirring for 6 to 24 hours at room temperature. The ethanol was removed under vacuum and the mixture was diluted with water and made acidic with 4 N HCl (pH=1 to 2). The

20   aqueous layer was extracted with ethyl acetate 3 times and the organic layer was dried over

sodium sulfate and concentrated. The product was purified on silica gel using a mixture of ethyl acetate and heptane (gradient 1:4 to 4:1) to give compound 2.

Compound 3 was made by stirring a solution of compound 2 in THF with morpholine (2 equivalents), which was heated, to reflux for 1 to 24 hours. Concentration
5 of the mixture and redissolving in methylene chloride and extraction with diluted HCl removed the excess morpholine. The organic layer was washed with saturated sodium bicarbonate, dried over sodium sulfate and concentrated to dryness. The product was purified on silica gel using a mixture of ethyl acetate and heptane (gradient 1:4 to 4:1) to give compound 3.

10 Compound 4 was prepared from compound 3 by dissolving in a 1:1 mixture of methanol/water and adding oxone® (approximately 1 equivalent) over a period of 1 to 3 hours until a positive starch-iodine test was maintained. The solvent was removed under vacuum and the residue dissolved in a 1:1:1 mixture of water/acetonitrile/carbon tetrachloride. This was followed by the addition of sodium periodate and ruthenium (III)
15 chloride which was vigorously stirred for 6 to 24 hours at a temperature below 40°C. The reaction was filtered through celite and concentrated to remove acetontrile and carbon tetrachloride. The aqueous layer was extracted with ethyl acetate 3 times and the organic layer dried over sodium sulfate and concentrated. The product was purified on silica gel using a mixture of ethyl acetate and heptane (1:4 to 4:1) to ethyl acetate and methanol (19:1
20 to 4:1) to give compound 4, which was obtained as R or S enantiomers.

REFERENCE 6

(S)-4-Amino-2,2-difluoro-3-hydroxy-hexanoic acid dimethylamide

25

Activated zinc dust (2.16g, 33mmol) was suspended in dry THF (2mL). A mixture of ethyl bromodifluoro acetate (6.5g, 32mmol) and (1S)-(1-formyl-propyl) carbamic acid tert-butyl ester (2g, 10.7mmol), in THF (10mL), was added over 20 minutes while the mixture was sonicated. After complete addition, sonication was continued for a further 30

5   minutes. The mixture was then diluted with ethyl acetate (200mL) and washed with 1N aqueous $KHSO_4$, brine, dried with magnesium sulfate and evaporated. The crude product was dissolved in ethanol (15mL) and a solution of dimethylamine (40% in water; 2mL) was added. After stirring for 16 hours at ambient temperature, the solvents were evaporated and the product was purified by flash chromatography on silica gel

10   (hexane/ethyl acetate ratio of 3:1) to yield 200mg of colorless oil.

The amide was dissolved in a mixture of TFA/dichloromethyl (1:1; 6mL), stirred for 1 hour and evaporated to dryness. The product, (4S)- 4-amino-2,2-difluoro-3-hydroxy-hexanoic acid dimethylamide, was obtained as the TFA salt and used without further purification.

15

REFERENCE 7

(S)-3-Amino-2-hydroxy-pentanoic acid benzylamide

20

(1S)-(2-Cyano-1-ethyl-2-hydroxyethyl)carbamic acid tert-butyl ester (10g, 46.7mmol) was dissolved in 1,4-dioxane (100mL). Anisole (5mL) was added and then concentrated HCl (100mL). The mixture was heated under reflux for 24 hours. The

25   mixture was evaporated to dryness under vacuum and re-dissolved in 100mL water. The solution was washed with ether and then neutralized with saturated aqueous $NaHCO_3$. Di-tert-butyl dicarbonate (10g, 46mmol) was added with 1,4-dioxane (200mL), and the mixture was stirred at ambient temperature for 24 hours. The dioxane was removed under vacuum and the remaining aqueous solution was washed with ether. The solution was

acidified with 1N HCl and extracted with ethyl acetate. The combined organic layers were washed with brine, dried with magnesium sulfate and evaporated to yield 3-tert-Butoxycarbonylamino-2-hydroxy-pentanoic acid (4.5g) as yellowish oil.

5   3-tert-Butoxycarbonylamino-2-hydroxy-pentanoic acid (300mg, 1.29mmol) was combined with EDC (400mg, 2.1mmol) and HOBt (400mg, 2.6mmol). A solution of benzylamine (0.22mL) and 4-methylmorpholine (0.5mL) in dichloromethyl (4mL) was added in one portion. The mixture was stirred at ambient temperature for 2 hours. After dilution with ethyl acetate (150mL), the solution was washed with 1N aqueous HCl, water, saturated aqueous NaHCO₃ solution and brine. The resultant mixture was dried with

10   magnesium sulfate and evaporated under vacuum to yield (S)-3-amino-2-hydroxy-pentanoic acid benzylamide (380mg) as a white solid.

(S)-3-Amino-2-hydroxy-pentanoic acid benzylamide was dissolved in a mixture of TFA/dichloromethyl (1:1; 6mL), stirred for 1 hour and evaporated to dryness. (3S)-3-Amino-2-hydroxy-pentanoic acid benzylamide was obtained as the TFA salt and used

15   without further purification.

REFERENCE 8

4-(4-Methylsulfonylamino-phenyl)-4-oxo-2-benzylsulfonylmethyl

20   -butyric acid

3-Methylene-dihydro-furan-2,5-dione   (2g,   17.8mmol)   and   N-phenyl-

25   methylsulfonamide (1.53g, 8.92mmol) were dissolved in anhydrous 1,2-dichloroethane. Aluminum trichloride (4.76g, 35.7mmol) was added and the mixture was stirred at 50°C

571

for 16 hours. Following dilution with ethyl acetate (400mL), the solution was washed with 1N aqueous HCl, water and brine, dried with magnesium sulfate and evaporated. The product, 4-(4-methylsulfonylamino-phenyl)-2-methylene-4-oxo-butyric acid (1.70g), was crystallized from ethylacetate/hexane.

5   4-(4-Methylsulfonylamino-phenyl)-2-methylene-4-oxo-butyric acid (800mg, 2.83mmol) was dissolved in DMF (5mL). Benzyl mercaptan (0.5mL, 4.25mmol) and DMAP (200mg, 1.6mmol) were added. The mixture was stirred at ambient temperature for 16 hours. Methanol (200mL) was added and, under vigorous stirring, a saturated aqueous solution of Oxone® (15g, 24.4mmol) was added in one portion. Stirring was

10   continued for 2 hours. Methanol was removed under vacuum and the aqueous residue was diluted with 100mL water. The crystallized product, 4-(4-Methylsulfonylamino-phenyl)-4-oxo-2-benzylsulfonylmethyl-butyric acid (380mg), was filtered, washed with water, and dried under vacuum.

15

REFERENCE 9

3-Biphenyl-3-yl-2-benzylsulfonylmethyl-propionic acid

20

Sodium hydride (60% in oil, 1.36g, 34mmol) was dissolved in anhydrous ethanol (50mL) under ice cooling. After the H₂ evolution ceased, diethylmalonate (5.15mL, 34mmol) was added and stirring was continued for 30 minutes at ambient temperature. Then 3-bromobenzyl bromide (4.24g, 16.96mmol) was added and stirring was continued

25   for 2 hours. The reaction mixture was acidified with 1N aqueous HCl and extracted with ethyl acetate (3x150mL). The combined organic layers were washed with brine, dried with

magnesium sulfate and evaporated. The excess diethylmalonate was removed under high vacuum.

The crude product was dissolved in ethanol (50mL) and 1N aqueous NaOH (20mL) was added. After stirring for 16 hours, the mixture was acidified with 1N aqueous HCl and

5   extracted with ethyl acetate. The combined organic layers were washed with brine, dried with magnesium sulfate and evaporated.

The crude monoacid was dissolved in 1,4-dioxane (20mL). Diethylamine (2.48mL, 24mmol) was added and the solution was cooled to 0°C. Formaldehyde solution (37% in water, 2.44mL) was added and stirring was continued for 24 hours at ambient temperature.

10   After dilution with ethyl acetate (300mL), the solution was washed with water, and brine, dried with magnesium sulfate and evaporated. The crude product, 2-(3-bromo-benzyl)-acrylic acid ethyl ester, was dissolved in ethanol (20mL). Benzylmercaptan (2mL, 17mmol) and triethylamine (4mL) were added. After stirring for 16 hours, 1N aqueous NaOH (50mL) was added and enough 1,4-dioxane to get a homogenous solution. The

15   reaction mixture was warmed to 50°C for 5 hours. All organic solvents were removed under vacuum, and the aqueous residue was acidified to pH 1 with 1N aqueous HCl. The product was extracted with ethyl acetate (3x150mL). The combined organic layers were washed with brine, dried with magnesium sulfate and evaporated. The residue was dissolved in methanol (250mL) and Oxone® (35g) was added. The reaction mixture was

20   stirred at ambient temperature for 2 hours. Methanol was removed under vacuum. The precipitated product was filtered, washed with water, and dried under vacuum. Recrystallization from chloroform gave 3-(3-Bromo-phenyl)-2-benzylsulfonylmethyl-propionic acid (2.43g) as white solid.

3-(3-Bromo-phenyl)-2-benzylsulfonylmethyl-propionic acid (0.5g, 1.26mmol) was

25   dissolved in toluene (20mL) and ethanol (5mL). Tetrakistriphenylphosphine palladium (146mg, 0.126mmol) was added and the mixture was stirred at ambient temperature under nitrogen for 30 minutes. Powdered potassium carbonate (870mg, 6.3mmol) and phenylboronic acid (200mg, 1.64mmol) were added, and the reaction mixture was heated at 75°C for 2 hours. After cooling, the mixture was acidified with 1N aqueous HCl and

30   extracted with ethyl acetate (3x 50mL). The combined organic layers were washed with brine, dried with magnesium sulfate and evaporated. The acid was purified by flash

chromatography on silica gel (ethyl acetate/hexane; 1:1) to yield 3-biphenyl-3-yl-2-benzylsulfonylmethyl-propionic acid (0.40g).

5   REFERENCE 10

3-Acetylsulfanyl-2-benzylsulfanylmethyl-propionic acid

10   2-Bromomethylacrylic acid (3.00 g, 18.1 mmol) was dissolved in methanol
(100mL), cooled on an ice bath and treated with benzyl mercaptan. Aqueous sodium
hydroxide (1N, 39.8 mL) was added dropwise and the reaction mixture was allowed to
adjust to room temperature with stirring for 23 hours. Methanol was removed by rotary
evaporation at reduced pressure and water (100 mL) was added to the residue, which was
15   then washed with ether. The aqueous layer was cooled on ice and acidified to pH 2.5. The
precipitated solid was isolated by filtration and dried to give 2-benzylsulfanylmethyl-
acrylic acid (3.346 g, 89 %).

A solution of 2-benzylsulfanylmethylacrylic acid (0.208 g) in methylene chloride
(2.5 mL) was treated with thiolacetic acid and stirred at room temperature for 72 hours.
20   The reaction mixture was diluted with ethyl acetate (50 mL) and then washed twice with
water and once with saturated aqueous sodium chloride. After drying over magnesium
sulfate the solvent was removed by rotary evaporation and the residue chromatographed on
silica gel eluting with an ethyl acetate/hexane/acetic acid mixture to produce 3-
acetylsulfanyl-2-benzylsulfanylmethyl-propionic acid (0.208 g).

25

                              REFERENCE 11

<u>(S)-2-Amino-1-(3-phenyl-[1,2,4]oxadiazol-5-yl)-butan-1-one</u>

5   3-*tert*-Butoxycarbonylamino-2-hydroxy-pentanoic acid (500mg, 2.14mmol) was

combined with EDC (600mg, 3.14mmol), HOBt (600mg, 3.92mmol), and N-hydroxy-

benzamidine (292mg, 2.14mmol). Dichloromethyl (10mL) was added and then 4-

methylmorpholine (1mL). The mixture was stirred at ambient temperature for 16 hours.

After dilution with ethyl acetate (200mL), the solution was washed with water (30mL),

10   saturated aqueous NaHCO₃ solution and brine, dried with MgSO₄ and evaporated under

vacuum. The crude product was dissolved in pyridine (10mL) and heated at 80°C for 15

hours. The pyridine was evaporated under vacuum and the residue was purified by flash

chromatography on silica gel (eluent: ethyl acetate) to yield 290mg (0.83mmol). The

oxadiazole (145mg, 0.41mmol) was dissolved in CH₂Cl₂ (4mL) and TFA (4mL) was

15   added. After stirring for 1 hour, the mixture was evaporated to dryness to yield (S)-2-

Amino-1-(3-phenyl-[1,2,4]oxadiazol-5-yl)-butan-1-one.

REFERENCE 12

20   <u>2-Amino-1-(2-phenyl-[1,3]dithian-2-yl)-hexan-1-ol.</u>

2-phenyl-1,3-dithiane (Aldrich) (3.79g; 19.3mmol) was mixed with dry distilled

THF (20mL) under a nitrogen atmosphere. The solution was cooled to –60 °C and n-butyl

lithium (1.6M in pentane, 1.56 mmol, 9.74mL) was added slowly by syringe. The reaction

mixture was warmed to –20 °C and held at that temperature for 30 minutes, and then held

at –10 °C for 15 minutes. The yellow solution was cooled to –78 °C and (1-Formyl-

5   pentyl)-carbamic acid *tert*-butyl ester (1.6 g, 1.4 mmol, in 5 ml THF) was added rapidly

(over 20 seconds) and 60 seconds later a mixture of 2mL acetic acid and 5mL THF was

added rapidly. After warming to 23°C the solution was concentrated at reduced pressure.

Excess 2-phenyl-1,3-dithiane was removed by its crystallization away from the desired

product using a minimum of ethyl acetate in hexane. The mother liquors were

10   concentrated and chromatographed using a hexane-ethyl acetate gradient to afford 1.7 g of

{1-[Hydroxy-(2-phenyl-[1,3]dithian-2-yl)-methyl]-pentyl}-carbamic acid *tert*-butyl ester.

(56% yield).

To {1-[Hydroxy-(2-phenyl-[1,3]dithian-2-yl)-methyl]-pentyl}-carbamic acid *tert*-

butyl ester (608 mg, 1.47 mmol) in 2.7mL dioxane at 10 °C was added hydrochloric acid

15   (2.7mL, 4M in dioxane). The solution was warmed to 23°C. After 3 hours the solution

was diluted with 5 ml toluene and concentrated under reduced pressure. The gummy solid

was washed with diethyl ether resulting in the hydrochloride salt of 2-amino-1-(2-phenyl-

[1,3]dithian-2-yl)-hexan-1-ol, 414 mg, 82% as a free flowing solid after removal of excess

ether under reduced pressure.

20

REFERENCE 13

3-Amino-4-hydroxo-pyrrolidine-1-carboxylic acid tert-butyl ester

25

6-Oxa-3-aza-bicyclo[3.1.0]hexane-3-carboxylic acid tert-butyl ester (12.1g,

65.3mmol) was dissolved in a 8:1 methanol/water mixture (108mL). Ammonium chloride

(15g) and sodium azide (21.4g, 329mmol) was added and the mixture was heated at 60°C overnight. After dilution with ether (500mL), the mixture was washed with saturated aqueous NaHCO₃ (200mL) and brine (200mL), dried with MgSO₄ and evaporated under vacuum. The crude product was dissolved in methanol (200mL). 10% Palladium on

5   activated carbon (1.5g) was added and the mixture was stirred at ambient temperature under a hydrogen atmosphere until TLC analysis showed the disappearance of the starting material. The mixture was filtered through a pad of Celite and evaporated to dryness under vacuum. The product was purified by flash chromatography on silica gel. Eluent: 5% methanol in ethyl acetate to 20% methanol, 3% triethylamine in ethyl acetate. Yield: 4.3g

10   of 3-amino-4-hydroxy-pyrrolidine-1-carboxylic acid tert-butyl ester as yellowish solid.

REFERENCE 14

2-Amino-2-methyl-1-oxazolo[4,5-b]pyridin-2-yl-propan-1-one

15

2-amino-2-methyl-1-propanol (17.8 g, 200 mmol) was dissolved in a mixture of water and 100 ml dioxane and cooled to 0°C. NaOH (8g, 200mmol) and di-t-butyl-

20   dicarbonate (52.4g, 240mmol) were added and the reaction was allowed to warm to room temperature with stirring for 2 hours. After removing the dioxane, the residue was extracted with EtOAc, washed with brine, dried with anhydrous MgSO₄, filtered and concentrated to yield 35g of product.

A solution of oxylyl chloride (15.24g, 120mmol) in 200ml of MeCl₂ was stirred

25   and cooled to –60°C followed by the drop wise addition of dimethylsulfoxide (19.7g, 252mmol) in 60ml of MeCl₂. After 10 minutes, a solution of 2-bocamino-2-methyl-1-propanol (18.9g, 100mmol) in 60ml of MeCl₂ was added drop wise at –70°C. The reaction mixture was allowed to warm to –40°C for 10 minutes followed by cooling to –70°C before the addition of a solution of triethylamine (28.28g, 280mmol) in 60 ml of MeCl₂. The

reaction mixture was allowed to warm to room temperature over a two-hour period and
40ml of saturated sodium dihydrogen phosphate was added. The organic layer was washed
with brine and dried over $MgSO_4$. The solvent was removed to yield 17.3 g of aldehyde.

A mixture of 2-amino-3-hydroxy pyridine (11g, 100mmol), triethylorthoformate
5   (80ml) and p-toluenesulfonic acid (61mg) was heated at 140°C for 8 hours. Excess
triethylorthoformate was removed under vacuum. The product was crystallized from ethyl
acetate to yield 9g of pyridyloxazole; H^1 NMR (DMSO-δ): 9.26 (1H, s), 8.78 (1H, d),
8.45 (1H, d), 7.7(1H, dd); MS: 120.8 (M+1).

To a stirred solution of the pyridyloxazole (2.4 g, 20mmol) in THF (100ml) was
10 added n-BuLi (1.6M solution in 12.5ml of hexane) drop wise under N_2 at –78°C. After 1
hour, MgBr.Et$_2$O (5.16g, 20mmol) was added and the reaction mixture was allowed to
warm to –45°C for 1 hour before being treated with 2-boc-amino-2-methyl-propanyl-
aldehyde (2.24g, 12mmol) in THF (20ml). The reaction mixture was stirred for 1 hour,
quenched with saturated NH_4Cl, and extracted with ethyl acetate. The organic layer was
15 washed with brine, dried with $MgSO_4$ and concentrated. The residue was purified by silica
gel column chromatography to yield 2-boc-amino-2-methyl-1-(5-pyridyloxazole-2-yl)-1-
propanol (1.18g); H^1 NMR (DMSO-δ): 8.5(1H, d,d, J=1.46Hz, J=4.94Hz), 8.14(1H, d,d,
J1.49Hz, J=8.16Hz), 7.41(1H, d,d, J=4.7Hz, J=8.18Hz), 7.1-6.8(1H, d, d), 6.53(1H, br,
NH), 6.24, 6.22(1H, s,s, OH), 5.23, 5.21(1H, s,s, , 1.37(3H, s, CH3), 1.33(9H, s, 3xCH3),
20 1.22(3H, s, CH3); MS: 308.2 (M+1).

2-Boc-amino-2-methyl-1-(5-pyridyloxazole-2-yl)-1-propanol (156mg, 0.508mmol)
and MeCl$_2$ (5ml) were mixed and TFA (0.5ml) was added at room temperature. After
stirring for 1 hour, the solvent and excess TFA were removed under vacuum to produce 2-
amino-2-methyl-1-oxazolo[4,5-b]pyridin-2-yl-propan-1-one TFA salt (165mg).

25

REFERENCE 15

2-Amino-1-(5-methoxymethyl-[1,3,4]oxadiazol-2-yl)-butan-1-one

       (S)-(+)-2-amino-1-butanol (50g, 561mmol) in 200ml of water and 200ml dioxane was cooled to 0°C and mixed with NaOH (26.9g, 673mmol) and di-t-butyl-dicarbonate

5   (146.96 g, 673mmol). After the addition, the reaction was allowed to warm to room temperature. The reaction mixture was stirred for 2 hours. After removing the dioxane, the residue was extracted with EtOAc, then washed with brine and dried with anhydrous MgSO$_4$, filtered and concentrated. Without further purification, the crude product (120g) was used for next step reaction.

10   A solution of oxylyl chloride (40.39 g, 265mmol) in 700ml of MeCl$_2$ was stirred and cooled to –60°C. Dimethylsulfoxide (51.7 g, 663mmol) in 100 ml of MeCl$_2$ was added drop wise. After 10 minutes a solution of (S)-2-boc-amino-1-butanol (50 g, 265 mmol) in 100ml of MeCl$_2$ was added drop wise at –70°C. The reaction mixture was allowed to warm to –40°C for 10 minutes and then cooled to –70°C again. A solution of triethylamine

15   (74.9 g, 742mmol) in 100 ml of MeCl$_2$ was added. The reaction mixture was allowed to warm to room temperature over 2 hours. 100mls of saturated sodium dihydrogen phosphate was added, and then the organic layer was washed with brine and dried over MgSO$_4$. The solvent was removed to yield 45g of (1-formyl-propyl)-carbamic acid tert-butyl ester; H^1 NMR (DMSO-δ): 9.4(1H, s), 7.29(1H, br.), 3.72(1H, m), 1.69(2H, m), 1.4-

20   1.2(9H, s), 0.86(3H, t).

       A mixture of methyl methoxyacetate (52g, 500mmol), hydrazine hydrate (30ml) was heated to reflux for 8 hours. Excess hydrazine and water were removed under vacuum. The residue was extracted with n-butanol, dried with Na$_2$SO$_4$. Excess n-butanol was removed to yield 45g of hydrazide.

25   A mixture of above hydrazide (45g), triethylorthoformate (146ml) and p-toluenesulfonic acid (61mg) was heated at 140°C for 8 hours. Excess triethylorthoformate was removed under vacuum. The product was purified by silica gel column chromatography to yield 4.6g of 2-methoxymethyl-1,3,4-oxadiazole; H^1 NMR (DMSO-δ): 9.21(1H, s), 4.63(2H, s), 3.27(3H, s).

To a stirred solution of 2-methoxymethyl-1,3,4-oxadiazole (4.6 g, 40mmol) in THF
(100ml) was added n-BuLi (1.6M solution in 25.2ml of hexane) drop wise under N_2 at −
78°C. After 1 hour, MgBr.Et$_2$O (10.4g, 40.3mmol) was added and the reaction mixture
was allowed to warm to −45°C for 1 hour before being treated with 2-boc-amino-propanyl

5 aldehyde (5.28g, 28.25mmol) in THF (20ml). The reaction mixture was stirred for 1 hour,
quenched with saturated NH_4Cl, and extracted with ethyl acetate. The organic layer was
washed with brine, dried with $MgSO_4$ and concentrated. The residue was purified by silica
gel column chromatography to yield 2-boc-amino-1-(5-methoxymethyl-1,3,4-oxadiazole-2-
yl)-1-propanol (500mg); H^1 NMR (DMSO-δ): 6.7(1/2H, d, NH, diastereomeric), 6.5(1/2H,

10 d, NH, diastereomeric), 6.2(1/2H, d, OH, diastereomeric), 6.0(1/2H, d, OH,
diastereomeric), 4.83-4.79(1H, m), 4.55(2H, s), 4.05-3.5(1H, m), 3.31(3H, s), 1.9-1.4(2H,
m), 1.4-1.2(9H, m), 0.85-0.81(3H, m); MS: 300.4(M-1), 302.4(M+1).

2-Boc-amino-1-(5-methoxymethyl-1,3,4-oxadiazole-2-yl)-1-propanol(500mg,
1.66mmol), and MeCl$_2$ (5ml) were mixed and TFA (0.5ml) was added at room

15 temperature. After stirring for 1 hour, the solvent and excess TFA were removed under
vacuum to produce <u>2-amino-1-(5-methoxymethyl-[1,3,4]oxadiazol-2-yl)-butan-1-one</u> TFA
salt (340mg).

20   REFERENCE 16

<u>2-Amino-1-(5-phenyl-[1,3,4]oxadiazol-2-yl)-1-butanol</u>

25   A mixture of the benzylhydrazide (22.5g, 165mmol), triethylorthoformide (150ml)
and p-toluenesulfonic acid (300mg) was heated at 120°C for 12 hours. Excess
triethylorthoformide was removed under vacuum and the residue was purified by silica gel
column chromatography to produce oxadiazole (14.5g); H^1 NMR (DMSO-δ): 9.34 (1H, s),

8.05-7.98 (2H, m), 7.68-7.55 (3H, m); MS: 147.4 (M+1).

To a stirred solution of the oxadiazole (10g, 68.5mmol) in THF (100ml) was added n-BuLi (1.6M solution in 42.8ml of hexane) drop wise under N_2 at -78°C. After 1 hour, MgBr.Et$_2$O (17.69g, 68.5mmol) was added and the reaction mixture was allowed to warm to -45°C for 1 hour before being treated with 2-boc-amino-butyl-aldehyde (7.8g, 41mmol) in THF (20ml). The reaction mixture was stirred for 1 hour, quenched with saturated NH$_4$Cl, and extracted with ethyl acetate. The organic layer was washed with brine, dried with MgSO$_4$ and concentrated. The residue was purified by silica gel column chromatography to yield 2-(2-boc-amino-1-hydroxydutyl)-5-benzyl-1,3,4-oxadiazole (9.7g); H^1 NMR (DMSO-δ): 8-7.9(2H, m), 7.8-7.7(3H, m), 6.8-6.6(1H, d,d, NH, diastereomeric), 6.4-6.1(1H, d,d, OH, diastereomeric), 5-4.4(1H, m), 1.9-1.3(2H, m), 1.3-1.1(9H, s, s), 0.84(3H, t); MS: 334.5(M+1).

2-(2-Boc-amino-1-hydroxybutyl)-5-benzyl-1,3,4-oxadiazole (505mg, 1.5mmol) and MeCl$_2$ (5ml) were mixed and TFA (1ml) was added at room temperature. After stirring for 1 hour, the solvent and excess TFA were removed under vacuum to produce 530mg of 2-amino-1-(5-phenyl-[1,3,4]oxadiazol-2-yl)-1-butanol TFA salt.

REFERENCE 17
2-Amino-1-oxazolo[4,5-b]pyridin-2-yl-butan-1-one

A mixture of 2-amino-3-hydroxy pyridine (25g, 227mmol), triethylorthoformate (75ml) and p-toluenesulfonic acid (61mg) was heated at 140°C for 8 hours. Excess triethylorthoformate was removed under vacuum. The product was crystallized from ethyl acetate to yield 22.5g of pyridyloxazole; H^1 NMR (DMSO-δ): 9.26 (1H, s), 8.78 (1H, d), 8.45 (1H, d), 7.7(1H, dd); MS: 120.8 (M+1).

Pyridyloxazole (600 mg, 5 mmol) in 30 ml THF was cooled to 0°C before the addition of isopropanyl magnesium chloride (2M in THF, 2.5 ml, 5 mmol). After stirring for 1 hour at 0°C, the aldehyde (573 mg, 3 mmol) in 20 ml THF was added. The ice bath was removed and the reaction allowed to warm to room temperature. The reaction mixture

5   was stirred for 2 hours and quenched with saturated ammonium chloride solution. Excess THF was removed and the residue was extracted with EtOAc, washed with brine, dried with anhydrous MgSO$_4$, filtered and concentrated. The crude residue was purified by chromatography to yield 383 mg product; H^1 NMR (DMSO-δ): 8.42(1H, m), 8.18(1H, m), 7.3(1H, m), 6.8, 6.6(1H, dd, d, OH, diastereomeric), 6.3, 6.02(1H, d, d, NH,

10 diastereomeric), 4.82, 4.5(1H, m, m, diastereomeric), 1.8-1.3(2H, m), 1.2, 1.05(9H, s,s, diastereomeric), 0.89(3H, m); MS: 306.2(M-1), 308.6(M+1).

To a stirred solution of the pyridyloxazole (12g, 100mmol) in THF (300ml) was added n-BuLi (1.6M solution in 62.5ml of hexane) drop wise under N$_2$ at –78°C. After 1 hour, MgBr.Et$_2$O (25.8g, 100mmol) was added and the reaction mixture was allowed to

15 warm to –45°C for 1 hour before being treated with 2-boc-amino-butyl-aldehyde (11.46g, 60mmol) in THF (50ml). The reaction mixture was stirred for 1 hour, quenched with saturated NH$_4$Cl, and extracted with ethyl acetate. The organic layer was washed with brine, dried with MgSO$_4$ and concentrated. The residue was purified by silica gel column chromatography to yield 2-boc-amino-1-(5-pyridyloxazole-2-yl)-1-butanol (14.1g).

20 2-Boc-amino-1-(5-pyridyloxazole-2-yl)-1-butanol (311mg, 1mmol) and MeCl$_2$ (5ml) were mixed and TFA (1ml) was added at room temperature. After stirring for 1 hour, the solvent and excess TFA were removed under vacuum to produce 355mg of 2-amino-1-oxazolo[4,5-b]pyridin-2-yl-butan-1-one TFA salt.

25

REFERENCE 18
2-Amino-1-(5-pyridin-4-yl-[1,3,4]oxadiazol-2-yl)-butan-1-one

A mixture of the isonicotinic hydrazide (13.7g, 100mmol), triethylorthoformate (60ml) and p-toluenesulfonic acid (30mg) was heated at 130°C for 12 hours. Excess

5   triethylorthoformate was removed under vacuum. The product was crystallized from ethyl acetate to yield 14.8 g; H^1 NMR (DMSO-δ): 9.46 (1H, s), 8.8 (2H, dd), 7.9 (2H, dd).

To a stirred solution of the oxadiazole (11.5g, 78.2mmol) in THF (300ml) was added 5ml HMPA and n-BuLi (1.6M solution in 48.9ml of hexane) drop wise under N_2 at −78°C. After 1 hour, $MgBr.Et_2O$ (20.2g, 78.2mmol) was added and the reaction mixture

10   was allowed to warm to −45°C for 1 hour before being treated with 2-boc-amino-butylldehyde (9.7g, 50.8mmol) in THF (50ml). The reaction mixture was stirred for 1 hour, quenched with saturated NH_4Cl, and extracted with ethyl acetate. The organic layer was washed with brine, dried with $MgSO_4$ and concentrated. The residue was purified with silica gel column chromatography to yield 2-bocamino-1-(5-pyridin-4-yl-

15   [1,3,4]oxadiazol-2-yl)-butan-1-ol (3.5g); H^1 NMR (DMSO-δ): 8.85-8.8(2H, m), 7.95-7.8(2H, m), 6.66(1H, d,), 6.19(1H, d), 4.96(1H, t), 3.75-3.6(1H, m), 1.72-1.6(1H, m), 1.5-1.35(1H, m), 1.27(9H, s), 0.87(3H, t); MS: 333.2 (M-1), 335.4 (M+1).

2-Bocamino-1-(5-pyridin-4-yl-[1,3,4]oxadiazol-2-yl)-butan-1-ol (334mg, 1mmol) and $MeCl_2$ (5ml) were mixed and TFA (0.5ml) was added at room temperature. After

20   stirring for 1 hour, the solvent and excess TFA were removed under vacuum to produce 350mg of 2-amino-1-(5-pyridin-4-yl-[1,3,4]oxadiazol-2-yl)-butan-1-one TFA salt.

REFERENCE 19

25   2-Amino-1-(5-pyridin-3-yl-[1,3,4]oxadiazol-2-yl)-butan-1-one

To a stirred solution of the 3-[1,3,4] oxadiazol-2-yl-pyridine (5g, 34mmol) in THF (100ml) was added 5ml HMPA and n-BuLi (1.6M solution in hexane, 21.25ml) drop wise under N$_2$ at –78°C. After 1 hour, MgBr.Et$_2$O (8.77g, 34mmol) was added and the reaction mixture was allowed to warm to –45°C for 1 hour before being treated with 2-boc-amino-butylldehyde (4.22g, 22.1mmol) in THF (20ml). The reaction mixture was stirred for 1 hour, quenched with saturated NH$_4$Cl, and extracted with ethyl acetate. The organic layer was washed with brine, dried with MgSO$_4$ and concentrated. The residue was purified with silica gel column chromatography to yield 2-boc-amino-1-(5-pyridin-3-yl-[1,3,4]oxadiazol-2-yl)-butan-1-ol (1.5g); H^1 NMR (DMSO-d): 9.2-9.1(1H, d), 8.82-8.76(1H, m), 8.4-8.3(1H, m), 7.68-7.6(1H, m), 6.78, 6.65(1H, d d, NH, diastereomeric), 6.38, 6.16(1H, d,d, OH, diastereomeric), 3.8-3.6(1H, m), 1.9-1.2(2H, m), 1.3, 1.1(9H, s,s,), 0.84(3H, t); MS: 331.2 (M-1).

2-Boc-amino-1-(5-pyridin-3-yl-[1,3,4]oxadiazol-2-yl)-butan-1-ol   (167mg, 0.5mmol) and MeCl$_2$ (5ml) were mixed and TFA (0.5ml) was added at room temperature. After stirring for 1 hour, the solvent and excess TFA were removed under vacuum to produce 180mg of 2-amino-1-(5-pyridin-3-yl-[1,3,4]oxadiazol-2-yl)-butan-1-one TFA salt.

REFERENCE 20

2-Amino-1-benzooxazol-2-yl-butan-1-one

Benzoxazole (600 mg, 5 mmol) in 20 ml THF was cooled to -5°C and isopropyl magnesium chloride (2 M in THF, 2.5 ml, 5 mmol) was added. After stirring for 1 hour at -5°C, the aldehyde (561 mg, 3 mmol), prepared as in reference 15, in 10 ml THF was added. The reaction was allowed to warm to room temperature with stirring for 2 hours.

5   The reaction was quenched with saturated ammonium chloride solution, excess THF solvent removed. The residue was extracted with EtOAc, washed with brine, dried with anhydrous MgSO$_4$, filtered and concentrated. The crude residue was purified by chromatograph to yield 688 mg product (75%); LC-MS: 305.2 (M-1), 307.0 (M+1); H^{1}NMR (DMSO-d$_6$): 7.72-7.6 (2H, m), 7.38-7.28 (2H, m), 6.7 (d)-6.52(d) (1H, NH,

10   diastereomeric), 6.12(d)-5.92 (d) (1H, OH, diastereomeric), 4.81(dd)-4.57(dd) (1H, CH-OH), 3.74 (1H, m), 1.9-1.6 (1H, m), 1.6-1.3 (1H, m), 1.25(s)-1.1(s) (9H, diastereomeric), 0.85 (3H, t).

[1-(Benzooxazol-2-yl-hydroxy-methyl)-propyl]-carbamic acid *tert*-butyl ester (275mg, 0.89mmol) and MeCl$_2$ (5ml) were mixed and TFA (1ml) was added at room

15   temperature. After stirring for 1 hour, the solvent and excess TFA were removed under vacuum to produce 260mg of 2-amino-1-benzooxazol-2-yl-butan-1-one TFA salt.

REFERENCE 21

20   2-Cyclohexylmethyl-4-morpholin-4-yl-4-oxo-butyric acid

A 0.05 M solution of 1-(4-benzyl-2-oxo-oxazolidin-3-yl)-2-cyclohexylmethyl-4-

25   morpholin-4-yl-butane-1,4-dione (1g) in 3:1 –THF/H$_2$O was treated at 0°C with 8 equivalents of 30% H$_2$O$_2$ followed by 2.0 equivalents of LiOH. The resulting mixture was stirred at 0-25°C until the substrate had been consumed (approximately 1 hour). The excess peroxide was quenched at 0°C with a 10% excess of 1.5 N aqueous Na$_2$SO$_3$. After

buffering to pH 9-10 with aqueous NaHCO$_3$ and evaporation of the THF, the oxazolidone

chiral auxiliary was recovered by MeCl$_2$ extraction. The carboxylic acid was isolated by

EtOAc extraction of the acidified (pH 1-2) aqueous phase, then recrystallized from EtOAc

and hexane to yield 0.58g of 2-cyclohexylmethyl-4-morpholin-4-yl-4-oxo-butyric acid; H^1

NMR (DMSO-δ): 12(1H, s, COOH), 3.6-3.3(8H, m), 2.8-2.3(3H, m), 1.8-1.1(11H, m), 0.9-

0.7(2H, m); MS: 282.2(M-1), 284.1 (M+1).

REFERENCE 22

2-(2-Cyclohexyl-ethyl)-4-morpholin-4-yl-4-oxo-butyric acid

(S)-(-)-4-Benzyl-2-oxazolidinone (5g, 28.2mmol) was dissolved in THF (100mL)

and cooled to –78°C under nitrogen. A 2.5M solution of n-butyllithium in hexane

(12.4mL) was added with a syringe and the mixture was stirred for 30min. 4-Cyclohexyl-

butyryl chloride (5.85g, 31mmol) was added at –78°C. The mixture was allowed to warm

to 0°C over two hours. 1N HCl (50mL) was added and the product was extracted with

ethyl acetate (3x100mL). The combined organic layers were washed with saturated

aqueous NaHCO$_3$ (200mL) and brine (200mL), dried with MgSO$_4$ and evaporated under

vacuum. The product was recrystallized from hexane/ether and obtained as a white solid

(5.6g).

A solution of diisopropylamine (1.92mL, 13.68mmol) in dry THF (50mL) was

cooled to –20°C. A 2.5M solution of n-butyllithium in hexane (4.4mL) was added with a

syringe. The mixture was stirred for 30min and then cooled to –78°C. A solution of 4-

benzyl-3-(4-cyclohexyl-butyryl)-oxazolidin-2-one (3g, 9.12mmol) in THF (10mL) was

added slowly over 3min. Stirring was continued for 30min, then a solution of 2-Bromo-1-

morpholin-4-yl-ethanone (2.28g, 10.94mmol) in THF (4mL) was added over 3min. The

mixture was allowed to come to room temperature over 5h. 1N HCl (50mL) was added and

the product was extracted with ethyl acetate (3x100mL). The combined organic layers were washed with saturated aqueous NaHCO$_3$ (200mL) and brine (200mL), dried with MgSO$_4$ and evaporated under vacuum. The product (1-(4-benzyl-2-oxo-oxazolidin-3-yl)-2-(2-cyclohexyl-ethyl)-4-morpholin-4-yl-butane-1,4-dione) was obtained after purification

5   by flash chromatography as a single diastereomer (2.5g). ^{1}H NMR: (CDCl$_3$) 7.35-7.22 (m, 5H), 4.69-4.62 (m, 1H), 4.28-4.10 (m, 3H), 3.76-3.46 (m, 8H), 3.37 (d, J=13.6Hz, 1H), 2.91 (ddd, J=16.4Hz, J=13Hz, J=3Hz, 1H), 2.76 (ddd, J=13.5Hz, J=11Hz, J=3Hz, 1H), 2.51 (dt, J=13.6Hz, J=3Hz, 1H), 1.76-0.80 (m, 15H). MS: (M+H)$^+$ 457.

1-(4-Benzyl-2-oxo-oxazolidin-3-yl)-2-(2-cyclohexyl-ethyl)-4-morpholin-4-yl-

10   butane-1,4-dione (2.5g, 5.48mmol) was dissolved in a 3:1-THF/H$_2$O mixture (50mL) and cooled to 0°C. H$_2$O$_2$ (5mL) was added followed by lithium hydroxyde monohydrate (462mg, 11mmol). The mixture was stirred at 0°C for 30min. Excess peroxide was quenched with 1.5N Na$_2$SO$_3$ solution and the THF was evaporated under vacuum. The chiral auxiliary was removed by extraction with diethyl ether. After acidification to pH 1

15   the product was isolated by extraction with ethyl acetate. The combined organic layers were washed with saturated aqueous NaHCO$_3$ (200mL) and brine (200mL), dried with MgSO$_4$ and evaporated under vacuum. The crude acid ((2R)-2-(2-Cyclohexyl-ethyl)-4-morpholin-4-yl-4-oxo-butyric acid) was used for coupling (EDC) and oxidation (Dess-Martin) as described in the examples, *infra*.

20

(2R)-1-((4S)-4-Benzyl-2-oxo-oxazolidin-3-yl)-2-cyclohexylmethyl-4-morpholin-4-yl-butane-1,4-dione was prepared by the same procedure as described for reference 22. 4-Cyclohexyl-butyryl chloride was substituted by 3-cyclohexyl-propionyl chloride. ^{1}H NMR: (DMSO) 7.35-7.22 (m, 5H), 4.63-4.56 (m, 1H), 4.28 (t, J=8.5Hz, 1H), 4.17-4.06 (m, 2H),

25   3.70-3.35 (m, 8H), 2.94 (d, J=13.2Hz, 1H), 2.82 (dd, J=13.2Hz, J=8Hz, 1H), 2.72 (dd, J=16Hz, J=10.4Hz, 1H), 2.51 (dd, J=16Hz, J=3.2Hz, 1H), 1.75-0.75 (m, 13H); MS: (M+H)$^+$ 443.

30   REFERENCE 23

N-Isopropyl-2-benzylsulfonylmethyl-succinamic acid

To a stirring mixture of itacconic anhydride (1.1209g, 10mmol) in 10 ml of

5   methylene chloride at 0°C was added drop wise isopropyl amine (0.85ml, 10mmol). The

reaction was stirred at room temperature for 1 hour and the solvent was removed under

reduced pressure to give 2-(isopropylcarbamoyl-methyl)-acrylic acid. The residue was

dissolved in 10 ml of DMF, then benzyl mercaptan (1.17g, 10.0mmol) and DMAP (122mg,

1mmol) were added and the reaction was stirred at room temperature for overnight. The

10   mixture containing 2-benzylsulfanylmethyl-N-isopropyl-succinamic acid was cooled to 0°C

and oxone® (4.9182g, 8mmol) in 20 ml of water was added and stirred for 2 hours at room

temperature. More oxone® was added and the reaction was stirred at room temperature for

18 hours. The reaction was filtered and the white solid was washed with water, ether and

dried under high vacuum to give 1.0 grams of N-isopropyl-2-benzylsulfonylmethyl-

15   succinamic acid, which was used without further purification; LCMS retention time

2:32minutes: MS+1 (328.1).

REFERENCE 24

20   4-(4-Methyl-piperazin-1-yl)-4-oxo-2-benzylsulfonylmethyl-butyric acid

To a stirring mixture of itacconic anhydride 1.1209g, 10mmol) in 10 ml of

methylene chloride at 0°C was added drop wise methyl piperizine (1.0g, 10mmol). The

588

reaction was stirred at room temperature for 1 hour and the solvent was removed under

reduced pressure to give compound 2-[2-(4-methyl-piperazin-1-yl)-2-oxo-ethyl]-acrylic

acid. The residue was dissolved in 10 ml of DMF, then benzyl mercaptan (1.17g,

10.0mmol) and DMAP (122mg, 1mmol) were added and heated to 50-60°C until reaction

5   turned clear then the reaction was stirred at room temperature for overnight. Another 0.59

ml of benzyl mercapton (5mmol) was added and the reaction was stirred overnight at room

temperature. The mixture containing compound 2-benzylsulfanylmethyl-4-(4-methyl-

piperazin-1-yl)-4-oxo-butyric acid was cooled to 0°C and oxone® (6.1378g, 10mmol) in 20

ml of water was added and stirred for overnight at room temperature. More oxone® was

10   added and the reaction was stirred at room temperature for 2 hours. The reaction was

filtered and the product was in the aqueous phase and was purified on HPLC to give

0.2477 gram of pure 4-(4-methyl-piperazin-1-yl)-4-oxo-2-benzylsulfonylmethyl-butyric

acid; LCMS retention time: 1.72 minutes; M+1 (369.3).

15

REFERENCE 25

2-(2-Morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid

20

Reference 25 was synthesized as described in the following reaction protocol:

2-(2-Morpholin-4-yl-2-oxo-ethyl)-malonic acid diethyl ester (1)

5 To a solution of n-tetra butyl ammonium hydrogen sulfate (1.18g, 3.48 mmol) and

NaOH (560 mg, 13.9 mmol) in water (8 ml) was added a solution of 4-(2-bromoacetyl

morpholine) (1.45g, 6.97 mmol) and diethyl malonate (1.34g, 8.36 mmol) in DCM (8 ml).

The mixture was stirred at room temperature for 3 hours, diluted with water (30 ml) and

extracted with DCM (2x30 ml). The organic layer was dried (MgSO$_4$) and concentrated in

10 vacuum. The residue was purified by chromatography (silica) eluting with 1:2 v/v ethyl

acetate – heptane to give 2-(2-morpholin-4-yl-2-oxo-ethyl)-malonic acid diethyl ester as a

colorless oil (1.19 g, 59%); ^{1}H NMR (CDCl$_3$) 4.25 (m, 4H), 4.0 (t, J=7.2Hz, 1H), 3.8-3.45

(m, 8H), 3.0 (d, J=7.4Hz, 2H), 1.3 (t, J=7.1Hz, 6H).

15

2-(2-Morpholin-4-yl-2-oxo-ethyl)-2-(3-phenyl-allyl)-malonic acid diethyl ester (2)

  To a mixture of Pd(OAc)$_2$ (17.5 mg, 0.078 mmol) and PPh$_3$ (40.9 mg, 0.156mmol)

in dry THF (2ml) under N$_2$, cinnamyl alcohol (105.1 mg, 0.78 mmol) was added followed

by a solution of 2-(2-morpholin-4-yl-2-oxo-ethyl)-malonic acid diethyl ester (250 mg, 0.87

mmol) and NaH (17.4 mg, 0.43 mmol) in dry THF (3 ml). BF$_3$ (1M in THF, 1ml, 1 mmol)

was then added and the yellow solution was stirred at room temperature for 6.5 hours. The

mixture was diluted with ethyl acetate (50 ml) and washed with 1N HCl (10 ml) and brine

5 (2 x 20 ml). The organic layer was dried (MgSO$_4$), concentrated in vacuum and purified by

chromatography eluting with 1:1 v/v ethyl acetate – heptane mixture to give 2-(2-

morpholin-4-yl-2-oxo-ethyl)-2-(3-phenyl-allyl)-malonic acid diethyl ester as a thick, yellow

oil (266.5 mg, 85%); ^{1}H NMR (CDCl$_3$) 7.25 (m, 5H), 6.40 (d, J=15.6Hz, 1H), 6.1 (dt,

J=15.8, 7.7Hz), 4.2 (q, J=7.1Hz, 4H), 3.6 (m, 6H), 3.45 (m, 2H), 3.05 (d, J=7.6Hz, 2H), 3.0

10 (s, 2H), 1.25 (t, J=7.1Hz, 6H). MS: 404 (MH$^+$)

2-(2-Morpholin-4-yl-2-oxo-ethyl)-2-(3-phenyl-propyl)-malonic acid diethyl ester (3)

15 A solution of 2-(2-morpholin-4-yl-2-oxo-ethyl)-2-(3-phenyl-allyl)-malonic acid

diethyl ester (257 mg, 0.637 mmol) in EtOH (15 ml) was hydrogenated over Pd/C at 55 Psi

for 7.5 hrs. The catalyst filtered off over a pad of Celite and the filtrate evaporated under

vacuum to give 2-(2-morpholin-4-yl-2-oxo-ethyl)-2-(3-phenyl-propyl)-malonic acid diethyl

ester as a light yellow oil (260 mg); ^{1}H NMR (CDCl$_3$) 7.4-7.1 (m, 5H), 4.20 (q, J=7.1Hz,

20 4H), 3.7-3.4 (m, 8H), 3.0 (s, 2H), 2.6 (t, J=7.6Hz, 2H), 2.2 (m, 2H), 2.55 (m, 2H), 1.20 (t,

J=7.1Hz, 6H). MS: 406 (MH$^+$).

2-(2-Morpholin-4-yl-2-oxo-ethyl)-2-(3-phenyl-propyl)-malonic acid
25 monoethyl ester (4)

To a solution of 2-(2-morpholin-4-yl-2-oxo-ethyl)-2-(3-phenyl-propyl)-malonic

acid diethyl ester (934 mg, 2.3 mmol) in a 2:1 mixture of ethanol and water (12 ml)

LiOH.H$_2$O (193.3 mg, 4.61 mmol) was added and heated at 40 °C for 19 hrs. Ethanol was

30 evaporated under reduced pressure, the residual aqueous mixture was acidified to pH 1 and

extracted with methylene chloride (2x40 ml). The organic extract was dried with MgSO$_4$

and evaporated under reduced pressure to give <u>2-(2-morpholin-4-yl-2-oxo-ethyl)-2-(3-phenyl-propyl)-malonic acid monoethyl ester</u> as a thick, yellow oil (831 mg); ^{1}H NMR (CDCl$_3$) 7.4-7.1 (m, 6H), 4.25 (q, J=7.1Hz, 2H), 3.8-3.4 (m, 8H), 3.20 (d, J=16.4Hz, 1H), 2.9 (d, J=16.4Hz, 1H), 2.6 (m, 2H), 2.1-1.8 (m, 4H), 1.25 (t, J=7.1Hz, 3H). MS : 378

5 (MH$^+$).

2-(2-Morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid ethyl ester (5)

10   A Solution of 2-(2-morpholin-4-yl-2-oxo-ethyl)-2-(3-phenyl-propyl)-malonic acid monoethyl ester (809 mg, 2.14 mmol) in toluene (25 ml) was heated under reflux for 23 hours. The colorless solution was concentrated under reduced pressure, the residue was taken up in diethyl ether (50 ml), washed with saturated NaHCO$_3$ and dried over MgSO$_4$. The solvent was evaporated under reduced pressure to give <u>2-(2-morpholin-4-yl-2-oxo-</u>

15 <u>ethyl)-5-phenyl-pentanoic acid ethyl ester</u> as yellow oil (617 mg); ^{1}H NMR (CDCl$_3$) 7.3-7.1 (m, 5H), 4.2 (m, 2H), 3.8-3.4 (m, 8H), 3.0 (m ,1H), 2.75 (dd, J=15.9, 9.4Hz, 1H), 2.65 (m, 2H), 2.35 (dd, J=15.9, 5.1Hz, 1H), 1.8-1.55 (m, 4H), 1.29 (t, J=7.1Hz, 3H). MS : 334 (MH$^+$).

20

2-(2-Morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid (6)

    To a solution of 2-(2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid ethyl ester (604 mg, 1.81 mmol) in a 2:1 mixture of MeOH-H$_2$O (12 ml) LiOH.H$_2$O (228 mg, 5.43 mmol) was added and stirred overnight at room temperature. Ethanol was removed

25 under reduced pressure, residue diluted with water (40 ml) and washed with ether. The aqueous layer was acidified to pH1 with 1N HCl and extracted with diethyl ether (3x 25 ml). The combined organic extracts were dried with MgSO$_4$ and concentrated under reduced pressure to give <u>2-(2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid</u> as a white solid (492 mg); ^{1}H NMR (CDCl$_3$) 8.0-7.5 (1H), 7.4-7.1 (m, 5H), 3.8-3.4 (m, 8H), 3.0

30 (m, 1H), 2.8 (dd, J=16.4, 9.6Hz, 1H), 2.65 (t, J=7.2Hz, 2H), 2.40 (dd, J=16.4, 4.3Hz, 1H),

1.9-1.5 (m, 4H). MS : 306 (MH$^+$).

REFERENCE 26

2-Amino-1-(5-phenyl-[1,2,4]oxadiazol-3-yl)-butan-1-ol

Reference 26 was synthesized as described in the following reaction protocol:

{1-[Hydroxy-(N-hydroxycarbamimidoyl)-methyl]-propyl}-carbamic acid tert-butyl ester (2)

A solution of (2-cyano-1-ethyl-2-hydroxy-ethyl)-carbamic acid tert-butyl ester (9.53g, 44 mmol) in methanol (80 ml) was cooled to 0°C and treated successively with hydroxylamine hydrochloride (3.05, 44 mmol) in methanol (80 ml) and 25% sodium

methoxide solution in methanol (10.2 ml). Stirred at 0°C for 5 minutes, cold bath removed and the reaction mixture stirred at room temperature for 5 hours. Methanol evaporated off under reduced pressure, crude partitioned between ethyl acetate and water. Organic layer separated, dried (MgSO₄) and evaporated under reduced pressure to give yellow oil.

5 Purified by mplc, eluting with a mixture of ethyl acetate – heptane to give the title compound as white solid (3.5 g); MS: M(H⁺) 248.

{1-[Hydroxy-(N-benzoyloxycarbamimidoyl)-methyl]-propyl}-carbamic
10 acid tert-butyl ester (3)

A solution of {1-[hydroxy-(*N*-hydroxycarbamimidoyl)-methyl]-propyl}-carbamic acid tert-butyl ester (2) (2.5g, 10 mmol) in dichloromethyl (125 ml) was treated with benzoic acid (1.36 g, 11 mmol), EDCI (2.14 g, 11mmol), HOBT (1.37 g, 10 mmol) and

15 triethylamine (1.35 ml, 11 mmol) and stirred at room temperature overnight. Reaction mixture was washed with saturated sodium bicarbonate solution and then water and dried over Na₂SO₄. Solvent evaporated under reduced pressure, crude purified by mplc eluting with 1% triethylamine in 2:3 v/v ethyl acetate and heptane mixture to give yellow solid (850 mg); MS: MH⁺ 352.

20

2-Amino-1-(5-phenyl-[1,2,4]oxadiazol-3-yl)-butan-1-ol (5)

A solution of (3) (1.5g, 4.3 mmol) in diglyme was heated at 150°C in a microwave
25 (Smith Creator, S00219) for 40 minutes. Solvent evaporated under vacuum in Genevac Evaporator at 80°C for 3hours to give a brown solid. This was taken in dichloromethyl (40 ml) and treated with trifluoroacetic acid at room temperature for 2 hours. Solvent evaporated to dryness under reduced pressure, crude taken in water, washed with DCM, aqueous layer basified with 1M NaOH solution and extracted with dichloromethyl.
30 Organic layer dried over Na₂SO₄ to give pale brown solid (300mg); ¹HNMR (CDCl₃) 8.14–8.10 (m, 2H), 7.59-7.47 (m, 3H), 4.83 & 4.65 (d, J= 5Hz, 1H), 3.18-3.05 (2m, 1H), 1.71-

1.20(m, 2H); 1.05-0.97 (dt, J= 7.2Hz, 3H).

REFERENCE 27

3-Benzylsulfonyl-2-(tetrahydro-pyran-4-yloxymethyl)-propionic acid (27a)

3-(Propane-1-sulfonyl)-2-(tetrahydro-pyran-4-yloxymethyl)-propionic acid (27b)

Compounds 27a and 27b were synthesized according to the following protocol:

2-(Tetrahydro-pyran-4-yloxymethyl)-acrylic acid ethyl ester (1)

5

NaH added to a solution of 4-hydroxy tetrahydropyran (5g, 49 mmol) in THF (40ml) stirred at room temperature for 30 minutes. A solution of ethyl 2-(bromomethyl) acrylate (9.6 g, 49 mmol) in THF (30 ml) was added and stirred at room temperature overnight. Reaction mixture cooled in ice, quenched with saturated NH₄Cl solution and

10   extracted with ethyl acetate. Organic extracts dried, (Na₂SO₄) and purified by mplc eluting with 1:9 to 2:8 v/v ethyl acetate – heptane mixture to give the title compound as yellow oil (6.56g, 61%). MS: MH⁺ 215; LCMS retention time 3.29 minutes.

15   ### 3-Benzylsulfanyl-2-(tetrahydro-pyran-4-yloxymethyl)-propionic acid ethyl ester (2a)

A suspension of 2-(tetrahydro-pyran-4-yloxymethyl)-acrylic acid ethyl ester (2.2g, 10.2 mmol) in ethanol (100 ml) was treated with a solution of NaHCO₃ (0.86g, 10.2 mmol) in water ml (10ml) and benzyl mercapton (1.21 ml, 10.2 mmol) at room temperature

20   overnight. Ethanol evaporated off under reduced pressure, crude partitioned between ethyl acetate and water, organic layer separated and purified by mplc eluting with 1:9 to 2:8 v/v

ethyl acetate –heptane mixture to give the title compound as pale yellow oil (1.27g). MS:
339 (MH⁺); LCMS (Protocol B) retention time 4.3 minutes.

~~By using ethylmercapton 3-ethylsulfanyl-2-(tetrahydro-pyran-4-yloxymethyl)-~~
propionic acid ethyl ester (2b) was similarly prepared; MS : 281 (MH⁺); LCMS retention

5   time 3.9 minutes.

3-Benzylsulfanyl-2-(tetrahydro-pyran-4-yloxymethyl)-propionic acid (3a)

10   A solution of 3-benzylsulfanyl-2-(tetrahydro-pyran-4-yloxymethyl)-propionic acid
ethyl ester (1.27g) in ethanol (30ml) was treated with 2N NaOH (9.4 ml) overnight. Usual
water work up gave the title compound as white solid; MS: 333 (M+Na), 311 (M+1);
LCMS retention time 3.7 minutes.

3-Ethylsulfanyl-2-(tetrahydro-pyran-4-yloxymethyl)-propionic acid (3b) was
15   similarly prepared by using 3-ethylsulfanyl-2-(tetrahydro-pyran-4-yloxymethyl)-propionic
acid ethyl ester.

3-Benzylsulfonyl-2-(tetrahydro-pyran-4-yloxymethyl)-propionic acid (27a)

20

A solution of 3-Benzylsulfanyl-2-(tetrahydro-pyran-4-yloxymethyl)-propionic acid
(1.16g, 3.7 mmol) in a mixture of MeOH (10 ml) and water (30 ml) was treated oxone (3.5
g, 5.6 mmol) overnight. Methanol evaporated off under reduced pressure, aqueous layer
extracted with ethyl acetate, dried (Na₂SO₄) and evaporated under reduced pressure to give
25   the title compound as white solid (1.36 g); MS: 365 (M+Na), 343 (MH⁺); LCMS retention
time 3.1 minutes.

3-ethylsulfonyl-2-(tetrahydro-pyran-4-yloxymethyl)-propionic acid (27b) was
similarly prepared from 3-ethylsulfanyl-2-(tetrahydro-pyran-4-yloxymethyl)-propionic
acid; MS: 303 (M+Na), 281 (MH⁺); LCMS retention time 2.3 minutes.

30

REFERENCE 28

<u>4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyric acid (28a);</u>

<u>2-(2-Methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyric acid (28b);</u>

<u>2-Cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-4-oxo-butyric acid (28c); and</u>

5   <u>2-(2-Difluoromethoxy-benzylsulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyric acid</u>

<u>(28d)</u>

(28a)   (28b)   (28c)   (28d)

10

<u>4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyric acid (28a)</u>

<u>2-(2-Methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyric acid (28b)</u>

<u>2-Cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-4-oxo-butyric acid (28c)</u>

<u>2-(2-Difluoromethoxy-benzylsulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyric acid</u>

15   <u>(28d)</u>

Compounds 28a, b, c and d were synthesized according to the following protocol:

598

Synthesis of 2-(2-morpholin-4-yl-2-oxo-ethyl)-acrylic acid (1)

5   Morpholine (20mL, 228.6 mmol) was slowly added to a stirring solution of itaconic anhydride (25.1g, 228.6 mmol) suspended in dichloromethyl at 0°C. The reaction mixture was allowed to slowly warm to room temperature. Upon completion (LCMS), volatiles were removed by vacuum under reduced pressure. Crude yield: 44.96g, 99%. Product was used without further purification.

10

Synthesis of 2-Acetylsulfanylmethyl-4-morpholin-4-yl-4-oxo-butyric acid (2)

        2-(2-morpholin-4-yl-2-oxo-ethyl)-acrylic acid (55.19g, 277.0 mmol) was dissolved
15   in 120mL DMF and set to stir at room temperature. Potassium thioacetate (25g, 219.0 mmol) was added in one portion, and the reaction mixture was allowed to stir at ambient temperature for 20 hours. Upon completion (LCMS), DMF was removed by vacuum under reduced pressure. Crude Yield: 75g. Percent Purity (LCMS): 40%. The crude product was

used without further purification.

Synthesis of 4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyric acid (28a)

5   4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyric acid (8.078g, 29.37 mmol)

was dissolved in 100mL dry EtOH and set to stir at room temperature. NaOH pellets

(3.52g, 88.0 mmol) were added in one portion, and the reaction mixture was allowed to stir

for 10 minutes. Benzyl bromide (3.18mL, 26.7 mmol) was then added, and the reaction

mixture was allowed to stir at ambient temperature for 20 hours. Upon completion

10   (LCMS), the reaction mixture was diluted with water and the pH was lowered to ~pH2.

The reaction mixture was then washed 3x with EtOAc. The organic phase was

concentrated *in vacuo* and then diluted with 200mL aqueous MeOH. Oxone® (10.78g,

16.58 mmol) was added in one portion and the reaction was stirred at room temperature for

4 hours. Conversion of sulfide to sulfone was monitored via LCMS. Upon completion,

15   reaction was quenched by the addition of sodium thiosulfate. Salts were filtered and the

reaction mixture was washed 3X with ethyl acetate and dried over sodium sulfate. The

organics were evaporated by vacuum under reduced pressure. The crude solid was

crystallized from EtOAc. Yield 1.3g Percent Purity (NMR): 99%. *m/z* (LCMS) M$^+$

356.01. δ_H 12.6 (1 H, br s), 7.4 (5 H, m), 4.5 (2 H, s), 3.5 (4 H, m), 3.5 (1 H, m), 3.4 (4 H,

20   m), 3.2 (2 H, d), 2.75 (2 H, d).

Synthesis of 2-(2-Methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyric
acid (28b)

25

          4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyric acid (10.90g, 40.15 mmol)

was dissolved in 100mL dry EtOH and set to stir at room temperature. NaOH pellets

(4.81g, 120.45 mmol) were added in one portion, and the reaction mixture was allowed to

stir for 10 minutes. 1-Bromo-2-methyl propane (5.0g, 36.49 mmol) was then added, and

30   the reaction mixture was allowed to stir at ambient temperature for 20 hours. Upon

completion (LCMS), the reaction mixture was diluted with water and the pH was lowered

to ~pH2. The reaction mixture was then washed 3x with EtOAc. The organic phase was concentrated *in vacuo* and then diluted with 200mL aqueous MeOH. Oxone (10.02g, 14.57 mmol) was added in one portion and the reaction was stirred at room temperature for 4 hours. Conversion of sulfide to sulfone was monitored via LCMS. Upon completion,

5   reaction was quenched by the addition of sodium thiosulfate. Salts were filtered, and the reaction mixture was washed 3X with ethyl acetate and dried over sodium sulfate. The organics were evaporated by vacuum under reduced pressure. Product was purified via HPLC. Yield: 1.1g, 23.1%. m/z (LCMS) M$^+$ 322.01, R$_f$ = 2.03. δ_H 12.6 (1 H, br s), 3.5 (4 H, m), 3.5 (1 H, m), 3.4 (4 H, m), 3.25 (2 H, d), 3.0 (2 H, m), 2.9 (2 H, d), 2.4 (1 H, m), 1.3

10   (6H, d d).

Synthesis of 2-Cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-4-oxo-butyric acid
(28c)

15

4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyric acid (11.16g, 40.74 mmol) was dissolved in 100mL dry EtOH and set to stir at room temperature. NaOH pellets (4.81g, 120.25 mmol) were added in one portion, and the reaction mixture was allowed to stir for 10 min. Bromomethylcyclopropane (5.0g, 37.04 mmol) was then added, and the

20   reaction mixture was allowed to stir at ambient temperature for 20 hours. Upon completion (LCMS), the reaction mixture was diluted with water and the pH was lowered to ~pH2. The reaction mixture was then washed 3x with EtOAc. The organic phase was concentrated *in vacuo* and then diluted with 200mL aqueous MeOH. Oxone (15.6g, 24.0 mmol) was added in one portion and the reaction was stirred at room temperature for 4h.

25   Conversion of sulfide to sulfone was monitored via LCMS. Upon completion, reaction was quenched by the addition of sodium thiosulfate. Salts were filtered, and the reaction mixture was washed 3X with ethyl acetate and dried over sodium sulfate. The organics were evaporated by vacuum under reduced pressure. Product was purified via HPLC. Yield: 1.2g, 15.1%. m/z (LCMS) M$^+$ 320.1, R$_f$ = 1.84. δ_H 12.6 (1 H, br s), 3.5 (4 H, m), 3.5

30   (1 H, m), 3.4 (4 H, m), 3.25 (2 H, d), 3.0 (2 H, m), 2.9 (2 H, d), 2.4 (1 H, m), 1.1 (1 H, m), 0.62 (2 H, q), 0.38 (2 H, q).

Synthesis of 2-(2-Difluoromethoxy-benzylsulfonylmethyl)-4-morpholin-4-yl-4-oxo-
butyric acid (28d)

5

4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyric acid (1.27g, 4.64 mmol)
was dissolved in 50mL dry EtOH and set to stir at room temperature. NaOH pellets
(556mg, 13.92 mmol) were added in one portion, and the reaction mixture was allowed to
stir for 10 min. 2-(Difluoromethoxy)-benzyl bromide (1.00g, 4.219 mmol) was then added,
10   and the reaction mixture was allowed to stir at ambient temperature for 20h. Upon
completion (LCMS), the reaction mixture was diluted with water and the pH was lowered
to ~2. The reaction mixture was then washed 3x with EtOAc. The organic phase was
concentrated *in vacuo* and then diluted with 100mL aqueous MeOH. Oxone (1.58g, 2.43
mmol) was added in one portion and the reaction was stirred at room temperature for 4h.
15   Conversion of sulfide to sulfone was monitored via LCMS. Upon completion, reaction
was quenched by the addition of sodium thiosulfate. Salts were filtered, and the reaction
mixture was washed 3X with ethyl acetate and dried over sodium sulfate. The organics
were evaporated by vacuum under reduced pressure. Product was purified via HPLC.
Yield: 195mg, 19.0%. *m/z* (LCMS) M$^+$ 422.1. R$_f$= 2.42. δ_H 12.6 (1 H, br s), 7.6—7.2 (4
20   H, m), 7.19 (1 H, s) 4.5 (2 H, s), 3.5 (4 H, m), 3.5 (1 H, m), 3.4 (4 H, m), 3.2 (2 H, d), 2.75
(2 H, d).

REFERENCE 29

25   (R)-2-((S)-1-Hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid (5a)
(R)-5-(2-Difluoromethoxy-phenyl)-2-((S)-1-hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-
pentanoic acid (5b)
(R)-2-((S)-1-Fluoro-2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid (5c)
(R)-5-(2-Difluoromethoxy-phenyl)-2-((S)-1-fluoro-2-morpholin-4-yl-2-oxo-ethyl)-
30   pentanoic acid (5d)

(5a) R =H
(5b) R = OCHF$_2$

(5c) R =H
(5d) R = OCHF$_2$

Compounds 5a, 5b, 5c and 5d were prepared according to the following reaction

protocol:

(1a)

(1b)

Morpholine
TEA, THF
0°C

HCl
MeOH
RT, 5hrs

LiN(TMS)$_2$, THF
Cinnamyl bromide

(2a)

(2b)

(3a) R =H
(3b) R = OCHF$_2$

H$_2$, Pd/C
MeOH

(4a) R =H
(4b) R = OCHF$_2$

LiOH.H$_2$O
THF-H$_2$O

(5a) R =H
(5b) R = OCHF$_2$

DAST
DCM

(4c) R =H
(4d) R = OCHF$_2$

(5c) R =H
(5d) R = OCHF$_2$

5

(S)-3-Acetoxy-4-morpholin-4-yl-4-oxo-butyric acid (1a) &

(S)-2-Acetoxy-4-morpholin-4-yl-4-oxo-butyric acid (1b)

Morpholine (14.48 ml) and Triethylamine (23.14 ml, 166 mmol) were added to an

ice-cold solution of acetic acid (S)-2,5-dioxo-tetrahydro-furan-3-yl ester (25g, 158.12
mmol) in dry THF (600 ml) and the solution was stirred at room temperature over the
week-end. Solvent was evaporated under reduced pressure, residue diluted with water,
acidified to pH 2 with 1N HCl and extracted with ethyl acetate. Combined organic extracts

5   were dried over MgSO₄ and evaporated under reduced pressure to give a mixture of (S)-3-
acetoxy-4-morpholin-4-yl-4-oxo-butyric acid and 2-acetoxy-4-morpholin-4-yl-4-oxo-
butyric acid (14g) as colorless oil. MS: 246 (MH⁺).

(S)-3-Hydroxy-4-morpholin-4-yl-4-oxo-butyric acid methyl ester (2a)

10

To a mixture of (S)-3-acetoxy-4-morpholin-4-yl-4-oxo-butyric acid and 2-Acetoxy-
4-morpholin-4-yl-4-oxo-butyric acid (11g, 44.8 mmol) in dry methanol (30 ml) HCl in
dioxane (4M, 7.3 ml, 29.16 mmol) was added and stirred at room temperature for 5 hrs.
The reaction mixture was neutralized with solid NaHCO₃, filtered through a mixture of

15   Celite/Na₂SO₄ (1:1) and concentrated under reduced pressure to give a mixture of (S)-3-
Hydroxy-4-morpholin-4-yl-4-oxo-butyric acid methyl ester and (S)-2-Hydroxy-4-
morpholin-4-yl-4-oxo-butyric acid methyl ester. Column chromatography on silica eluting
with a mixture of ethyl acetate and methylene chloride gave (S)-3-Hydroxy-4-morpholin-4-
yl-4-oxo-butyric acid methyl ester, (6 g) as white solid; ¹H NMR (CDCl₃) δ 2.62 (d,

20   J=8Hz, 2H), 3.78-3.44 (m, 11H), 3.76 (d, J=9Hz, 1H), 4.8-4.73 (m, 1H); MS: 218(MH⁺).

(E)-(R)-2-((S)-1-Hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl
-pent-4-enoic acid methyl ester (3a)

25   Lithium hexamethyldisilazide (1M in THF, 14.5 ml, 14.5 mmol) was added to a
solution of (S)-3-Hydroxy-4-morpholin-4-yl-4-oxo-butyric acid methyl ester (1.5g, 6.9
mmol) in dry THF (15 ml) at −78° C under N₂ and stirred for 30 min. Cinnamyl bromide
(1.6g, 7.32 mmol) was then added, the reaction mixture stirred at −78 °C for 2 hrs, warmed
up to room temperature and stirred overnight at room temperature. The reaction was

30   quenched with saturated ammonium chloride solution, adjusted the pH to 6 with 1N HCl
and extracted with ethyl acetate. Combined ethyl acetate extracts were dried over MgSO₄

and concentrated under reduced pressure to give pale brown solid. Column chromatography on silica eluting with a mixture of ethyl acetate and methylene chloride gave the title compound as pale, yellow solid (1.15 g).

5   (E)-(R)-5-(2-Difluoromethoxy-phenyl)-2-((S)-1-hydroxy-2-morpholin-4-yl-2-oxo-
ethyl)-pent-4-enoic acid methyl ester (3b)

Similarly prepared according to the procedure above but replacing cinnamyl bromide with1- ((E)-3-Bromo-propenyl)-2-difluoromethoxy-benzene.

10

(2R,3S)-2-Benzyl-3-hydroxy-4-morpholin-4-yl-4-oxo-butyric acid methyl ester (3c)

Similarly prepared according to the procedure above but replacing cinnamyl bromide with benzyl bromide.

15

(R)-2-((S)-1-Hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic
acid methyl ester (4a)

A solution of (E)-(R)-2-((S)-1-Hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl-
20   pent-4-enoic acid methyl ester (1.55g, 4.65 mmol) in methanol (15 ml) was hydrogenated at 50 psi over Pd/C for 4 hrs. The catalyst was removed by filtration through celite and the filtrate concentrated under reduced pressure to give (R)-2-((S)-1-Hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid methyl ester as pale, brown solid (1.45 g); ^{1}H NMR (CDCl$_3$) δ 1.90-1.65 (m, 4H), 2.62-2.75 (m, 3H), 3.75-3.40 (m, 11H), 4.0 (d,
25   J=15Hz, 1H), 4.47-4.4.39 (m, 1H), 7.38-7.15 (m, 5H); MS: 336(M$^+$).

(R)-5-(2-Difluoromethoxy-phenyl)-2-((S)-1-hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-
pentanoic acid methyl ester (4b)

30

Similarly prepared according to the procedure above but using (E)-(R)-5-(2-

Difluoromethoxy-phenyl)-2-((S)-1-hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-pent-4-enoic acid methyl ester; ^{1}H NMR (CDCl$_3$) δ 1.93-1.58 (m, 4H), 2.78-2.58 (m, 3H), 3.80-3.42 (m, 11H), 4.03 (m, 1H), 4.44 (m, 1H), 6.53 (t, J=74Hz, 1H), 7.25-7.04 (m, 4H); MS: 402(MH$^+$).

5

(S)-2-((R)-1-Fluoro-2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl -pentanoic acid methyl ester (4c)

(Diethylamino) sulfur trifluoride (2.0 ml, 15.2 mmol) was added to a ice cold

10   solution of (R)-2-((S)-1-Hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid methyl ester (4a) (0.85 g, 2.5 mmol) in dry methylene chloride (15 ml) and the reaction mixture was stirred overnight while warming to room temperature. The reaction was quenched with aqueous NaHCO$_3$ solution and extracted with methylene chloride. The organic extracts were dried over Na2SO$_4$ and concentrated under reduced pressure.

15   Column chromatography on silica eluting with a mixture of ethyl acetate and methylene chloride gave the title compound as an off-white solid (230 mg). ^{1}H NMR (CDCl$_3$) δ 1.90-1.58 (m, 4H), 2.78-2.57 (m, 2H), 3.28-3.10 (m, 1H), 3.75 (s, 3H), 3.74-3.45 (m, 8H), 5.40-5.12 (m, 1H), 7.35-7.18 (m, 5H); MS: 338(MH$^+$).

20   ### (R)-2-((S)-1-Hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid (5a)

A solution of (R)-2-((S)-1-hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid methyl ester (230 mg, 0.69 mmol) and LiOH.H$_2$O (57.5 mg, 1.37 mmol) in a mixture of THF and water (2:1, 6 ml) was stirred at room temperature for 2.5 hrs. The reaction was

25   diluted with water and THF removed under reduced pressure. The pH of the aqueous solution was adjusted to pH5 with 1N HCl and extracted with ethyl acetate. The combined organic extracts were dried over MgSO$_4$ and evaporated under reduced pressure to give the title compound as white solid (180 mg); ^{1}H NMR (CDCl$_3$) δ 1.92-1.60 (m, 4H), 2.75-2.60 (m, 3H), 3.78-3.45 (m, 9H), 4.5 (d, J=8Hz, 1H), 7.35-7.18 (m, 5H); MS: 322(MH$^+$).

30

(R)-5-(2-Difluoromethoxy-phenyl)-2-((S)-1-hydroxy-2-morpholin

<u>-4-yl-2-oxo-ethyl)-pentanoic acid (5b)</u>

Similarly prepared according to the procedure above but using (R)-5-(2-difluoromethoxy-phenyl)-2-((S)-1-hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-pentanoic acid

5   methyl ester; ^{1}H NMR (CDCl$_3$) δ 1.90-1.65 (m, 4H), 2.77-2.68 (m, 3H), 3.70-3.53 (m, 9H), 4,51 (d, J=4.4Hz, 1H), 6.52 (t, J=74Hz, 1H), 7.28-7.14 (m, 4H); MS: 388(MH$^+$).

<u>(2R,3S)-2-Benzyl-3-hydroxy-4-morpholin-4-yl-4-oxo-butyric acid (5e)</u>

10   Similarly prepared according to the general procedure above but using (2R, 3S)-2-Benzyl-3-hydroxy-4-morpholin-4-yl-4-oxo-butyric acid methyl ester; ^{1}H NMR (CDCl$_3$) δ 2.90 (m, 1H), 3.10 (m, 2H), 3.70-3.15 (m, 8H), 3.75 (m, 1H), 4.32 (d J=7.5Hz, 1H), 7.38-7.25 (m, 5H); MS: 294 (MH$^+$).

15

REFERENCE 30

<u>2-Amino-1-benzooxazol-2-yl-butan-1-one</u>

20

2-Amino-1-benzooxazol-2-yl-butan-1-one was prepared according to the following reaction protocol:

[1-(Benzooxazol-2-yl-hydroxy-methyl)-propyl]-carbamic acid tert-butyl ester (1a)

        DIPEA (0.35 ml, 2 mmol) and di-tret-butyl dicarbonate (355 mg, 1.63 mmol) were
5   added to a solution of 2-Amino-1-benzooxazol-2-yl-butan-1-ol (320 mg, 1.55 mmol) in dry
methylene chloride (10 ml) and stirred at room temperature for 4 hrs. The reaction was
quenched with saturated aqueous NH_4Cl and the pH was adjusted to neutral. Oraganic layer
separated and the aqueous layer extracted with methylene chloride. The combined organic
extracts were dried over $MgSO_4$ and concentrated under reduced pressure to give, 1-
10   (Benzooxazol-2-yl-hydroxy-methyl)-propyl]-carbamic acid tert-butyl ester (500 mg).

[1-(Benzooxazole-2-carbonyl)-propyl]-carbamic acid tert-butyl ester (2a)

        Dess-Martin Periodinane (15% in DCM, 3.1 mmol) was added to a solution of, 1-
15   (Benzooxazol-2-yl-hydroxy-methyl)-propyl]-carbamic acid tert-butyl ester in dry
methylene chloride (15 ml) and stirred at room temperature for 4 hrs. A solution of
$Na_2S_2O_3$ in aqueous $NaHCO_3$ was added and stirred at room temperature. Organic layer
was separated and the aqueous was extracted with methylene chloride. The combined
organic extracts were dried over Na_2SO_4 and concentrated under reduced pressure to give a
20   pale brown solid. Column chromatography on silica eluting with a mixture of methylene
chloride and heptane gave the title compound as off white solid (380 mg).

2-Amino-1-benzooxazol-2-yl-butan-1-one hydrochloride (3a)

Hydrogen chloride in dioxane (1M, 1 ml) was added to a solution of, 1-(Benzooxazole-2-carbonyl)-propyl]-carbamic acid tert-butyl ester (2a) in dry methylene chloride (3 ml) and stirred at room temperature for 4 hrs. Concentration under reduced

5   pressure gave the title compound as white solid (65 mg); ^{1}H NMR (CDCl$_3$) δ 0.99 (t, J=7.5Hz, 3H), 2.20-2.05 (m, 2H), 4.96 (m, 1H), 7.58 (t, J=7.4Hz, 1H), 7.69 (t, J=7.4Hz, 1H), 7.94 (d, J=8.2Hz, 1H), 8.04 (d, J=8.2Hz, 1H), 8.75 (m, 3H); MS: 207(MH$^+$).

(1-Amino-cyclopropyl)-oxazol-2-yl-methanone hydrochloride (3b)

10

^{1}H NMR (DMSO) δ 1.79 (m, 2H), 1.22 (m, 2H), 7.58 (s, 1H), 8.49 (s, 1H), 9.22 (m, 3H); MS: 153(MH$^+$).

15   REFERENCE 31

2-Amino-1-oxazol-2-yl-butan-1-ol

2-Amino-1-oxazol-2-yl-butan-1-ol was prepared according to the following

20   reaction scheme:

Step 1

To a stirring solution of of the BOC-L-α-aminobutyric acid (**1**, 17.75g, 87.3mmol) in dry methylene chloride (35ml) was added DIEA (33.45ml) followed by the N,O-dimethylhydroxylamine hydrochloride (9.37g, 96.03mmol) and PYBOP (50.0g,

5   96.03mmol). The reaction mixture was stirred overnight at room temperature. After the solvent was removed in vacuo, the oily residue was dissolved in ether and the precipitate which formed was filtered and the filtrate was concentrated to give 35.0g of a brown oil. The residue was dissolved in ethyl acetate and washed twice with 0.05N HCl, saturated sodium bicarbonate and brine. The organic layer was dried over magnesium sulfate and

10 concentrated to give 14.0g of the product **2**, which was used without further purification.

Step 2

Compound **2** (8.4g, 34.1mmol) was then dissolved in 30 ml of dry THF and cooled to -50° C under nitrogen, then LAH (1.0 M in THF, 37.5ml, 37.51mmol) was added drop

15 wise over 30 minutes. The reaction was stirred for 1.5 hours at –50 ° C then allowed to warm to 0 ° C over 45 minutes. Then NaHSO4 (6.12g, 44.33mmol) was added slowly followed by cold water (2.0ml) and stirring was continued for 30 minutes. The reaction was filtered through celite, which was washed with methylene chloride. The volatiles were removed from the filtrate in vacuo. The solid residue was dissolved in ethyl acetate and

20 washed with cold 0.05N HCl, water and brine. The organic layer was dried over magnesium sulfate, filtered and concentrated to give 6.5 grams of compound **3** as colorless oil.

Step 3

Triethylborane (1.0 M in THF, 149.5ml, 149.5mmol) was added to oxazole

25 (10.33g, 149.5mmol) and stirred for 45 minutes at room temperature. The mixture was then cooled to –78 ° C and n-BuLi (2.5 M in hexane, 59.8ml, 149.5mmol) was added dropwise and allowed to stir for one hour under nitrogen. Compound **3** (8.0g, 42.7mmol) was dissolved in 25 ml of THF and added to the reaction mixture. The reaction was stirred for 5 hours at –78 ° C then it was allowed to warm to 0 ° C for one hour. The reaction was

30 then cooled back to –78 ° C and quenched with 7% acetic acid in ethanol (700ml) which

was allowed to stir overnight at room temperature. The mixture was concentrated in vacuo

and the residue was dissolved in ether and filtered. The filtrate was concentrated in vacuo

and the residue was dissolved in ethyl acetate washed twice with 0.005 N HCl, twice with

sat'd sodium bicarbonate and brine. The organic layer was dried over magnesium sulfate,

5   filtered and concentrated in vacuo. The residue was purified on silica using 10-40% ethyl

acetate/heptane to give 3.85 grams of pure product 4.

Step 4

To a solution of compound 4 (1.1g, 4.29mmol) in dry methylene chloride (10.0ml),

stirring under nitrogen at room temperature, was added 4M HCl (in dioxane, 10.73ml)

10   dropwise followed by 5 ml of methanol. The reaction was stirred overnight then

concentrated in vacuo to give 1.2 grams of compound 5 as a brown solid.

The following reference compounds were prepared according to the protocol

described in Reference 31:

15

2-Amino-3,3-dimethyl-1-oxazol-2-yl-butan-1-ol

2-Amino-1-oxazol-2-yl-4-phenyl-butan-1-ol

20

LCMS retention time 1.10 minutes; M+1 (233.1)

REFERENCE 32

<u>2-Amino-2-methyl-pentan-1-ol</u>

2-Amino-2-methyl-pentan-1-ol was prepared according to the following reaction

5   scheme:

Step 1

S-(+)-Phenylglycinol (1, 25g,182mmol) was dissolved in trifluoroethanol (250ml)

10   and ethyl pyruvate (23.3g, 200mmol) was added (exothermic) followed by molecular

seives (4 angstroms) and the reaction was refluxed overnight. The reaction was filtered

and concentrated to an oil. The oil was purified on a 500 g silica gel column and eluted

with 3:1 heptane/ethyl acetate to give 19.94 grams of compound 2.

15   Step 2

Compound 2 (15.0g, 79mmol) was dissolved in THF (400ml) and cooled to –78 °

C, the boron trifluoride etherate (22.4g, 158mmol) was added over a 15 minute period. The reaction was allowed to stir at –78 degree C for 2 hours and propyl magnesium chloride (2.0 M in ether, 79ml, 158mmol) was added over a one hour period and allowed to stir for 4 hours at –78 ° C. The reaction was allowed to warm to room temperature and stir

5   overnight. The mixture was carefully quenched with sat'd NaHSO4 until pH of 8 was obtained. The reaction was extracted with ethyl acetate (2x200ml), then washed with water, brine, dried over sodium sulfate and concentrated to dryness. The residue was purified on silica eluting with 4:1 heptane/ethyl acetate to give 12.2 grams of compound 3.

10   Steps 3 and 4

Compound 3 (9.0g, 39mmol) was dissolved in ethanol (100ml) and water (20ml) followed by the addition of 9 grams of Pd(OH)2 and TFA (4ml). The mixture was hydrogenated at 50 psi for 48 hours, then the reaction was filtered through celite which was concentrated to give 9 grams of crude material 4 which was used without further

15   purification. Compound 4 was dissolved in dry methanol (300ml) and HCl gas was bubbled through for 15 minutes. The reaction was stirred at room temperature for three days and was concentrated. The crude product was purified on silica eluting With 1:1 heptane/ethyl acetate to give 3.9 grams of compound 5.

20   Step 5

A mixture of compound 5 (3.9g, 27mmol), (BOC)2O (5.88g, 27mmol), and TEA (7.56ml, 54mmol) in 100 ml of dioxane and 100ml of water were stirred overnight at room temperature. The reaction mixture was concentrated and dissolved in ethyl acetate and washed with brine. The organic layer was dried over magnesium sulfate, filtered and

25   concentrated in vacuo. The crude product was purified on silica eluting with 30 ethyl acetate/heptane to give 6.68 gram of pure product 6.

Step 6

A solution of compound 6 (6.68g, 27mmol) in 200ml of THF was cooled to 0 ° C

30   and LAH (1.0M in THF, 32.4ml, 32.4mmol) was added dropwise and the reaction was stirred for 30 minutes then allowed to come to room temperature. The reaction was stirred

for another 30 minutes and the reaction was quenched with a solution of NaHSO4, the THF

was removed in vacuo and the residue was extracted with ethyl acetate which was washed

with brine and concentrated. The product was purified on silica eluting with n-heptane to

5% methanol/ethyl acetate to give 2.8767 g of compound 7.

5

Step 7

Compound 7 (0.5g) was dissolved in 5 ml of 4N HCL in dioxane and stirred for 1

hour at room temperature. The reaction was concentrated and dried under high vacuum to

give 0.3859g of compound 8, which was used without further purification.

10

The following reference compounds were prepared according to the protocol

described in Reference 32:

2-Amino-2-methyl-4-phenyl-butan-1-ol

15

2-Amino-2-methyl-butan-1-ol

20   REFERENCE 33

2-Amino-2-methyl-1-oxazol-2-yl-pentan-1-one

2-Amino-2-methyl-1-oxazol-2-yl-pentan-1-one was prepared according to the following reaction scheme:

Step 1

A solution of oxalyl chloride (2.0M in CH2Cl2, 1.5ml, 3mmol) in 5 ml of methylene chloride was cooled to –78 ° C, then DMSO (0.44ml) was added drop wise to the mixture and allowed to stir for 5 minutes.   A solution of compound 7 (Scheme 2, 0.4346g, 2.0mmol) in 10 ml of methylene chloride was added drop wise. The reaction was stirred at –78 degree C for 15 minutes and TEA (1.12ml, 8mmol) was added dropwise and the reaction was stirred for 2 hours at room temperature. The reaction was quenched with water and the product was extracted with ethyl acetate, then organic layer was washed with brine and the solvent was removed in vacuo. The crude product was purified on silica eluting with heptane to 10% ethyl acetate/heptane to give 0.3131 g of pure compound 9.

Step 2

Triethylborane (1.0 M in THF, 4.84ml, 4.84mmol) was added to oxazole (0.3355g, 4.84mmol) in 4 ml of THF and stirred for 30 minutes at room temperature. The mixture was then cooled to –78 ° C and n-BuLi (1.6 M in hexane, 3.025ml, 4.84mmol) was added dropwise and allowed to stir for one hour under nitrogen. Compound 9 (0.2615g, 1.21mmol) was dissolved in 5 ml of THF and added to the reaction mixture. The reaction was stirred for 5 hours at –78 ° C, then quenched with 5% acetic acid in ethanol (20ml) which was allowed to stir overnight at room temperature and concentrated in vacuo. Ether was added and the solid was filtered and the filtrate was concentrated and the crude product

was purified on silica using 0-20% ethyl acetate/heptane to give 0.2528 grams of pure product **10**.

<div align="center">Step 3</div>

5   Dess-Martin periodinane (15% in CH2Cl2, 4.95g, 1.8mmol) was added to a stirring added to a stirring solution of compound **10** (0.2528g, 0.89mmol) in 5 ml of methylene chloride. The reaction was stirred at room temperature for 3 hours, then the reaction was quenched with a solution of sodium thiosulfate in sat'd sodium bicarbonate. The product was extracted with ethyl acetate and the organic layer was washed with brine, dried over magnesium sulfate and concentrated in vacuo. The residue was purified on silica eluting with 1:1 ethyl acetate/heptane to 5% methanol/ethyl acetate to give 0.2307 g of pure compound **11**.

<div align="center">Step 4</div>

15   Compound **11** (0.2123g, 0.75mmol) was dissolved in 5 ml of 4N HCL in dioxane and stirred for 1 hour at room temperature. The reaction was concentrated and dried under high vacuum to give 0.1713g of compound **8**, which was used without further purification.

The following reference compounds were prepared according to the protocol
20   described in Reference 33:

<div align="center">2-Amino-1-benzooxazol-2-yl-2-methyl-pentan-1-one</div>

25   LCMS retention time 2.45 minutes; M+1 (233.1).

<div align="center">2-Amino-1-benzooxazol-2-yl-2-methyl-4-phenyl-butan-1-one</div>

<div align="center">616</div>

LCMS retention time 2.79 minutes; M+1 (295.1)

2-Amino-1-benzooxazol-2-yl-2-methyl-butan-1-one

5

LCMS retention time 2.29 minutes; M+1 (219.1)

2-Amino-2-methyl-1-oxazol-2-yl-propan-1-one

10

LCMS retention time 1.63 minutes; M+1 (155.1)

REFERENCE 34

2-Amino-4-phenyl-butyramide

15

2-Amino-4-phenyl-butyramide was prepared according to the following reaction scheme:

1   2   3

Step 1

    Compound 1 (5g, 15.5mmol) was dissolved in dry ether (150ml) and cooled to –20°

5   C, then perfluoroethyl iodide (25g, 100mmol) was bubbled into the mixture. The solution

was then cooled to –50° C and methyl lithium/lithium bromide complex was added over a

30 minute period. The reaction was stirred for 1.5 hours at this temperature and was then

quenched with acetone. After stirring for 15 minutes the reaction was diluted with ether

(100ml) and poured onto 100ml of water contain KHSO4. The organic layer was separated

10   and washed with water, brine, dried over sodium sulfate and concentrated to dryness. The

material was purified on silica eluting with 1:1 ethyl acetate/heptane to give 1.7 grams of

compound 2.

Step 2

15   Compound 2 (0.35g) was dissolved in 4 ml of 4N HCL in dioxane and stirred for 1

hour at room temperature. The reaction was concentrated and dried under high vacuum to

give 0.2807g of compound 3 which was used without further purification; LCMS retention

time 4.19 minutes; M+1 (282.1).

20

EXAMPLE 1

<u>N-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-butyl]-3-benzylsulfonyl-2-</u>

<u>benzylsulfonylmethyl-propionamide</u>

(Compound 1)

A mixture comprised of 3-benzylsulfanyl-2-benzylsulfanylmethyl-propionic acid (0.239g, 0.719 mmol), prepared as in Reference 1, in methylene chloride (6 mL), HOBt hydrate (0.11g, 0.719 mmol), EDC (0.18g, 0.939 mmol), hydroxy amine (0.19 g, 0.86 mmol) and 4-methylmorpholine (0.075 mL) was stirred at room temperature for 1 hour and then poured into cold 1N aqueous hydrochloric. The product was extracted with ethyl acetate and the extracts were washed with saturated aqueous sodium chloride and then dried over magnesium sulfate. The solvent was removed by rotary evaporation at reduced pressure and the residue was chromatographed on silica gel eluting with ethyl acetate/hexane to give N-[(S)-1-(1-Benzooxazol-2-yl-1-hydroxy-methyl)-butyl]-3-benzylsulfanyl-2-benzylsulfanylmethyl-propionamide (0.217 g).

A solution of N-[(S)-1-(1-Benzooxazol-2-yl-1-hydroxy-methyl)-butyl]-3-benzylsulfanyl-2-benzylsulfanylmethyl-propionamide (0.317 g, 0.594 mmol) in methanol (30 mL) was treated with a solution of Oxone® (0.913 g, 1.48 mmol) in water (20 mL) and then stirred at room temperature for 7 hours. The methanol was removed by evaporation at reduced pressure and the resulting suspension was diluted with water and the product extracted with ethyl acetate. The extracts were washed with saturated aqueous sodium chloride and then dried over magnesium sulfate. The solvent was removed by rotary evaporation at reduced pressure and the residue was chromatographed on silica gel eluting with ethyl acetate/hexane to give N-[(S)-1-(1-Benzooxazol-2-yl-1-hydroxy-methyl)-butyl]-3-benzylsulfonyl-2-benzylsulfonylmethyl-propionamide (0.143 g, 41% yield).

A solution of N-[(S)-1-(1-Benzooxazol-2-yl-1-hydroxy-methyl)-butyl]-3-benzylsulfonyl-2-benzylsulfonylmethyl-propionamide (0.140 g, 0.234 mmol) in methylene chloride (5 mL) was treated with 1,1,1,1-triacetoxy-1,1-dihydro-1,2-benziodoxol-3(1H)-one (Dess-Martin periodinane) (0.127 g, 0.30 mmoL) and the resulting solution was stirred at room temperature for 30 minutes. Aqueous sodium thiosulfate and sodium bicarbonate

(15 mL, 0.25 M) were added and the reaction mixture was stirred for 20 minutes. The product was extracted with ethyl acetate. The extracts were washed with saturated aqueous sodium chloride and then dried over magnesium sulfate. The solvent was removed by rotary evaporation at reduced pressure and the residue was crystallized from t-butylmethyl

5   ether to give N-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-3-benzylsulfonyl-2-benzyl-sulfonylmethyl-propionamide (0.103 g, 74%); NMR (DMSO): 9.15 (d, J=6Hz, 1H); 8.01 (d, J=7Hz, 1H); 7.89 (d, J=8Hz, 1H); 7.65 (t, J=7Hz, 1H); 7.54 (t, J=8Hz, 1H); 7.37 (m, 10H); 5.36 (m, 1H); 4.5 (m, 4H); 3.68 (m, 1H); 3.45-3.25 (m, 4H); 1.95 (m, 1H); 1.73 (m, 1H); 1.47 (m, 2H); 0.91 (t, J=7Hz, 3H); MS: M(H+) 597.0 (596.17);

10

The following compounds were prepared by the method of Example 1 by substituting the required carboxylic acid in place of 3-benzylsulfanyl-2-benzylsulfanylmethyl-propionic acid:

<u>N-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-butyl]-3-(2-trifluoromethyl-</u>

15   <u>benzylsulfonyl)-2-(2-trifluoromethyl-benzylsulfonylmethyl)-propionamide</u> (Compound 2); ^{1}H-NMR (CDCl$_3$) δ: 7.93 (m, 1H); 7.69 (m, 4H); 7.4-7.6 (m, 6H); 7.20 (m, 2H); 5.58 (m, 1H); 4.54 (m, 4H); 3.69 (m, 1H); 3.30-3.55 (m, 4H); 1.55-1.90 (m, 1H); 1.45 (m, 1H); 1.32 (m, 2H); 0.90 (m, 3H); MS: M(+) 733.0; M(-) 731.6;

<u>N-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-pentyl]-4-(2-methoxy-benzenesulfonyl)-</u>

20   <u>2-[2-(2-methoxy-benzenesulfonyl)-ethyl]-butyramide</u> (Compound 3); ^{1}H-NMR (DMSO) δ: 8.65 (d, 1H); 7.99 (d, J=7Hz, 1H); 7.89 (d, J=8Hz, 1H); 7.8-7.5 (m, 6H); 7.3-7.1 (m, 4H); 5.25 (m, 1H); 3.90 (m, 9H); 3.3 (m, 6H); 1.6 (m, 4H); 1.3 (m, 2H); 0.85 (m, 3H); MS: (M+) 670.2, 670.19;

<u>4-Benzenesulfonyl-2-(2-benzenesulfonyl-ethyl)-N-[(S)-1-(1-benzooxazol-2-yl-</u>

25   <u>methanoyl)-butyl]-butyramide</u> (Compound 4); ^{1}H-NMR (DMSO) δ: 8.61 (d, J=6Hz, 1H); 7.99 (d, J=8Hz, 1H); 7.91 (d, J=8Hz, 1H); 7.82 (m, 4H); 7.74 (m, 2H); 7.64 (m, 5H); 7.55 (t, J=8Hz, 1H); 5.21 (m, 1H); 3.3-3.0 (m, 5H); 1.8 (m, 1H); 1.6 (m, 5H); 1.3 (m, 2H); 0.86 (t, J=7Hz, 3H); MS: (M+) 597.2, 596.17;

<u>(R)-N-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-butyl]-2-cyclohexylmethyl-3-</u>

30   <u>benzylsulfonyl-propionamide</u> (Compound 5) ; ^{1}H NMR (DMSO): 8.96 (d, J=6Hz, 1H), 8.73 (d, J=6Hz, 1H), 7.99 (d, J=8H, 1H), 7.87 (m, 1H), 7.64 (m, 1H), 7.54 (m, 1H), 7.37

(m, 5H), 5.29 (m, 1H), 4.44 (s, 2H), 4.36 (s, 2H), 3.3-2.8 (m, 2H), 0.6-2.0 (m, 20H); MS: MH⁺ 525.4 (524.23); and

     N-[(S)-1-(1-Benzothiazol-2-yl-methanoyl)-propyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide (Compound 6); ¹H NMR: (DMSO), 8.79 (d, J=6.2Hz),

5   8.72 (d, J=6.2Hz), 1H], 8.30-8.22 (m, 2H), 7.71-7.61 (m, 2H), 7.43-7.33 (m, 5H), 5.46-5.33 (m, 1H), 4.53-4.38 (m, 2H), 3.57-3.30 (m, 10H), 3.13-3.02 (m, 1H), 2.66-2.54 (m, 2H), 2.04-1.90 (m, 1H), 1.83-1.68 (m, 1H), 0.97 (t, J=7.2Hz, 3H); MS: (M⁺+1) 558.

     The method of Example 1 can also be modified by omitting the Oxone® oxidation

10   step to prepare the following compounds:

     N-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-butyl]-3-cyclohexyl-2-cyclohexylmethyl-propionamide (Compound 7); ¹H NMR (DMSO): 8.50 (d, J=6Hz, 1H); 8.00 (d, J=8Hz, 1H); 7.89 (d, J=8Hz, 1H); 7.62 (t, J=7Hz, 1H); 7.53 (t, J=7Hz, 1H); 5.2(m, 1H); 2.0-0.8 (m, 35H); MS: M(H+) 453.2 (452.3);

15   N-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-butyl]-3-isobutylsulfanyl-2-isobutylsulfanylmethyl-propionamide (Compound 8); ¹H NMR (DMSO): 8.73 (d, J=5Hz, 1H); 7.76 (d, J=7Hz, 1H); 7.87 (d, J=8Hz, 1H); 7.62 (dt, J=7,1Hz, 1H); 7.52 (dt, J=8,1Hz, 1H); 5.26 (m, 1H); 2.7 (m, 1H); 2.55 (m, 4H); 2.34 (d, J=7Hz, 2H); 2.29 (d, J=7Hz, 2H); 1.9 (m, 1H); 1.66 (m, 3H); 1.45 (m, 2H); 0.91 (t, J=6Hz, 3H), 0.90 (d, J=6Hz, 6H), 0.88 (d,

20   J=3Hz, 3H), 0.84 (d, J=3Hz, 3H); MS: M(H+) 465.0 (464.22);

     N-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-butyl]-3-benzylsulfanyl-2-benzylsulfanylmethyl-propionamide (Compound 9); ¹H NMR (DMSO): 8.80 (d, J=7Hz, 1H); 7.98 (d, J=8Hz, 1H); 7.88 (d, J=8Hz, 1H); 7.63 (t, J=7Hz, 1H); 7.53 (t, J=7Hz, 1H); 7.3-7.2 (m, 10H); 5.32 (m, 1H); 3.71 (s, 2H); 3.65 (d, J=3Hz, 2H); 2.87 (m, 1H); 2.45-2.3

25   (m, 4H); 2.0-1.4 (m, 4H); 0.92 (t, J=7Hz, 3H); MS: M(H+) 533.0 (532.19); and

     N-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-butyl]-4-phenylsulfanyl-2-(2-phenylsulfanyl-ethyl)-butyramide (Compound 10); ¹H NMR (DMSO): 8.73 (d, J=6Hz, 1H); 7.99 (d, J=8Hz, 1H); 7.88 (d, J=8Hz, 1H); 7.65 (t, J=8Hz, 1H); 7.53 (t, J=8Hz, 1H); 7.35-7.1 (m, 10H); 5.3 (m, 1H); 2.85 (m, 4H); 2.65 (m, 1H); 2.0-1.3 (m, 8H); 0.91 (t,

30   J=7Hz, 3H); MS: M(H+) 533.0 (532.19).

EXAMPLE 2

_N_-Cyanomethyl-4-morpholin-4-yl-4-oxo-2-(2-trifluoromethyl-benzyl-sulfonylmethyl)-

butyramide

5   (Compound 11)

A mixture comprised of 4-morpholin-4-yl-4-oxo-2-(2-trifluoromethyl-
benzylsulfonylmethyl)-butyric acid (200mg, 0.47mmol), prepared as in reference 5, EDC

10   (200mg, 1.05mmol), HOBt (200mg, 1.3mmol), and aminoacetonitrile hydrochloride
(150mg, 1.6mmol) was treated with dichloromethyl (4mL) and 4-methylmorpholine
(0.5mL). The mixture was stirred at ambient temperature for 2 hours. After dilution with
ethyl acetate (150mL), the solution was washed with water (30mL), saturated aqueous
NaHCO₃ solution and brine, dried with magnesium sulfate and evaporated under vacuum.

15   The product was crystallized from ethyl acetate/hexane to yield _N_-cyanomethyl-4-
morpholin-4-yl-4-oxo-2-(2-trifluoromethyl-benzyl-sulfonylmethyl)-butyramide (156mg) as
a yellowish solid; ^{1}H NMR: (DMSO) 8.87 (t, J=5.5Hz, 1H), 7.81-7.57 (m, 4H), 4.74 (d,
J=14.5Hz, 1H), 4.67 (d, J=14.5Hz, 1H), 4.13 (d, J=5.5Hz, 2H), 3.63-3.26 (m, 11H), 2.75
(dd, J=6.4Hz, J=16.8Hz, 1H), 2.65 (dd, J=6.2Hz, J=16.8Hz, 1H); MS: (M$^+$+1) 462;

20

The following compounds of Formula I were provided by proceeding as in Example
2:

_N_^{4}-(4-Carbamoyl-phenyl)-_N_^{1}-cyanomethyl-2-benzyl-sulfonylmethyl-succinamide
(Compound 19); ^{1}H NMR: (DMSO) 10.24 (s, 1H), 8.93 (t, J=5.5Hz, 1H), 7.83 (s, 1H),

25   7.81 (d, J=8.4Hz, 2H), 7.60 (d, J=8.4Hz, 2H), 7.44-7.35 (m, 5H), 7.23 (s, 1H), 4.53 (d,

J=13.6Hz, 1H), 4.48 (d, J=13.6Hz, 1H), 4.14 (m, 2H), 3.50-3.30 (m, 2H), 3.20 (dd,

J=4.7Hz, J=13.1Hz, 1H), 2.73 (d, J=6.7Hz, 2H); MS: (M$^+$+1) 443; and

N-Cyanomethyl-2-[2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl]-4-morpholin-

4-yl-4-oxo-butyramide (Compound 25); ^{1}H NMR: (DMSO) 8.85 (t, J=5.5Hz, 1H), 7.52-

5   7.43 (m, 2H), 7.31-7.22 (m, 2H), 7.13 (t, J$_{H,F}$=74Hz, 1H), 4.53 (s, 2H), 4.11 (d, J=5.5Hz,

2H), 3.58-3.20 (m, 11H), 2.72 (dd, J=6.7Hz, J=16.8Hz, 1H), 2.63 (dd, J=5.9Hz, J=16.8Hz,

1H); MS: (M$^+$+1) 460;

10   EXAMPLE 3

N-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-propyl]-4-morpholin-4-yl-4-oxo-2-

benzylsulfonylmethyl-butyramide

(Compound 29)

15

          A mixture comprised of 4-Morpholin-4-yl-4-oxo-2-(benzyl-sulfonylmethyl)-butyric

acid (300mg, 0.84mmol), EDC (250mg, 1.3mmol), HOBt (250mg, 1.6mmol) and (2S)-2-

amino-1-benzooxazol-2-yl-butan-1-ol (250mg, 1.2mmol) was treated with dichloromethyl

(4mL) followed by 4-methylmorpholine (0.5mL). The mixture was stirred at ambient

20   temperature for 2 hours. After dilution with ethyl acetate (150mL), the solution was

washed with 1N aqueous HCl, water, saturated aqueous NaHCO$_3$ solution and brine, dried

with magnesium sulfate and evaporated under vacuum. The crude product was dissolved

in dry dichloromethyl (10mL) and 1,1,1,1-triacetoxy-1,1-dihydro-1,2-benziodoxol-3(1H)-

one (Dess-Martin periodinane) (500mg, 1.2mmol) was added. After stirring at ambient

25   temperature for 1 hour, the mixture was diluted with ethyl acetate (150mL) and treated

with 0.26M Na$_2$S$_2$O$_3$ solution in saturated aqueous NaHCO$_3$. The organic phase was

washed with saturated aqueous NaHCO3 and brine, dried with magnesium sulfate and

evaporated to yield _N-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-propyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide_ (377mg) as mixture of diastereomers. The product was purified by flash chromatography on silica gel (hexane/ethyl acetate ratio of 1:2 to 1:4); ^{1}H NMR: (DMSO), 8.85 (d, J=6.2Hz), 8.77 (d, J=6.2Hz), 1H],, 8.00 (d, J=7.7Hz), 7.99 (d, J=7.7Hz), 1H],, 7.90 (d, J=8.2Hz), 7.89 (d, J=8.2Hz), 1H], 7.64 (t, J=7.9Hz, 1H), 7.54 (t, J=7.4Hz, 1H), 7.42-7.34 (m, 5H), 5.25-5.12 (m, 1H), 4.55-4.38 (m, 2H), 3.60-3.28 (m, 10H), 3.12-3.02 (m, 1H), 2.64-2.50 (m, 2H), 2.08-1.91 (m, 1H), 1.82-1.65 (m, 1H), 0.98 (t, J=7.4Hz, 3H); MS: (M$^+$+1) 542;

The following compounds of Formula I were provided by proceeding as in Example 3:

N-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-pentyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide (Compound 30); ^{1}H NMR: (DMSO), 8.84 (d, J=6.4Hz), 8.76 (d, J=6.4Hz), 1H],, 8.00 (d, J=7.7Hz), 7.98 (d, J=7.7Hz), 1H],, 7.89 (d, J=8.2Hz), 7.88 (d, J=8.2Hz), 1H], 7.64 (t, J=7.9Hz, 1H), 7.53 (t, J=7.4Hz, 1H), 7.42-7.34 (m, 5H), 5.30-5.17 (m, 1H), 4.53-4.37 (m, 2H), 3.56-3.26 (m, 10H), 3.12-3.00 (m, 1H), 2.66-2.52 (m, 2H), 2.00-1.86 (m, 1H), 1.76-1.61 (m, 1H), 1.48-1.22 (m, 4H), 0.85 (t, J=6.9Hz, 3H); MS: (M$^+$+1) 570;

(S)-2,2-Difluoro-4-(4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butanoylamino)-3-oxo-hexanoic acid dimethylamide (Compound 31); ^{1}H NMR: (DMSO) 8.63-8.57 (m, 1H), 7.43-7.34 (m, 5H), 4.69-4.57 (m, 1H), 4.55-4.41 (m, 2H), 3.59-3.30 (m, 10H), 3.14-3.04 (m, 1H),, 2.98 (s), 2.96 (s), 3H],, 2.90 (s), 2.88 (s), 3H], 2.70-2.58 (m, 2H), 1.90-1.72 (m, 1H), 1.66-1.50 (m, 1H), 0.89 (t, J=6.9Hz, 3H); MS: (M$^+$+1) 546; and

N-[(S)-1-(1-Benzylcarbamoyl-methanoyl)-propyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide (Compound 32); ^{1}H NMR: (DMSO) 9.26-9.19 (m, 1H),, 8.56 (d, J=6.7Hz), 8.51 (d, J=6.9Hz), 1H], 7.44-7.19 (m, 10H), 4.96-4.85 (m, 1H), 4.53-4.40 (m, 2H), 4.38-4.22 (m, 2H), 3.57-3.30 (m, 10H), 3.11-2.99 (m, 1H), 2.65-2.52 (m, 2H), 1.86-1.71 (m, 1H), 1.61-1.48 (m, 1H), 0.89 (t, J=7.2Hz, 3H); MS: (M$^+$+1) 558.

EXAMPLE 5

3-Biphenyl-3-yl-*N*-cyanomethyl-2-benzylsulfonylmethyl-propionamide

(Compound 35)

3-Biphenyl-3-yl-2-benzylsulfonylmethyl-propionic acid (300mg, 0.76mmol), prepared as in Reference 9, was combined with EDC (300mg, 1.57mmol), HOBt (300mg, 1.96mmol), and aminoacetonitrile hydrochloride (150mg, 1.6mmol). Dichloromethyl (4mL) was added and then 4-methylmorpholine (0.5mL). The mixture was stirred at ambient temperature for 2 hours. After dilution with ethyl acetate (150mL), the solution was washed with water (30mL), saturated aqueous NaHCO$_3$ solution and brine, dried with magnesium sulfate and evaporated under vacuum. The product, 3-biphenyl-3-yl-*N*-cyanomethyl-2-benzylsulfonylmethyl-propionamide (273mg), was crystallized from ethyl acetate/hexane as a white solid; ^{1}H NMR: (DMSO) 8.87 (t, J=5.5Hz, 1H), 7.68-7.14 (m, 14H), 4.45 (d, J=13.8Hz, 1H), 4.38 (d, J=13.8Hz, 1H), 4.13 (m, 2H), 3.49 (dd, J=9.4Hz, J=14.1Hz, 1H), 3.28-3.11 (m, 1H), 3.04-2.76 (m, 3H). MS: (M$^+$+1) 433.

Proceeding as in Example 5 provided the following compound of Formula I:

3-Biphenyl-4-yl-*N*-cyanomethyl-2-benzylsulfonylmethyl-propionamide (Compound 36); ^{1}H NMR: (DMSO) 8.86 (t, J=5.5Hz, 1H), 7.65 (d, J=7.4Hz, 2H), 7.59 (d, J=7.4Hz, 2H), 7.47 (t, J=7.7Hz, 2H), 7.39-7.24 (m, 8H), 4.47 (d, J=13.8Hz, 1H), 4.40 (d, J=13.8Hz, 1H), 4.13 (m, 2H), 3.48 (dd, J=9.4Hz, J=14.1Hz, 1H), 3.23-3.11 (m, 1H), 3.04-2.75 (m, 3H). MS: (M$^+$+1) 433; and

3-(3-Bromo-phenyl)-*N*-cyanomethyl-2-benzylsulfonylmethyl-propionamide (Compound 37); ^{1}H NMR: (DMSO) 8.84 (t, J=5.5Hz, 1H), 7.46-7.14 (m, 9H), 4.46 (d, J=13.8Hz, 1H), 4.40 (d, J=13.8Hz, 1H), 4.10 (m, 2H), 3.46 (dd, J=9.4Hz, J=14.1Hz, 1H),

3.18-3.07 (m, 1H), 2.97 (dd, J=14.1Hz, J=3.4Hz, 1H) 2.88-2.73 (m, 2H). MS: (M$^+$+1) 435/437.

5   EXAMPLE 6

N-[(S)-1-((E)-2-Benzenesulfonyl-vinyl)-pentyl]-3-benzylsulfonyl-2-

benzylsulfonylmethyl-propionamide

(Compound 38)

10

A mixture of 3-benzylsulfanyl-2-benzylsulfanylmethyl-propionic acid (161 mg), prepared as in Reference 1, 3-benzenesulfonyl-1-n-butylallylamine tosylate (212 mg), HOBt monohydrate (77 mg) and EDC (125 mg) in methylene chloride (6 mL) was treated with N-methylmorpholine (0.25 mL) and stirred at room temperature for 2.5 hours. The

15   reaction mixture was poured into ice cold dilute hydrochloric acid. The product was extracted with ethyl acetate and the organic extracts were washed with aqueous sodium bicarbonate and then with saturated sodium chloride. After drying over magnesium sulfate the solvents were evaporated to give a residue which was crystallized from ethyl acetate/t-butylmethyl   ether   to   yield   *N*-[(S)-1-((E)-2-benzenesulfonyl-vinyl)-pentyl]-3-

20   benzylsulfanyl-2-benzylsulfanylmethyl-propionamide (160 mg).

A solution of *N*-[(S)-1-((E)-2-benzenesulfonyl-vinyl)-pentyl]-3-benzylsulfanyl-2-benzylsulfanylmethyl-propionamide (50 mg) in methylene chloride (5 mL) was treated with m-chloroperbenzoic acid (108 mg) and then stirred at room temperature for 65 minutes. The reaction mixture was stirred with aqueous sodium bisulfite and sodium

25   bicarbonate for 85 minutes and then extracted with methylene chloride. The organic extracts were washed with saturated aqueous sodium chloride and dried over magnesium

sulfate. Evaporation of the solvent gave a residue which was precipitated from ethyl acetate/t-butylmethyl ether to give N-[(S)-1-((E)-2-benzenesulfonyl-vinyl)-pentyl]-3-benzylsulfonyl-2-benzylsulfonylmethyl-propionamide (37 mg); ¹H NMR (DMSO): 8.61 (d, J=8Hz, 1H), 7.80 (d, J=7Hz, 2H), 7.69 (t, J=7H, 1H), 7.58 (t, J=8Hz, 2H), 7.38 (m, 10H),

5 6.86 (m, 2H), 4.6-4.3 (m, 5H), 3.5-3.4 (m, 5H), 1.5 (m, 2H), 1.2 (m, 4H), 0.8 (m, 3H); MS: MH⁺ 632.2 (631.17).

Proceeding as in Example 6 provided the following compound of Formula I:

N-(3-Benzenesulfonyl-1-phenethyl-allyl)-3-benzylsulfonyl-2-benzylsulfonylmethyl-

10   propionamide (Compound 39); ¹H NMR (DMSO): 8.75 (d, J=8Hz, 1H), 7.80 (d, J=7Hz, 2H), 7.70 (t, J=7H, 1H), 7.58 (t, J=8Hz, 2H), 7.4-7.1 (m, 15H), 6.9 (m, 2H), 4.6-4.2 (m, 5H), 3.6-3.3 (m, 5H), 2.6 (m, 2H), 1.8 (m, 2H); MS: MH⁺ 680.4 (679.17);

EXAMPLE 7

15   N-Cyanomethyl-3-(3-cyano-benzylsulfonyl)-2-benzylsulfonyl-methyl-

propionamide

(Compound 40)

20   A mixture of 3-acetylsulfanyl-2-benzylsulfanylmethyl-propionic acid (0.200g), prepared as in Reference 10, HOBt hydrate (0.13g), aminoacetonitrile hydrochloride (0.15g) and EDC (0.26g) was treated with methylene chloride (6 mL) and N-methylmorpholine (0.35 mL). After stirring for 80 minutes at room temperature, the reaction mixture was diluted with ethyl acetate (50mL) and washed sequentially with

25   water, aqueous sodium bicarbonate and saturated aqueous sodium chloride. The solution was dried over magnesium sulfate and evaporated to give thioacetic acid S-[3-

benzylsulfanyl-2-(cyanomethyl-carbamoyl)-propyl] ester (0.218 g).

A solution of thioacetic acid *S*-[3-benzylsulfanyl-2-(cyanomethyl-carbamoyl)-propyl] ester (0.105 g) in dimethylformamide (1 mL) and water (0.8 mL) was cooled on ice and treated with 1 N aqueous potassium hydroxide (0.65 mL). 3-Cyanobenzylbromide

5   (0.129 g) in dimethylformamide (0.8 mL) was added. The reaction mixture was allowed to warm to room temperature while stirring overnight. The reaction mixture was then poured into ice water and extracted with ethyl acetate (50 mL) and washed with water and saturated aqueous sodium chloride. The solution was dried over magnesium sulfate and evaporated to give 2-benzylsulfanylmethyl-3-(3-cyano-benzylsulfanyl)-*N*-cyanomethyl-

10   propionamide (0.135 g).

2-Benzylsulfanylmethyl-3-(3-cyano-benzylsulfanyl)-*N*-cyanomethyl-propionamide (0.135 mg) in methanol (10mL) was treated with a solution of Oxone® (0.615g) in water (1.3mL) and the resulting mixture was stirred at room temperature for 45 minutes. The reaction mixture was diluted with water (50mL) and then the methanol was removed by

15   rotary evaporation. The residue was diluted with ethyl acetate and water. The product was extracted with ethyl acetate and the organic layer washed with water and saturated aqueous sodium chloride. The solution was dried over magnesium sulfate and evaporated to give *N*-Cyanomethyl-3-(3-cyano-benzylsulfonyl)-2-benzylsulfonylmethyl-propionamide (0.138 g); ¹H NMR: (DMSO) 9.19 (t, J=5Hz, 1H), 7.88 (d, J=8Hz, 1H), 7.82 (s, 1H), 7.72 (d,

20   J=9Hz, 1H), 7.62 (t, J=8Hz, 1H), 7.38 (s, 5H), 4.65 (d, J=14Hz, 1H), 4.58 (d, J=14Hz, 1H), 4.53 (d, J=13Hz, 1H), 4.47 (d, J=13Hz, 1H), 4.17 (d, J=5Hz, 2H), 3.5-3.3 (m, 5H); MS: (M⁺+1) 460.2; 459.09.

25   EXAMPLE 8

4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-*N*-{(S)-1-[1-(3-phenyl-
[1,2,4]oxadiazol-5-yl)-methanoyl]-propyl}-butyramide

(Compound 41)

A mixture of (S)-2-amino-1-(3-phenyl-[1,2,4]oxadiazol-5-yl)-butan-1-one, prepared as in Reference 11, 4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyric acid (200mg,

5　0.56mmol), EDC (200mg, 1.05mmol), HOBt (200mg, 1.30mmol), CH_2Cl_2 (4mL) and 4-methylmorpholine (0.5mL) was stirred at ambient temperature for 2 hours. After dilution with ethyl acetate (150mL), the solution was washed with water (30mL), saturated aqueous $NaHCO_3$ solution and brine, dried with $MgSO_4$ and evaporated under vacuum. The crude product was dissolved in dry dichloromethyl (10mL) and 1,1,1,1-triacetoxy-1,1-dihydro-

10　1,2-benziodoxol-3(1H)-one (Dess-Martin periodinane) (500mg, 1.2mmol) was added. After stirring at ambient temperatures for 1 hour, the mixture was diluted with ethyl acetate (150mL) and treated with $Na_2S_2O_3$ solution (0.26M) in saturated aqueous $NaHCO_3$. The organic phase was washed with saturated aqueous $NaHCO_3$ and brine, dried with $MgSO_4$ and evaporated. The product was purified by flash chromatography on silica gel

15　(hexane/ethyl acetate in a 1:2 to 1:4 ratio) to yield 4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-N-[(S)-1-[1-(3-phenyl-[1,2,4]oxadiazol-5-yl)-methanoyl]-propyl]-butyramide (150mg) as mixture of diastereomers; [1]HNMR: (DMSO), 9.03 (d, J=5.9Hz), 8.89 (d, J=6.4Hz), 1H], 8.09-8.03 (m, 2H), 7.66-7.55 (m, 3H), 7.42-7.33 (m, 5H), 4.97-4.78 (m, 1H), 4.53-4.35 (m, 2H), 3.58-3.02 (m, 11H), 2.65-2.50 (m, 2H), 2.06-1.90 (m,

20　1H), 1.83-1.66 (m, 1H), 0.97 (t, J=7.2Hz, 3H); MS: (M^++1) 569.

Example 9

N-Cyanomethyl-2-[2-1,1-difluoro-methoxy)-benzylsulfanylmethyl]-3-

25　benzylsulfanyl-propionamide

(Compound 42):

A mixture of 2-benzylsulfanylmethyl-3-[2-(1,1-difluoromethoxy)-benzyl-sulfanyl]-propionic acid (96 mg, 0.241 mmol)(prepared above in Reference 2), HOBt hydrate (37 mg, 0.24 mmol), aminoacetonitrile hydrochloride (33 mg, 0.36 mmol), EDC (69 mg, 0.36 mmol) and N-methylpyrolidinone (1 mL) was treated with N-methylmorpholine (0.050 mL) and then stirred at room temperature for 3 hours. The reaction mixture was then poured into cold dilute HCl and the product extracted with ethyl acetate, The organic extracts were washed with aqueous sodium bicarbonate then saturated sodium chloride and dried over magnesium sulfate. Evaporation of the solvent then gave N-cyanomethyl-2-[2-1,1-difluoro-methoxy)-benzylsulfanylmethyl]-3-benzylsulfanyl-propionamide (46 mg).

The following compounds of Formula 1 are provided by this method by substitution of 2-benzylsulfanylmethyl-3-[2-(1,1-difluoromethoxy)-benzylsulfanyl]-propionic acid with the appropriate carboxylic acid:

N-Cyanomethyl-3-(2-trifluoromethyl-benzylsulfanyl)-2-(2-trifluoro-methyl-benzylsulfanylmethyl)-propionamide (Compound 43); ^{1}H-NMR (CDCl$_3$) δ: 7.57 (m, 6H); 7.36 (t, J=7.4 Hz, 2H); 6.01 (m, 1H); 4.16 (d, J=5.9 Hz, 2H); 3.86 (s, 4H); 2.70 (m, 4H); 3.35 (m, 1H); MS: (M+) 507.0, M(-) 504.2;

N-Cyanomethyl-3-isobutylsulfanyl-2-isobutylsulfanylmethyl-propionamide (Compound 44); ^{1}H NMR (DMSO): 8.77 (t, J=6 Hz, 1H), 4.5 (d, J=6 Hz, 2H), 2.60 (s, 5H), 2.34 (d, J=7 Hz, 4H), 1.70 (hept, J=7 Hz, 2H), 0.91 (d, J=7Hz, 12H); MS: M(H+) 303.0 (302.15);

N-Cyanomethyl-4-phenylsulfanyl-2-(2-phenylsulfanyl-ethyl)-butyramide (Compound 45); ^{1}H NMR (DMSO): 8.83 (t, J=5Hz, 1H); 7.3 (m, 10H); 4.22 (d, J=6Hz, 2H); 2.90 (m, 4H); 2.65 (m, 1H); 1.85 (m, 2H); 1.72 (m, 2H); MS: M(H+) 370.4

(370.12);

_N_-Cyanomethyl-3-[2-(1,1-difluoro-methoxy)-benzylsulfanyl]-2-[2-(1,1-difluoro-methoxy)-benzylsulfanylmethyl]-propionamide (Compound 46); ^{1}H NMR (DMSO): 8.88 (t, J=5Hz, 1H); 7.4-7.1 (m, 8H); 7.15 (t, J=74Hz, 2H); 4.18 (t,J=3Hz, 2H); 3.74 (d, J=13Hz, 4H); 2.75 (m, 1H); 2.65-2.5 (m, 4H); MS: M(H+) 504.1 (502.1); and

3-Benzylsulfanyl-2-benzylsulfanylmethyl-_N_-cyanomethyl-propionamide (Compound 47); ^{1}H NMR (DMSO): 8.86 (t, J=6Hz, 1H); 7.26 (m, 10H); 4.20 (d, J=5Hz, 2H); 3.7 (s, 4H); 2.73 (m, 1H); 2.55-2.37 (m, 4H); MS: M(H+) 370.4 (370.12).

Example 10

N-Cyanomethyl-2-[2-1,1-difluoro-methoxy)-benzylsulfonylmethyl]-3-benzylsulfonyl-propionamide

(Compound 48)

A solution of N-cyanomethyl-2-[2-(1,1-difluoro-methoxy)-benzyl-sulfanylmethyl]-3-benzylsulfanyl-propionamide (46 mg) in methanol (5 mL) was treated with Oxone® (184 mg in 2.5 mL of water) and stirred at ambient temperature for 18 hours. An additional portion of Oxone® (166mg in 1.5 mL of water) was added along with more methanol (10 mL) and the reaction mixture was stirred again for 18 hours. Water was added to the reaction mixture and the methanol was removed by rotary evaporation and the product was extracted with ethyl acetate. The organic extracts were washed with aqueous sodium bicarbonate then saturated sodium chloride and dried over magnesium sulfate. Evaporation of the solvent then gave N-cyanomethyl-2-[2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl]-3-phenyl-methylsulfonyl-propionamide (67 mg); ^{1}H NMR (DMSO): 9.19 (t, J =5 Hz, 1H), 7.47 (m, 2H), 7.38 (s, 5H), 7.25 (m, 2H), 7.13 (t, J=74 Hz,

1H), 4.54 (s, 2H), 4.53 (d, J=14 Hz, 1H), 4.46 (d, J = 14 Hz, 1H), 4.16 (d, J = 5 Hz, 2H), 3.5 (m, 5H); MS: M(H+) 501.0 (500.09).

The following compounds of Formula 1 are provided by this method by substitution
of N-cyanomethyl-2-[2-(1,1-difluoro-methoxy)-benzyl-sulfanylmethyl]-3-benzylsulfanyl-propionamide with the appropriate N-cyanomethyl propionamide:

N-Cyanomethyl-3-(2-trifluoromethyl-benzylsulfonyl)-2-(2-trifluoromethyl-benzylsulfonylmethyl)-propionamide.(Compound 49); ^{1}H-NMR (DMSO) δ: 9.23 (t, J=5.4 Hz, 1H); 7.79 (m, 2H); 7.67 (m, 6H); 4.72 (m, 4H); 4.18 (t, J=2.7 Hz, 2H); 3.53-3.76 (m, 5H); MS: M(+) 539.0; M(-) 536.6;

4-Benzenesulfonyl-2-(2-benzenesulfonyl-ethyl)-*N*-cyanomethyl-butyramide (Compound 50); ^{1}H NMR (DMSO): 8.67 (t, J=5Hz, 1H); 7.85 (m, 4H); 7.73 (m, 2H); 7.64 (m, 4H); 4.06 (m, 2H); 3.12 (m, 4H); 2.4 (m, 1H); 1.66 (m, 4H); MS: M(H+) 435.2 (434.10);

N-Cyanomethyl-3-[2-(1,1-difluoro-methoxy)-benzylsulfonyl]-2-[2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl]-propionamide (Compound 51); ^{1}H NMR (DMSO): 9.17 (t, J=5Hz, 1H); 7.5-7.4 (m, 4H); 7.3-7.2 (m, 4H); 7.12 (t, J=74Hz, 2H); 4.54 (s, 4H); 4.15 (m, 2H); 3.6-3.4 (m, 5H); MS: M(H+) 567.2 (566.08); and

N-Cyanomethyl-3-benzylsulfonyl-2-benzylsulfonylmethyl-propionamide (Compound 52); ^{1}H NMR (DMSO): 9.19 (t, J=5Hz, 1H); 7.38 (s, 10H); 4.53 (d, J=14Hz, 2H); 4.46 (d, J=14Hz, 2H); 4.17 (t, J=3Hz, 2H); 3.5-3.3 (m, 5H); MS: M(H+) 435.2 (434.1).

The following compounds of Formula I are provided by the methods described in this application:

N-[(S)-1-(1-Benzylcarbamoyl-methanoyl)-propyl]-3-benzylsulfonyl-2-benzylsulfonylmethyl-propionamide (Compound 53); ^{1}H-NMR (DMSO) δ: 9.27 (t, J=6Hz, 1H); 8.89 (d, J=6 Hz, 1H); 7.4-7.2 (m, 15H); 5 (m, 1H); 4.5 (m, 4H); 4.3 (m, 2H); 3.67 (m, 1H); 3.5-3.2 (m, 4H), 1.8 (m, 1H)1.6 (m, 1H); 0.91 (t, J=7Hz, 3H); MS: (M+) 599.0, M(-) 598.18;

N-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-butyl]-2-[2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl]-3-benzylsulfonyl-propionamide (Compound 54); ^{1}H-NMR (DMSO) δ: 9.1 (t, J=6Hz, 1H); 7.99 (d, J=8Hz, 1H); 7.88 (d, J=8Hz, 1H); 7.7-7.2 (m, 14H); 5.35 (m, 1H); 4.6-4.4 (m, 5H); 3.7-3.3 (m, 5H); 1.9 (m, 1H), 1.7 (m, 1H)1.45 (m, 2H); 0.90 (t, J=7Hz, 3H); MS: (M+) 599.0, M(-) 598.18;

N-Cyanomethyl-3-(2-methyl-propane-1-sulfonyl)-2-(2-methyl-propane-1-sulfonylmethyl)-propionamide (Compound 55); ^{1}H-NMR (DMSO) δ: 9.13 (t, J=5Hz, 1H); 4.14 (m, 2H); 3.5-3.3 (m, 5H), 3.1-2.95 (m, 4H), 2.17 (h, J=7Hz, 2H)1.01 (d, J=7Hz, 12H); MS: (M+) 367.0, 366.13;

Acetic acid (2S,3S)-3-(4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butanoylamino)-4-oxo-azetidin-2-yl ester (Compound 58); ^{1}H NMR: (DMSO) 9.19 (d, J=5.9Hz, 1H),, 8.94 (d, J=7.6Hz), 8.90 (d, J=7.6Hz), 1H], 7.42-7.35 (m, 5H), 5.70 (m, 1H), 4.60 (m, 1H), 4.56-4.40 (m, 2H), 3.58-3.06 (m, 11H), 2.70-2.50 (m, 2H), 2.07 (s, 3H); MS: (M$^+$+1) 482;

N-Cyanomethyl-3-(2-methyl-thiazol-4-ylmethylsulfonyl)-2-benzyl-sulfonylmethyl-propionamide (Compound 59); ^{1}H NMR (DMSO): 9.14 (t, J=5 Hz, 1H), 7.52 (s, 1H), 7.38 (s, 5H), 4.64 (s, 2H), 4.53 (d, J=14 Hz, 1H), 4.46 (d, J = 14 Hz, 1H), 4.16 (d, J = 5 Hz, 2H), 3.5 (m, 5H), 2.63 (s, 3H); M=455.06, M(H+)=456.0;

N-(3-Benzenesulfonylamino-2-oxo-propyl)-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide (Compound 60); ^{1}H NMR: (DMSO) 8.46 (t, J=5.2Hz, 1H), 7.97 (t, J=5.7Hz, 1H), 7.79 (d, J=7Hz, 2H), 7.66-7.52 (m, 3H), 7.44-7.36 (m, 5H), 4.56-4.43 (m, 2H), 3.94 (d, J=5.2Hz, 2H), 3.84 (d, J=5.7Hz, 2H), 3.59-3.04 (m, 11H), 2.75-2.55 (m, 2H); MS: (M$^+$+1) 566;

3-Biphenyl-3-yl-*N*-cyanomethyl-2-[2-(1,1-difluoro-methoxy)-benzyl-sulfonylmethyl]-propionamide (Compound 61); ^{1}H NMR: (DMSO) 8.86 (t, J = 5.4 Hz, 1H), 7.70-7.10 (m, 13H), 7.12 (t, J = 73.7 Hz, 1H), 4.46 (s, 2H), 4.13 (m, 2H), 4.10 (d, J = 5.6Hz, 2H), 3.57 (m, 1H), 3.20-3.00 (m, 2H), 3.00-2.80 (m, 2H); MS: (M$^+$+1) 499;

(3'-{2-(Cyanomethyl-carbamoyl)-3-[2-(1,1-difluoro-methoxy)-benzyl-sulfonyl]-propyl}-biphenyl-4-yl)-carbamic acid ethyl ester (Compound 62); ^{1}H NMR: (DMSO) 9.70 (s, 1H), 8.84 (t, J = 5.4 Hz, 1H), 7.55 (s, 4H), 7.50-7.15 (m, 8H), 7.11 (t, J = 73.7 Hz, 1H),

4.45 (s, 2H), 4.13 (m, 2H), 4.09 (d, J = 5.5Hz, 2H), 3.56 (m, 1H), 3.20-3.00 (m, 2H), 2.95-2.75 (m, 2H), 1.24 (t, J = 6.9 Hz, 3H); MS: (M⁺+1) 586;

N-Cyanomethyl-2-[2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl]-3-(4'-methylsulfonylamino-biphenyl-3-yl)-propionamide (Compound 63); ¹H NMR: (DMSO) 9.77 (s, 1H), 8.79 (t, J = 5.4 Hz, 1H), 7.57 (d, J=8.6, 2H), 7.50-7.00 (m, 8H), 7.27 (d, J=8.6 Hz, 2H), 7.06 (t, J = 73 Hz, 1H), 4.40 (s, 2H), 4.04 (d, J = 5.6Hz, 2H), 3.51 (m, 1H), 3.20-3.00 (m, 2H), 2.90-2.70 (m, 2H); MS: (M⁺+1) 592;

3-(3-Bromo-phenyl)-*N*-cyanomethyl-2-[2-(1,1-difluoro-methoxy)-phenyl-methylsulfonylmethyl]-propionamide (Compound 64); ¹H NMR: (DMSO) 8.80 (t, J = 5.4 Hz, 1H), 7.50-7.35 (m, 4H), 7.35-7.15 (m, 4H), 7.13 (t, J = 73 Hz, 1H), 4.46 (s, 2H), 4.06 (d, J = 5.4Hz, 2H), 3.53 (m, 1H), 3.20-3.00 (m, 2H), 2.90-2.70 (m, 2H); MS: (M⁺+1) 501;

N-Cyanomethyl-2-((E)-3-phenyl-allyl)-3-benzylsulfonyl-propionamide (Compound 65); ¹H NMR: (DMSO) 8.85 (t, J = 5.4 Hz, 1H), 7.40-7.10 (m, 10H), 6.35 (d, J=15 Hz, 1H), 6.15-5.95 (m, 1H), 4.41 (s, 2H), 4.08 (d, J = 5.4Hz, 2H), 3.56-3.35 (m, 2H), 3.25-2.90 (m, 3H); MS: (M⁺+1) 383; and

N-Cyanomethyl-3-benzylsulfonyl-2-(3-phenyl-propyl)-propionamide (Compound 66); ¹H NMR: (DMSO) 8.91 (t, J = 5.4 Hz, 1H), 7.45-7.10 (m, 10H), 4.41 (s, 2H), 4.08 (d, J = 5.4Hz, 2H), 3.30-2.80 (m, 3H), 2.34 (t, J = 7.4 Hz, 2H), 2.22-2.12 (m, 2H), 2.10-1.85 (m, 2H); MS: (M⁺+1) 385.

EXAMPLE 11

4-Morpholin-4-yl-4-oxo-*N*-[1-(2-oxo-2-phenyl-acetyl)-pentyl]-2-benzylsulfonylmethyl-butyramide
(Compound 67)

2-Amino-1-(2-phenyl-[1,3]dithian-2-yl)-hexan-1-ol, prepared as in reference 12, was coupled with 4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyric acid, according

5 to the procedure outlined in example 8, resulting in N-{1-[Hydroxy-(2-phenyl-[1,3]dithian-2-yl)-methyl]-pentyl}-4-morpholin-4-yl-4-oxo-2-benzylsulfonyl-methyl-butyramide as a mixture of diastereomers.

N-{1-[Hydroxy-(2-phenyl-[1,3]dithian-2-yl)-methyl]-pentyl}-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide (0.23 g, 0.35 mmol) in 9mL acetonitrile and

10 2.25mL water at 23°C was mixed with finely ground HgCl$_2$ (212 mg, 0.78 mmol) and finely ground calcium carbonate (90 mg, 0.89 mmol). The mixture was stirred for 25 minutes and then diluted with ethyl acetate. Water was added and the pH lowered to 6 by the addition of 1N HCl. After separation, the organic layer was washed sequentially with water and brine (twice). The organics were dried with magnesium sulfate, concentrated

15 and chromatographed on silica gel using a hexane-ethyl acetate gradient to afford 150 mg of N-[1-(1-Hydroxy-2-oxo-2-phenyl-ethyl)-pentyl]-4-morpholin-4-yl-4-oxo-2-phenyl-methylsulfonylmethyl-butyramide as a mixture of diastereomers (76% yield).

N-[1-(1-Hydroxy-2-oxo-2-phenyl-ethyl)-pentyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide was oxidized by methods described in the above

20 examples resulting in 4-morpholin-4-yl-4-oxo-N-[1-(oxo-phenyl-acetyl)-pentyl]-2-benzylsulfonylmethyl-butyramide as a mixture of diastereomers; [1]HNMR: (DMSO), 8.9 (d, J = 6 Hz), 1/2H diastereomeric], 8.86 (d, J = 6 Hz), 1/2H diastereomeric], 7.89-7.84 (m, 2H), 7.7-7.67 (m, 1H), 7.56-7.5 (m, 2H), 7.4-7.3 (m, 5H), 4.56-4.54 (m, 1H), 4.41-4.35 (m, 2H), 3.4-4.6 (m, 4H), 3.35-3.25 (m, 4H), 3.2-3.1 (m, 2H), 2.99 –2.95 (m, 1H), 1.9-1.6

25 (m, 2H), 1.5-1.2 (m, 6H), 1.0-0.9 (m, 3H); MS: (M$^+$ + 1) 557.

EXAMPLE 12

3-(4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyrylamino)-4-oxo-

5

pyrrolidine-1-carboxylic acid tert-butyl ester

(Compound 68)

4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyric acid (120mg, 0.34mmol),

10   3-amino-4-hydroxy-pyrrolidine-1-carboxylic acid tert-butyl ester (150mg, 0.74mmol),

prepared as in reference 13, EDC (0.3g, 1.6mmol), and HOBt (150mg, 0.96mmol) were

combined. Dichloromethyl (10mL) was added and then 4-methylmorpholine (0.5mL).

The mixture was stirred at ambient temperature for 2hours. After dilution with ethyl

acetate (200mL) the solution was washed with 1N aqueous HCl (50mL), saturated aqueous

15   NaHCO$_3$ (50mL) and brine (50mL), dried with MgSO$_4$ and evaporated under vacuum. The

crude   3-hydroxy-4-(4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyrylamino)-

pyrrolidine-1-carboxylic acid tert-butyl ester was dissolved in DMSO (5mL).

Triethylamine (0.5mL) and then SO$_3$ pyridine complex (150mg) were added and the

mixture was stirred at ambient temperature for 3 hours. After dilution with ethyl acetate

20   (100mL), the solution was washed with water (50mL) and brine, dried with MgSO$_4$ and

evaporated under vacuum. The residue was purified by flash chromatography on silica gel.

Eluent: 5% methanol in ethyl acetate. Yield: 40mg 3-(4-morpholin-4-yl-4-oxo-2-

benzylsulfonylmethyl-butyrylamino)-4-oxo-pyrrolidine-1-carboxylic acid tert-butyl ester

as white solid as mixture of diastereomers; [1]H NMR: (DMSO) 8.80-8.66 (m, 1H), 7.42-

7.34 (m, 5H), 4.52-4.41 (m, 2H), 4.34-4.20 (m, 1H), 3.98-3.88 (m, 1H), 3.82 (d, J=18.5Hz, 1H), 3.70-3.05 (m, 13H), 2.70-2.52 (m, 2H), 1.41 (s, 9H); MS: (M+H)$^+$ 538.

EXAMPLE 13

4-(4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyrylamino)-3-oxo-azepane-1-carboxylic acid benzyl ester

(Compound 69)

Sodium hydride (60% in mineral oil, 10g, 250mmol) was suspended in dry DMF. Allyl-carbamic acid benzyl ester (19.1g, 100mmol) was added drop wise at ambient temperature. After stirring for 5 minutes, 5-bromo-1-pentene (25g, 168mmol) was added drop wise. Stirring was continued at 50°C for 1 hour. The reaction was quenched with water and then partitioned between diethyl ether and water. The ether layer was washed with water and brine, dried with MgSO$_4$ and evaporated under vacuum. Flash chromatography (ethyl acetate/hexane 1:9) gave 15.5g allyl-pent-4-enyl-carbamic acid benzyl ester.

Allyl-pent-4-enyl-carbamic acid benzyl ester (15.5g, 59.8mmol) was dissolved in dichloromethyl and bis(tricyclohexylphosphine)benzylidene ruthenium(IV) dichloride (1g) was added. The mixture was refluxed under a nitrogen atmosphere until TLC analysis showed complete reaction. The solvent was evaporated under vacuum and the residue was purified by flash chromatography (ethyl acetate/hexane 1:9). Yield: 7.8g 2,3,4,7-Tetrahydro-azepine-1-carboxylic acid benzyl ester.

To a solution of 2,3,4,7-tetrahydro-azepine-1-carboxylic acid benzyl ester (4.5g, 19.45mmol) in dichloromethyl (50mL) was added m-chloroperbenzoic acid (60mmol).

The mixture was stirred at ambient temperature for 16 hours. Saturated aqueous K_2CO_3 solution was added and the mixture was extracted with dichloromethyl. The combined organic layers were washed with saturated aqueous $NaHCO_3$ and brine, dried with $MgSO_4$ and evaporated under vacuum. The crude epoxide was dissolved in a 8:1 methanol/water

5   mixture (100mL). Ammonium chloride (3.2g, 60mmol) and sodium azide (3.9g, 60mmol) was added and the mixture was heated at 60°C for 48 hours. Most of the solvent was removed under vacuum. The residue was extracted with ethyl acetate. The combined organic layers were washed with saturated aqueous $NaHCO_3$ (200mL) and brine (200mL), dried with $MgSO_4$ and evaporated under vacuum. Flash chromatography of the residue

10   (hexane/ethyl acetate 3:1) gave 3.3g of 4-azido-3-hydroxy-azepane-1-carboxylic acid benzyl ester.

To a solution of 4-azido-3-hydroxy-azepane-1-carboxylic acid benzyl ester (3.3g, 11.37mmol) in methanol (50mL) was added triethylamine (5mL) and 1,3-propanedithiol (3.42mL, 35mmol). The mixture was stirred at ambient temperature until TLC analysis

15   showed complete consumption of the starting material. A white precipitate was removed by filtration and the filtrate was evaporated to dryness. The residue was triturated with a 1:1 hexane/diethyl ether mixture to remove excess dithiol and dried under vacuum.

The crude 4-amino-3-hydroxy-azepane-1-carboxylic acid benzyl ester was coupled to 4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyric acid and oxidized, as described

20   above, to yield 4-(4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyrylamino)-3-oxo-azepane-1-carboxylic acid benzyl ester; ^1H NMR: (DMSO) 8.46-8.42 (m, 1H), 7.44-7.24 (m, 10H), 5.18-5.04 (m, 2H), 4.52-4.33 (m, 4H), 4.04-3.76 (m, 2H), 3.58-3.30 (m, 11H), 3.11-3.03 (m, 1H), 2.96-2.78 (m, 1H), 2.72-2.57 (m, 1H), 1.84-1.55 (m, 4H); MS: $(M+H)^+$ 600.

25

EXAMPLE 14

N-(1,1-Dimethyl-2-oxazolo[4,5-b]pyridin-2-yl-2-oxo-ethyl)-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide

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(Compound 70)

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To a stirred mixture of 4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyric acid (142mg, 0.4mmol), 2-amino-2-methyl-1-oxazolo[4,5-b]pyridin-2-yl-propan-1-one TFA salt (165mg), prepared as in reference 14, and HOBt (73mg, 0.45mmol) in MeCl₂

10   (5ml) was added EDC (115mg, 0.6mmol) and N-methylmorpholine (0.25ml) at room temperature. After stirring for 14 hours, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with saturated NaHCO₃, brine, dried with MgSO₄ and concentrated. The residue was purified by silica gel column chromatography to yield 92 mg of *N*-{1-[(5-Ethyl-[1,3,4]oxadiazol-2-yl)-hydroxy-methyl]-butyl}-4-morpholin-4-yl-

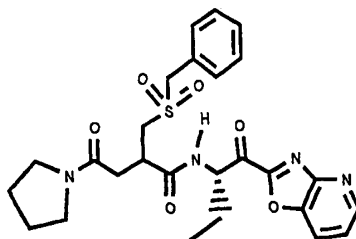15   4-oxo-2-benzylsulfonylmethyl-butyramide.

This amide was treated with Dess-Martin periodinane (125.6mg, 0.254mmol) at room temperature. After stirring for 1 hour, 5ml of saturated Na₂S₂O₃-NaHCO₃ were added. After a further 0.5 hours, the reaction mixture was extracted with ethyl acetate, washed with brine, dried with MgSO₄ and concentrated. The residue was purified with

20   silica gel column chromatography to yield 31 mg of *N*-(1,1-dimethyl-2-oxazolo[4,5-b]pyridin-2-yl-2-oxo-ethyl)-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide; H¹ NMR(DMSO-d): 9.36(1H, s, NH), 8.68(1H, d, J=4.7Hz), 8.34(1H, d, J=8.42Hz), 7.62(1H, dd, J=4.7Hz, J=8.42Hz), 7.4-7.4(5H, m), 4.41-4.3(2H, s), 3.5-3(12H, m), 2.2-2.1(1H, m), 1.6(3H, s), 1.51(3H, s); MS: 541.4(M-1), 543.4(M+1).

25

EXAMPLE 15

N-[1-(5-Ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide

(Compound 71)

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639

To a stirred mixture of 4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-
butyric acid (177.7mg, 0.5mmol), 2-amino-1-(5-ethyl-1,3,4-oxadiazole-2-yl)-1-pentanol

5   HCl salt (117.5mg), and HOBt (91.8mg, 0.6mmol) in MeCl₂ (5ml), was added EDC
(144mg, 0.75mmol) and N-methylmorpholine (0.3ml) at room temperature. After stirring
for 14 hours, the reaction mixture was extracted with ethyl acetate. The organic layer was
washed with saturated NaHCO₃, brine, dried with MgSO₄ and concentrated to yield 240
mg of crude product (MS: 536(M-1), 538.4(M+1)). Without further purification, the crude

10   product was treated with Dess-Martin periodinane (334mg, 0.67mmol) at room
temperature in 5mL of MeCl₂. After stirring for 1 hour, 5mls of saturated Na₂S₂O₃-
NaHCO₃ were added. After a further 0.5 hours, the reaction mixture was extracted with
ethyl acetate, washed with brine, dried with MgSO₄ and concentrated. The residue was
purified with silica gel column chromatography to yield 110 mg of _N-[1-(5-ethyl-_

15   _[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-_
butyramide; H¹ NMR(DMSO-d): 8.84(1/2H, d, NH, diastereomeric), 8.78(1/1H, d, NH,
diastereomeric), 7.45-7.2(5H, m), 5.05-4.9(1H, m), 4.48-4.3(2H,m), 3.6-3.4(4H, m), 3.4-
3.2(4H, m), 3.1-2.4(6H, m), 1.9-1.75(1H, m), 1.7-1.55(2H, m), 1.25-1.2(2H, m), 1.2-
1.1(3H, m), 0.9-0.8(3H, m); MS: 534M-1), 535.8(M+1).

20

EXAMPLE 16

N-[1-(5-Ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-oxo-2-benzylsulfonyl-methyl-4-
piperidin-1-yl-butyramide

25   (Compound 72) ˙

To a stirred mixture 4-oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-butyric acid (176.5mg, 0.5mmol), 2-amino-1-(5-ethyl-1,3,4-oxadiazole-2-yl)-1-pentanol HCl salt (117.5mg), and HOBt (91.8mg, 0.6mmol) in MeCl₂ (5ml), was added EDC (144mg,

5   0.75mmol) and N-methylmorpholine (0.3ml) at room temperature. After stirring for 14 hours, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with saturated NaHCO₃, brine, dried with MgSO₄ and concentrated to yield 270mg of crude product; MS: 534.1(M-1), 535.7(M+1).

The amide was then treated with Dess-Martin periodinane (378.7mg, 0.675mmol)

10   at room temperature in 5 ml of MeCl₂. After stirring for 1 hour, 5ml of saturated Na₂S₂O₃-NaHCO₃ were added. After a further 0.5 hours, the reaction mixture was extracted with ethyl acetate, washed with brine, dried with MgSO₄ and concentrated. The residue was purified with silica gel column chromatography to yield 165 mg of _N-[1-(5-Ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-oxo-2-benzyl-sulfonyl-methyl-4-piperidin-1-yl-_

15   _butyramide_; H¹ NMR (DMSO-d): 8.85(1/2H, d, NH, diastereomeric), 8.78(1/2, d, NH, diastereomeric), 7.4-7.2(5H, m), 5.1-4.9(1H, m), 4.5-4.3(2H,m), 3.5-3.2(8H, m), 3.1-2.6(1H, m), 2.9(2H, m), 1.9-1.6(2H, m), 1.6-1.2(8H, m), 1.24(3H, m), 0.9-0.8(3H, m); MS: 531.6(M-1), 533.4(M+1).

20

EXAMPLE 17

N-[1-(5-Ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-oxo-2-benzylsulfonyl-methyl-4-
pyrrolidin-1-yl-butyramide

(Compound 73)

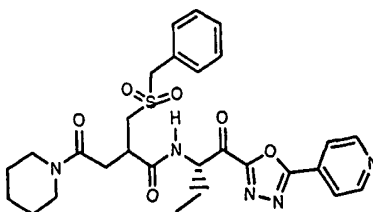To a stirred mixture 4-cyclopentyl-4-oxo-2-benzylsulfonylmethyl-butyric acid
(169.5mg, 0.5mmol), 2-amino-1-(5-ethyl-1,3,4-oxadiazole-2-yl)-1-pentanol HCl salt
5 (117.5mg), and HOBt (91.8mg, 0.6mmol) in MeCl₂ (5ml), was added EDC (144mg,
0.75mmol) and N-methylmorpholine (0.3ml) at room temperature. After stirring for 14
hours, the reaction mixture was extracted with ethyl acetate. The organic layer was washed
with saturated NaHCO₃, brine, dried with MgSO₄ and concentrated to yield 240 mg of
crude product. The crude product was treated with Dess-Martin periodinane (343mg,
10 0.693mmol) at room temperature in 5mls of MeCl₂. After stirring for 1 hour, 5mls of
saturated Na₂S₂O₃-NaHCO₃ were added. After a further 0.5 hours, the reaction mixture
was extracted with ethyl acetate, washed with brine, dried with MgSO₄ and concentrated.
The residue was purified with silica gel column chromatography to yield 145 mg of
_N_-[1-(5-Ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-oxo-2-benzylsulfonyl-methyl-4-
15 pyrrolidin-1-yl-butyramide; H¹ NMR(DMSO-d): 8.85(1/2H, d, NH, diastereomeric),
8.78(1/2H, d, NH, diastereomeric), 7.5-7.3(5H, m), 5.1-4.95(1H, m), 4.5-4.3(2H,m), 3.5-
3.2(8H, m), 3.2-3(1H, m), 2.82(2H, m), 2-1.8(6H, m), 1.6-1.3(2H, m), 1.24(3H, m), 0.9-
0.8(3H, m); MS: 518.2(M-1), 519.7(M+1).

20

EXAMPLE 18

_N_-[1-(5-Methoxymethyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-morpholin-4-yl-4-
oxo-2-benzylsulfonylmethyl-butyramide

(Compound 74)

To a stirred mixture of 4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyric acid (230mg, 0.65mmol), 2-amino-1-(5-methoxymethyl-[1,3,4]oxadiazol-2-yl)-butan-1-one TFA salt (204mg), prepared as in reference 15, and HOBt (119mg, 0.78mmol) in $MeCl_2$ (5ml), was added EDC (187mg, 0.98mmol) and N-methylmorpholine (0.35ml) at room temperature. After stirring for 14 hours, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with saturated $NaHCO_3$, brine, dried with $MgSO_4$ and concentrated to yield 82mg of N-{1-[Hydroxy-(5-methoxymethyl-[1,3,4]oxadiazol-2-yl)-methyl]-propyl}-4-morpholin-4-yl-4-oxo-2-benzylsulfonyl-methyl-butyramide; MS: 537.6(M-1), 539.8(M+1).

This amide then was treated with Dess-Martin periodinane (111mg, 0.149mmol) at room temperature. After stirring for 1 hour, 5mls of saturated $Na_2S_2O_3$-$NaHCO_3$ was added. After a further 0.5 hours, the reaction mixture was extracted with ethyl acetate, washed with brine, dried with $MgSO_4$ and concentrated. The residue was purified with silica gel column chromatography to yield 13mgs of N-[1-(5-Methoxymethyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonyl-methyl-butyramide; H^1 NMR(CDCl_3): 7.8, 7.5(1H, d,d NH, diastereomeric), 7.4-7.2(5H, m), 5.3-5.1(1H, m), 4.6(2H, s, OCH_2), 4.3-4.1(3H, m), 3.8-3.1(13H, m), 3-2.4(2H, m), 2.2-1.5(2H, m), 0.95(3H, t); MS: 535.7(M-1), 537.5(M+1).

EXAMPLE 19

N-[1-(5-Methoxymethyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-butyramide

(Compound 75)

To a stirred mixture of 4-oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-butyric acid (229mg, 0.65mmol), 2-amino-1-(5-methoxymethyl-1,3,4-oxadiazole-2-yl)-1-propanol TFA salt (204mg), prepared as in reference 15, and HOBt (119mg, 0.78mmol) in MeCl₂ (5ml), was added EDC (187mg, 0.98mmol) and N-methylmorpholine (0.35ml) at room temperature. After stirring for 14 hours, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with saturated NaHCO₃, brine, dried with MgSO₄ and concentrated to yield 130 mg of *N*-{1-[hydroxy-(5-methoxymethyl-[1,3,4]oxadiazol-2-yl)-methyl]-propyl}-4-oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-butyramide;　MS: 535.4(M-1), 537.7(M+1).
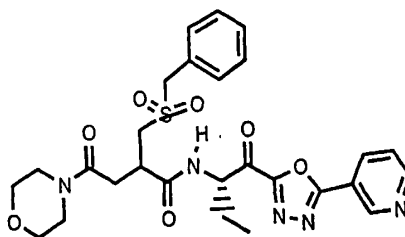
The amide then was treated with Dess-Martin periodinane (180mg, 0.364mmol) at room temperature. After stirring for 1 hour, 5mls of saturated Na₂S₂O₃-NaHCO₃ were added. After a further 0.5 hours, the reaction mixture was extracted with ethyl acetate, washed with brine, dried with MgSO₄ and concentrated. The residue was purified with silica gel column chromatography to yield 26mgs of *N*-[1-(5-Methoxymethyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-butyramide; H¹ NMR(CDCl₃):　8, 7.7(1H, d,d, NH, diastereomeric), 7.4-7.2(5H, m), 5.3-5.1(1H, m), 4.6(2H, s, OCH₂), 4.3-4.1(3H, m), 3.8-3.2(9H, m), 3-2.4(2H, m), 2.2-1.4(8H, m), 0.95(3H, t);　MS: 535.7(M+1).

EXAMPLE 20

N-[1-(5-Methoxymethyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonylmethyl-4-pyrrolidin-1-yl-butyramide

(Compound 76)

To a stirred mixture of 4-oxo-2-benzylsulfonylmethyl-4-pyrrolidin-1-yl-butyric acid (220mg, 0.65mmol), 2-amino-1-(5-methoxymethyl-1,3,4-oxadiazole-2-yl)-1-propanol TFA salt (204mg), prepared as in reference 15, and HOBt (119mg, 0.78mmol) in MeCl₂ (5ml), was added EDC (187mg, 0.98mmol) and *N*-methylmorpholine (0.35ml) at room temperature. After stirring for 14 hours, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with saturated NaHCO₃, brine, dried with MgSO₄ and concentrated to yield 84 mg of *N*-{1-[Hydroxy-(5-methoxymethyl-[1,3,4]oxadiazol-2-yl)-methyl]-propyl}-4-oxo-2-benzylsulfonylmethyl-4-pyrrolidin-1-yl-butyramide. Without further purification, the crude product was used for next reaction; MS: 521.6(M-1), 523.2(M+1).
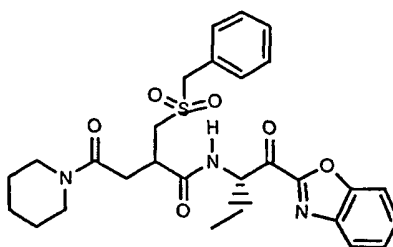
This amide was treated with Dess-Martin periodinane (114mg, 0.153mmol) at room temperature. After stirring for 1 hour, 5mls of saturated Na₂S₂O₃-NaHCO₃ were added. After a further 0.5 hours, the reaction mixture was extracted with ethyl acetate, washed with brine, dried with MgSO₄ and concentrated. The residue was purified with silica gel column chromatography to yield 17 mg of *N*-[1-(5-Methoxymethyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonylmethyl-4-pyrrolidin-1-yl-butyramide; H¹ NMR(CDCl₃): 8.2, 8(1H, d,d, NH, diastereomeric), 7.6-7.2(5H, m), 5.3-5.1(1H, m), 4.6(2H, s, OCH₂), 4.3-4.1(3H, m), 3.8-3.2(9H, m), 3-2.4(2H, m), 2.2-1.4(6H, m), 0.95(3H, t); MS:519.6(M-1), 521.6(M+1).

EXAMPLE 21

4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-*N*-[1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-butyramide

(Compound 77)

To a stirred mixture of 4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyric

5   acid (177mg, 0.5mmol), 2-amino-1-(5-phenyl-[1,3,4]oxadiazol-2-yl)-1-butanol TFA salt

(175mg), prepared as in reference 16, and HOBt (92mg, 0.6mmol) in MeCl$_2$ (5ml), was

added EDC (144mg, 0.75mmol) and N-methylmorpholine (0.35ml) at room temperature.

After stirring for 14 hours, the reaction mixture was extracted with ethyl acetate. The

organic layer was washed with saturated NaHCO$_3$, brine, dried with MgSO$_4$ and

10   concentrated to yield 308 mg of N-{1-[Hydroxy-(5-phenyl-[1,3,4]oxadiazol-2-yl)-methyl]-

propyl}-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide. Without further

purification, the crude product was used for next reaction; MS: 569.6(M-1), 571.4(M+1).
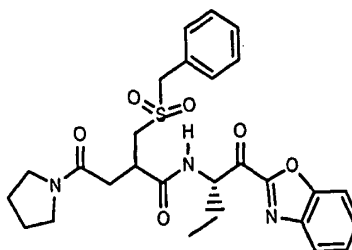
This amide was treated with Dess-Martin periodinane (371mg, 0.75mmol) at room

temperature. After stirring for 1 hour, 5mls of saturated Na$_2$S$_2$O$_3$-NaHCO$_3$ were added.

15   After a further 0.5 hours, the reaction mixture was extracted with ethyl acetate, washed

with brine, dried with MgSO$_4$ and concentrated. The residue was purified with silica gel

column   chromatography   to   yield   224   mg   of   4-morpholin-4-yl-4-oxo-2-

benzylsulfonylmethyl-N-[1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-butyramide;

H^1 NMR(DMSO-d): 8.9, 8.84 (1H, d, d, NH, diastereomeric), 8.1-8(2H, m), 7.7-7.6(3H,

20   m), 7.4-7.3(5H, m),5.1-4.9(1H, m), 4.5-4.3(2H, m), 3.6-3.3(11H, m), 3.12-3(1H, m), 2.65-

2.5(1H, m) , 2-1.9(1H, m), 1.8-1.7(1H, m), 0.96(3H, t); MS: 567.6(M-1), 569.4(M+1).

EXAMPLE 22

25   4-Oxo-2-benzylsulfonylmethyl-N-[1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-

<u>4-piperidin-1-yl-butyramide</u>

(Compound 78)

To a stirred mixture of 4-oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-butyric acid

5   (177mg, 0.5mmol), 2-amino-1-(5-phenyl-[1,3,4]oxadiazol-2-yl)-1-butanol TFA salt

(175mg), prepared as in reference 16, and HOBt (92mg, 0.6mmol) in MeCl$_2$ (5ml), was

added EDC (144mg, 0.75mmol) and N-methylmorpholine (0.35ml) at room temperature.

After stirring for 14 hours, the reaction mixture was extracted with ethyl acetate. The

organic layer was washed with saturated NaHCO$_3$, brine, dried with MgSO$_4$ and

10   concentrated to yield 284 mg of N-{1-[Hydroxy-(5-phenyl-[1,3,4]oxadiazol-2-yl)-methyl]-

propyl}-4-oxo-2-henylmethylsulfonylmethyl-4-piperidin-1-yl-butyramide. Without further

purification, the crude product was used for next reaction; MS: 567.6(M-1), 569.6(M+1).

This amide was treated with Dess-Martin periodinane (371mg, 0.75mmol) at room

temperature. After stirring for 1 hour, 5mls of saturated Na$_2$S$_2$O$_3$-NaHCO$_3$ were added.

15   After a further 0.5 hours, the reaction mixture was extracted with ethyl acetate, washed

with brine, dried with MgSO$_4$ and concentrated. The residue was purified with silica gel

column chromatography to yield 237 mg of <u>4-oxo-2-benzylsulfonylmethyl-N-[1-(5-phenyl-</u>

<u>[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-piperidin-1-yl-butyramide</u>; H^1 NMR (DMSO-d):

8.9, 8.84 (1H, d, d, NH, diastereomeric), 8.1-8(2H, m), 7.7-7.6(3H, m), 7.4-7.3(5H,

20   m),5.1-4.9(1H, m), 4.5-4.3(2H, m), 3.4-3.1(7H, m), 3.12-3(1H, m), 2.65-2.5(1H, m), 2-

1.9(1H, m), 1.8-1.7(1H, m), 1.6-1.2(6H, m), 0.96(3H, t); MS: 565.4(M-1), 567.6(M+1).

EXAMPLE 23

25   <u>4-Oxo-2-benzylsulfonylmethyl-N-[1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-</u>

propyl]-4-pyrrolidin-1-yl-butyramide

(Compound 79)

5   To a stirred mixture of 4-Oxo-2-benzylsulfonylmethyl-4-pyrrolidin-1-yl-butyric

acid (170mg, 0.5mmol), 2-amino-1-(5-phenyl-[1,3,4]oxadiazol-2-yl)-1-butanol TFA salt

(175mg) , prepared as above, and HOBt (92mg, 0.6mmol) in MeCl₂ (5ml), was added EDC

(144mg, 0.75mmol) and N-methylmorpholine (0.35ml) at room temperature. After stirring

for 14 hours, the reaction mixture was extracted with ethyl acetate. The organic layer was

10   washed with saturated NaHCO₃, brine, dried with MgSO₄ and concentrated to yield 280

mg   of   N-{1-[Hydroxy-(5-phenyl-[1,3,4]oxadiazol-2-yl)-methyl]-propyl}-4-oxo-2-

henylmethylsulfonylmethyl-4-pyrrolidin-1-yl-butyramide. Without further purification, the

crude product was used for next reaction; MS: 553.6(M-1), 555.4(M+1).

This amide was treated with Dess-Martin periodinane (371mg, 0.75mmol) at room

15   temperature. After stirring for 1 hour, 5mls of saturated Na₂S₂O₃-NaHCO₃ were added.

After a further 0.5 hours, the reaction mixture was extracted with ethyl acetate, washed

with brine, dried with MgSO₄ and concentrated. The residue was purified with silica gel

column chromatography to yield 200mg of 4-oxo-2-benzylsulfonylmethyl-N-[1-(5-phenyl-

[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-pyrrolidin-1-yl-butyramide; H¹ NMR (DMSO-d):

20   8.9, 8.84 (1H, d, d, NH, diastereomeric), 8.1-8(2H, m), 7.7-7.6(3H, m), 7.4-7.3(5H,

m),5.1-4.9(1H, m), 4.5-4.3(2H, m), 3.4-3.1(7H, m), 3.12-3(1H, m), 2.65-2.5(1H, m) , 2.1-

1.6(6H, m), 0.96(3H, t); MS: 551.6(M-1), 553.6(M+1).

25   EXAMPLE 24

4-Morpholin-4-yl-*N*-[1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propyl]-4-oxo-2-
benzylsulfonylmethyl-butyramide

(Compound 80)

5

　　　To a stirred mixture of 4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyric
acid (177mg, 0.5mmol), 2-amino-1-(5-phenyl-[1,3,4]oxadiazol-2-yl)-1-butanol TFA salt
(175mg), prepared as in reference 17, and HOBt (92mg, 0.6mmol) in MeCl$_2$ (5ml), was
added EDC (144mg, 0.75mmol) and *N*-methylmorpholine (0.35ml) at room temperature.

10　After stirring for 14 hours, the reaction mixture was extracted with ethyl acetate. The
organic layer was washed with saturated NaHCO$_3$, brine, dried with MgSO$_4$ and
concentrated to yield 308 mg of *N*-[1-(Hydroxy-oxazolo[4,5-*b*]pyridin-2-yl-methyl)-
propyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide; MS: 543.6 (M-1),
545.6(M+1)

15　　　This amide was treated with Dess-Martin periodinane (371mg, 0.75mmol) at room
temperature. After stirring for 1 hour, 5mls of saturated Na$_2$S$_2$O$_3$-NaHCO$_3$ were added.
After a further 0.5 hours, the reaction mixture was extracted with ethyl acetate, washed
with brine, dried with MgSO$_4$ and concentrated. The residue was purified with silica gel
column chromatography to yield 224 mg of 4-morpholin-4-yl-*N*-[1-(oxazolo[4,5-

20　b]pyridine-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonylmethyl-butyramide;　H^1 NMR
(DMSO-d): 8.96, 8.85(1H, d,d, NH, diastereomeric), 8.75-8.7(1H, m), 8.42-8.3(1H, m),
7.7-7.6(1H, m), 7.4-7.3(5H, m), 5.15-5.04(1H, m), 4.5-4.3(2H, m), 3.6-3.2(11H, m), 3.15-
3.0(1H, m), 2.7-2.5(1H, m), 2.1-1.9(1H, m), 1.8-1.7(1H, m), 0.98(3H, t); MS: 541.2(M-1),
543.2(M+1).

25

EXAMPLE 25

N-[1-(Oxazolo[4,5-b]pyridine-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonyl-methyl-4-

piperidin-1-yl-butyramide

(Compound 81)

5

To a stirred mixture of 4-oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-butyric acid

(177mg, 0.5mmol), 2-amino-1-(5-phenyl-[1,3,4]oxadiazol-2-yl)-1-butanol TFA salt

(175mg), prepared as in reference 17, and HOBt (92mg, 0.6mmol) in MeCl₂ (5ml), was

10   added EDC (144mg, 0.75mmol) and N-methylmorpholine (0.35ml) at room temperature.

After stirring for 14 hours, the reaction mixture was extracted with ethyl acetate. The

organic layer was washed with saturated NaHCO₃, brine, dried with MgSO₄ and

concentrated to yield 284 mg of *N*-[1-(hydroxy-oxazolo[4,5-*b*]pyridin-2-yl-methyl)-

propyl]-4-oxo-2-henylmethylsulfonylmethyl-4-piperidin-1-yl-butyramide; MS: 541.6 (M-

15   1), 543.4(M+1).

This amide was treated with Dess-Martin periodinane (371mg, 0.75mmol) at room

temperature. After stirring for 1 hour, 5mls of saturated Na₂S₂O₃-NaHCO₃ were added.

After a further 0.5 hours, the reaction mixture was extracted with ethyl acetate, washed

with brine, dried with MgSO₄ and concentrated. The residue was purified with silica gel

20   column chromatography to yield 237 mg of *N*-[1-(Oxazolo[4,5-b]pyridine-2-carbonyl)-

propyl]-4-oxo-2-benzylsulfonyl-methyl-4-piperidin-1-yl-butyramide; H¹ NMR DMSO-d):

8.93, 8.83(1H, d,d, NH, diastereomeric), 8.75-8.72(1H, m), 8.4-8.37(1H, m), 7.7-7.6(1H,

m), 7.4-7.3(5H, m), 5.15-5(1H, m), 4.5-4.3(2H, m), 3.45-3.2(9H, m), 3.1-3(1H, m), 2.67-

2.5(1H, m), 2.1-1.9(1H, m), 1.84-1.7(1H, m), 1.6-1.5(2H, m), 1.5-1.3(4H, m), 0.98(3H, t);

25   MS: 539.4(M-1), 541.2(M+1).

EXAMPLE 26

N-[1-(Oxazolo[4,5-b]pyridine-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonyl-methyl-4-

pyrrolidin-1-yl-butyramide

(Compound 82)

To a stirred mixture of 4-oxo-2-benzylsulfonylmethyl-4-pyrrolidin-1-yl-butyric acid (170mg, 0.5mmol), 2-amino-1-(5-phenyl-[1,3,4]oxadiazol-2-yl)-1-butanol TFA salt (175mg), prepared as in reference 17, and HOBt (92mg, 0.6mmol) in MeCl₂ (5ml), was added EDC (144mg, 0.75mmol) and *N*-methylmorpholine (0.35ml) at room temperature. After stirring for 14 hours, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with saturated $NaHCO_3$, brine, dried with $MgSO_4$ and concentrated to yield 280 mg of *N*-[1-(Hydroxy-oxazolo[4,5-*b*]pyridin-2-yl-methyl)-propyl]-4-oxo-2-henylmethylsulfonylmethyl-4-pyrrolidin-1-yl-butyramide. Without further purification, the crude product was used for next reaction; MS: 527.6(M-1), 529.4(M+1).

This amide was treated with Dess-Martin periodinane (371mg, 0.75mmol) at room temperature. After stirring for 1 hour, 5mls of saturated $Na_2S_2O_3$-$NaHCO_3$ were added. After a further 0.5 hours, the reaction mixture was extracted with ethyl acetate, washed with brine, dried with $MgSO_4$ and concentrated. The residue was purified with silica gel column chromatography to yield 200mg of *N*-[1-(Oxazolo[4,5-b]pyridine-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonyl-methyl-4-pyrrolidin-1-yl-butyramide; H^1 NMR (DMSO-d): 8.96, 8.87(1H, d,d, NH, diastereomeric), 8.75-8.72(1H, m), 8.45-8.3(1H, m), 7.7-7.6(1H, m), 7.45-7.3(5H, m), 5.2-5(1H, m), 4.5-4.3(2H, m), 3.5-3.15(7H, m), 3.15-3(1H, m), 2.55-2.4(1H, m), 2.1-1.95(1H, m), 1.9-1.6(5H, m), 0.98(3H, t); MS: 525.2(M-1), 526.8(M+1).

EXAMPLE 27

4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-*N*-[1-(5-pyridin-4-yl-

5   [1,3,4]oxadiazole-2-carbonyl)-propyl]-butyramide

(Compound 83)

To a stirred mixture of 4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyric

10   acid (106.5mg, 0.3mmol), 2-Amino-1-(5-pyridin-4-yl-[1,3,4]oxadiazol-2-yl)-butan-1-ol

TFA salt (105mg), prepared as in reference 18, and HOBt (55mg, 0.36mmol) in MeCl$_2$

(5ml), was added EDC (86.4mg, 0.45mmol) and N-methylmorpholine (0.25ml) at room

temperature. After stirring for 14 hours, the reaction mixture was extracted with ethyl

acetate. The organic layer was washed with saturated NaHCO$_3$, brine, dried with MgSO$_4$

15   and concentrated, yield 176 mg of *N*-{1-[hydroxy-(5-pyridin-4-yl-[1,3,4]oxadiazol-2-yl)-

methyl]-propyl}-4-oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-butyramide.   MS:

568.4(M-1), 570(M+1)

This amide was treated with Dess-Martin periodinane (222.7mg, 0.45mmol) at

room temperature. After stirring for 1 hour, 5mls of saturated Na$_2$S$_2$O$_3$-NaHCO$_3$ were

20   added. After a further 0.5 hours, the reaction mixture was extracted with ethyl acetate,

washed with brine, dried with MgSO$_4$ and concentrated. The residue was purified with

silica gel column chromatography to yield 84mg of 4-morpholin-4-yl-4-oxo-2-

benzylsulfonylmethyl-*N*-[1-(5-pyridin-4-yl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-

butyramide; H^1 NMR(DMSO-d): 8.95-8.85(3H, m), 8.1-8(2H, m), 7.44-7.3(5H, m), 5-

25   4.9(1H, m), 4.5-4.3(2H, m), 3.4-3.(8H, m), 2.7-2.5(1H, m), 2.05-1.9(1H, m), 1.8-1.6(1H,

m), 1.6-1.2(6H, m), 0.98(3H, t); MS: 566.6(M-1), 568.6(M+1).

EXAMPLE 28

4-Oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-N-[1-(5-pyridin-4-yl-[1,3,4]oxadiazole-

2-carbonyl)-propyl]-butyramide

(Compound 84)

To a stirred mixture of 4-oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-butyric acid (105.9mg, 0.3mmol), 2-amino-1-(5-pyridin-4-yl-[1,3,4]oxadiazol-2-yl)-butan-1-ol TFA salt (105mg), prepared as in reference 18, and HOBt (55mg, 0.36mmol) in MeCl$_2$ (5ml), was added EDC (86.4mg, 0.45mmol) and N-methylmorpholine (0.25ml) at room temperature. After stirring for 14 hours, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with saturated NaHCO$_3$, brine, dried with MgSO$_4$ and concentrated to yield 176mg of N-{1-[Hydroxy-(5-pyridin-4-yl-[1,3,4]oxadiazol-2-yl)-methyl]-propyl}-4-morpholin-4-yl-4-oxo-2-benzylsulfonyl-methyl-butyramide; MS: 570.2(M-1), 572(M+1).

This amide was treated with Dess-Martin periodinane (222.7mg, 0.45mmol) at room temperature. After stirring for 1 hour, 5mls of saturated Na$_2$S$_2$O$_3$-NaHCO$_3$ were added. After a further 0.5 hours, the reaction mixture was extracted with ethyl acetate, washed with brine, dried with MgSO$_4$ and concentrated. The residue was purified with silica gel column chromatography to yield 78 mg of 4-oxo-2-benzylsulfonyl-methyl-4-piperidin-1-yl-N-[1-(5-pyridin-4-yl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-butyramide; H^1 NMR(DMSO-d): 9.0-8.85(3H, m), 8.1-8(2H, m), 7.44-7.3(5H, m), 5-4.9(1H, m), 4.5-4.3(2H, m), 3.6-3.2(11H, m), 3.15-3.05(1H, m), 2.7-2.5(1H, m), 2.05-1.9(1H, m), 1.8-1.7(1H, m), 0.96(3H, t); MS: 568.6(M-1), 570.6(M+1).

EXAMPLE 29

4-Oxo-2-benzylsulfonylmethyl-*N*-[1-(5-pyridin-4-yl-[1,3,4]oxadiazole-2-carbonyl)-

propyl]-4-pyrrolidin-1-yl-butyramide

(Compound 85)

5

To a stirred mixture of 4-oxo-2-benzylsulfonylmethyl-4-pyrrolidin-1-yl-butyric acid

(102mg, 0.3mmol), 2-amino-1-(5-pyridin-4-yl-[1,3,4]oxadiazol-2-yl)-butan-1-ol TFA salt

(105mg), prepared as in reference 18, and HOBt (55mg, 0.36mmol) in MeCl$_2$ (5ml), was

10   added EDC (86.4mg, 0.45mmol) and *N*-methylmorpholine (0.25ml) at room temperature.

After stirring for 14 hours, the reaction mixture was extracted with ethyl acetate. The

organic layer was washed with saturated NaHCO$_3$, brine, dried with MgSO$_4$ and

concentrated to yield 210 mg of *N*-{1-[Hydroxy-(5-pyridin-4-yl-[1,3,4]oxadiazol-2-yl)-

methyl]-propyl}-4-oxo-2-benzylsulfonylmethyl-4-pyrrolidin-1-yl-butyramide.   MS:

15   554.2(M-1), 555.8(M+1).

This amide was treated with Dess-Martin periodinane (222.7mg, 0.45mmol) at room

temperature. After stirring for 1 hour, 5mls of saturated Na$_2$S$_2$O$_3$-NaHCO$_3$ were added.

After a further 0.5 hours, the reaction mixture was extracted with ethyl acetate, washed

with brine, dried with MgSO$_4$ and concentrated. The residue was purified with silica gel

20   column chromatography to yield 102 mg of 4-Oxo-2-benzylsulfonylmethyl-*N*-[1-(5-

pyridin-4-yl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-pyrrolidin-1-yl-butyramide; H^1 NMR

(DMSO-d): 9.0-8.85(3H, m), 8.1-8(2H, m), 7.44-7.3(5H, m), 5.05-4.9(1H, m), 4.55-

4.35(2H, m), 3.4-3.(8H, m), 2.6-2.4(1H, m), 2.05-1.9(1H, m), 1.9-1.6(5H, m), 0.96(3H, t);

MS: 552.6(M-1), 554.6(M+1).

25

EXAMPLE 30

4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-*N*-[1-(5-pyridin-3-yl-
[1,3,4]oxadiazole-2-carbonyl)-propyl]-butyramide

(Compound 86)

5

To a stirred mixture of 4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyric
acid (177.7mg, 0.5mmol), 2-amino-1-(5-pyridin-3-yl-[1,3,4]oxadiazol-2-yl)-butan-1-ol
TFA salt (180mg), prepared as in reference 19, and HOBt (92mg, 0.6mmol) in MeCl₂

10   (5ml), was added EDC (144mg, 0.75mmol) and *N*-methylmorpholine (0.25ml) at room
temperature. After stirring for 14 hours, the reaction mixture was extracted with ethyl
acetate. The organic layer was washed with saturated NaHCO₃, brine, dried with MgSO₄
and concentrated, yield 210 mg of *N*-{1-[Hydroxy-(5-pyridin-3-yl-[1,3,4]oxadiazol-2-yl)-
methyl]-propyl}-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide. Without

15   further purification, the crude product was used for next reaction; MS: 570.4(M-1),
572.4(M+1).

This amide was treated with Dess-Martin periodinane (277mg, 0.56mmol) at room
temperature. After stirring for 1 hour, 5mls of saturated Na₂S₂O₃-NaHCO₃ were added.
After a further 0.5 hours, the reaction mixture was extracted with ethyl acetate, washed

20   with brine, dried with MgSO₄ and concentrated. The residue was purified with silica gel
column chromatography to yield 110 mg of 4-morpholin-4-yl-4-oxo-2-
benzylsulfonylmethyl-*N*-[1-(5-pyridin-3-yl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-
butyramide; H¹ NMR(DMSO-d: 9.23(1H, s), 8.94, 8.88(1H, d,d, NH, diastereomeric),
8.87-8.8(1H, m), 8.46-8.4(1H, m), 7.7-7.6(1H, m), 7.4-7.25(5H, m), 5.05-4.9(1H, m), 4.55-

25   4.3(2H, m), 3.6-3.15(11H, m), 3.14-3(1H, m), 2.7-2.5(1H, m), 2.05-1.9(1H, m), 1.8-
1.65(1H, m), 0.98(3H, t); MS: 568.5(M-1), 570.3(M+1).

EXAMPLE 31

N-[1-(Benzooxazole-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-butyramide

. (Compound 87)

To a stirred mixture of 4-oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-butyric acid (141mg, 0.4mmol), 2-amino-1-benzooxazol-2-yl-butan-1-ol TFA salt. (129mg), prepared as in reference 20, and HOBt (74mg, 0.48mmol) in MeCl₂ (5ml), was added EDC (115mg, 0.6mmol) and N-methylmorpholine (0.25ml) at room temperature. After stirring for 14 hours, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with saturated NaHCO₃, brine, dried with MgSO₄ and concentrated to yield 157mg of N-[1-(Benzooxazol-2-yl-hydroxy-methyl)-propyl]-4-oxo-2-enylmethylsulfonylmethyl-4-piperidin-1-yl-butyramide. Without further purification, the crude product was used for next reaction; MS: 540.4(M-1), 542.6(M+1).

This amide was treated with Dess-Martin periodinane (215.3mg, 0.435mmol) at room temperature. After stirring for 1 hour, 5mls of saturated Na₂S₂O₃-NaHCO₃ were added. After a further 0.5 hours, the reaction mixture was extracted with ethyl acetate, washed with brine, dried with MgSO₄ and concentrated. The residue was purified with silica gel column chromatography to yield 103.3 mg of N-[1-(Benzooxazole-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-butyramide; H¹ NMR(DMSO-d): 8.84, 8.76(1H, d,d, J=5.6Hz, J=6.4Hz, NH, diastereomeric), 8.02-7.96(1H, m), 7.92-7.86(1H, m), 7.68-7.62(1H, m), 7.58-7.52(1H, m), 7.44-7.32(5H, m), 5.24-5.12(1H, m), 4.52-4.38(2H, m), 3.5-3.22(7H, m), 3.12-3.02(1H, m), 2.64-2.52(1H, m), 2.04-1.94(1H, m),

1.8-1.68(1H, m), 1.6-1.48(2H, m), 1.48-1.32(4H, m), 0.98(3H, t, J=7.6Hz); MS: 540.4(M+1).

5 EXAMPLE 32

_N_-[1-(Benzooxazole-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonylmethyl-4-pyrrolidin-1-yl-butyramide

(Compound 88)

10

To a stirred mixture of 4-oxo-2-benzylsulfonylmethyl-4-pyrrolidin-1-yl-butyric acid (135.6mg, 0.4mmol), 2-amino-1-benzooxazol-2-yl-butan-1-ol TFA salt (129mg), prepared as in reference 20, and HOBt (73.4mg, 0.48mmol) in MeCl₂ (5ml), was added EDC (115.2mg, 0.6mmol) and _N_-methylmorpholine (0.25ml) at room temperature. After

15 stirring for 14 hours, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with saturated NaHCO₃, brine, dried with MgSO₄ and concentrated to yield 260 mg of _N_-[1-(Benzooxazol-2-yl-hydroxy-methyl)-propyl]-4-oxo-2-henylmethylsulfonylmethyl-4-pyrrolidin-1-yl-butyramide. Without further purification, the crude product was used for next reaction; MS: 526.6(M-1), 528.6(M+1).

20 This amide was treated with Dess-Martin periodinane (215mg, 0.435mmol) at room temperature. After stirring for 1 hour, 5mls of saturated Na₂S₂O₃-NaHCO₃ were added. After a further 0.5 hours, the reaction mixture was extracted with ethyl acetate, washed with brine, dried with MgSO₄ and concentrated. The residue was purified with silica gel column chromatography to yield 199mg of _N_-[1-(Benzooxazole-2-carbonyl)-propyl]-4-

25 oxo-2-benzylsulfonylmethyl-4-pyrrolidin-1-yl-butyramide; H¹ NMR(DMSO-d): 8.87, 8.79(1H, d,d, NH, J=6Hz, J=6.4Hz, diastereomeric), 8.04-7.96(1H, m), 7.92-7.86(1H, m),

7.68-7.62(1H, m), 7.58-7.5(1H, m), 7.44-7.32(5H, m), 5.25-5.14(1H, m), 4.52-4.38(2H, m), 3.5-3.04(7H, m), 3.03-3.01(1H, m), 2.52-2.4(1H, m), 2.05-1.9(1H, m), 1.9-1.65(5H, m), 0.98(3H, m); MS: 526.3(M+1).

5

EXAMPLE 33

N-[1-(Benzooxazole-2-carbonyl)-propyl]-2-cyclohexylmethyl-4-morpholin-4-yl-4-oxo-butyramide

(Compound 89)

10

To a stirred mixture of 2-cyclohexylmethyl-4-morpholin-4-yl-4-oxo-butyric acid (84.9mg, 0.3mmol), 2-amino-1-benzooxazol-2-yl-butan-1-ol TFA salt(96.9mg), prepared as in reference 21, and HOBt (55.1mg, 0.36mmol) in MeCl$_2$ (5ml), was added EDC

15   (86.4mg, 0.45mmol) and *N*-methylmorpholine (0.25ml) at room temperature. After stirring for 14 hours, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with saturated NaHCO$_3$, brine, dried with MgSO$_4$ and concentrated to yield 150 mg of *N*-[1-(benzooxazol-2-yl-hydroxy-methyl)-propyl]-2-cyclohexylmethyl-4-morpholin-4-yl-4-oxo-butyramide; MS: 470.5(M-1), 472.4(M+1).

20   This amide was treated with Dess-Martin periodinane (237.6mg, 0.48mmol) at room temperature. After stirring for 1 hour, 5mls of saturated Na$_2$S$_2$O$_3$-NaHCO$_3$ were added. After a further 0.5 hours, the reaction mixture was extracted with ethyl acetate, washed with brine, dried with MgSO$_4$ and concentrated. The residue was purified with silica gel column chromatography to yield 76 mg of *N*-[1-(benzooxazole-2-carbonyl)-

25   propyl]-2-cyclohexylmethyl-4-morpholin-4-yl-4-oxo-butyramide; H^1 NMR(DMSO-d): 8.49(1H, d, J=5.2Hz, NH), 7.96(1H, d, J=7.6Hz), 7.86(1H, d, J=8.4), 7.6(1H, m), 7.5(1H,

m), 5.14-5.04(1H, m), 3.6-3.25(8H, m), 2.9-2.75(1H, m), 2.5-2.4(1H, m), 2.25-2.15(1H, m), 2-1.8(1H, m), 1.8-1.7(2H, m), 1.7-1.6(1H, m), 1.6-1.4(5H, m), 1.35-1.2(1H, m), 1.2-1(4 H, m), 0.96(3H, t); MS: 468.6(M-1), 470.5(M+1), 492.3(M+Na).

5

EXAMPLE 34

2-Cyclohexylmethyl-4-morpholin-4-yl-*N*-[1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propyl]-4-oxo-butyramide

(Compound 90)

10

To a stirred mixture of 2-cyclohexylmethyl-4-morpholin-4-yl-4-oxo-butyric acid (84.9mg, 0.3mmol), 2-amino-1-(5-phenyl-[1,3,4]oxadiazol-2-yl)-1-butanol TFA salt

15 (97.5mg), prepared as in reference 21, and HOBt (55.1mg, 0.36mmol) in MeCl₂ (5ml), was added EDC (86.4mg, 0.45mmol) and *N*-methylmorpholine (0.25ml) at room temperature. After stirring for 14 hours, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with saturated NaHCO₃, brine, dried with MgSO₄ and concentrated to yield 153mg of 2-cyclohexylmethyl-*N*-[1-(hydroxy-oxazolo[4,5-*b*]pyridin-

20 2-yl-methyl)-propyl]-4-morpholin-4-yl-4-oxo-butyramide; MS: 471.6(M-1), 473.3(M+1).

This amide was treated with Dess-Martin periodinane (237.6mg, 0.48mmol) at room temperature. After stirring for 1 hour, 5mls of saturated Na₂S₂O₃-NaHCO₃ were added. After a further 0.5 hours, the reaction mixture was extracted with ethyl acetate, washed with brine, dried with MgSO₄ and concentrated. The residue was purified with

25 silica gel column chromatography to yield 95 mg of 2-cyclohexylmethyl-4-morpholin-4-yl-*N*-[1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propyl]-4-oxo-butyramide; H¹ NMR(DMSO-d): 8.72-8.68(1H, m), 8.6(1H, d, J=5.2Hz, NH), 8.4-8.34(1H, m), 7.68-7.59(1H, m), 5.2-

4.96(1H, m), 3.5-3.45(8H, m), 2.58(1H, m), 2.5-2.4(1H, m), 2.45-2.15(1H, m), 2.05-1.9(1H, m), 1.85-1.65(2H, m), 1.6-1.4(5H, m), 1.3-1.2(1H, m), 1.25-1(4H, m), 0.97(3H, t); MS: 469.6(M-1), 471.4(M+1), 493.2(M+Na).

5

EXAMPLE 35

2-Cyclohexylmethyl-N-[1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-morpholin-4-yl-4-oxo-butyramide

(Compound 91)

10

To a stirred mixture of 2-cyclohexylmethyl-4-morpholin-4-yl-4-oxo-butyric acid (84.9mg, 0.3mmol), 2-amino-1-(5-ethyl-1,3,4-oxadiazole-2-yl)-1-pentanol HCl salt (70.5mg), prepared as in reference 21, and HOBt (55.1mg, 0.36mmol) in MeCl$_2$ (5ml), was

15   added EDC (86.4mg, 0.45mmol) and N-methylmorpholine (0.25ml) at room temperature. After stirring for 14 hours, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with saturated NaHCO$_3$, brine, dried with MgSO$_4$ and concentrated to yield 142 mg of 2-cyclohexylmethyl-N-{1-[(5-ethyl-[1,3,4]oxadiazol-2-yl)-hydroxy-methyl]-butyl}-4-morpholin-4-yl-4-oxo-butyramide;   MS: 463.5(M-1),

20   465.3(M+1).

This amide was treated with Dess-Martin periodinane (239mg, 0.48mmol) at room temperature. After stirring for 1 hour, 5mls of saturated Na$_2$S$_2$O$_3$-NaHCO$_3$ were added. After a further 0.5 hours, the reaction mixture was extracted with ethyl acetate, washed with brine, dried with MgSO$_4$ and concentrated. The residue was purified with silica gel

25   column   chromatography   to   yield   65   mg   of   2-cyclohexylmethyl-N-[1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-morpholin-4-yl-4-oxo-butyramide;   H^1 NMR

(DMSO-d): 8.6, 8.51(1H, dd, J=6.8Hz, J=5.6Hz, NH, diastereomeric), 4.98(-4.88(1H, m),

3.6-3.25(8H, m), 3-2.9(2H, q, J=7.6Hz), 2.9-2.75(1H, m), 2.5-2.4(1H, m), 2.3-2.1(1H, m),

1.9-1.7(2H, m), 1.7-1.4(7H, m), 1.4-1.2(2H, m), 1.28(3H, t), 1.2-1(6H, m), 0.88(3H, t);

MS: 461.4(M-1), 463.4(M+1), 485.4(M+Na).

5

EXAMPLE 36

_N_-(2-Benzooxazol-2-yl-1-methoxymethyl-2-oxo-ethyl)-2-(2-difluoromethoxy-

benzylsulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyramide

10   (Compound 92)

To a stirred mixture of 2-(2-difluoromethoxy-benzylsulfonylmethyl)-4-morpholin-

4-yl-4-oxo-butyric acid (210.5mg, 0.5mmol), 2-amino-1-benzooxazol-2-yl-3-methoxy-

15   propan-1-ol (112.5mg), and HOBt (91.8mg, 0.6mmol) in MeCl$_2$ (5ml), was added EDC

(144mg, 0.75mmol) and N-methylmorpholine (0.35ml) at room temperature. After stirring

for 14 hours, the reaction mixture was extracted with ethyl acetate. The organic layer was

washed with saturated NaHCO$_3$, brine, dried with MgSO$_4$ and concentrated to yield 301mg

of   _N_-(2-benzooxazol-2-yl-2-hydroxy-1-methoxymethyl-ethyl)-2-(2-difluoromethoxy-

20   benzylsulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyramide;   MS: 624.5(M-1),

626.3(M+1).

This amide(150mg, 0.24mmol) was treated with Dess-Martin periodinane (178mg,

0.36mmol) at room temperature. After stirring for 1 hour, 5ml of saturated Na$_2$S$_2$O$_3$-

NaHCO$_3$ were added. After a further 0.5 hours, the reaction mixture was extracted with

25   ethyl acetate, washed with brine, dried with MgSO$_4$ and concentrated. The residue was

purified with silica gel column chromatography to yield 39 mg of _N_-(2-Benzooxazol-2-yl-

1-methoxymethyl-2-oxo-ethyl)-2-(2-difluoromethoxy-benzylsulfonylmethyl)-4-morpholin-

4-yl-4-oxo-butyramide; H^1 NMR(DMSO-d): 8.97, 8.8(1H, dd, J=5.6Hz, J=5.6Hz, NH,

diastereomeric), 8.02-7.94(1H, m), 7.9-7.84(1H, m), 7.66-7.58(1H, m), 7.55-7.38(3H, m),

7.3-7.18(2H, m), 7.1(1H, t, J=73.6Hz), 5.54-5.42(1H, m), 4.6-4.4(4H, m), 3.92-3.84(1H,

5   m), 3.82-3.72(1H, m), 3.68-3.1(11H, m), 2.7-2.56(1H, m), 1.7-1.55(1H, m), 1.3-1(1H, m);

MS: 622.4(M-1), 624.3(M+1), 646.3(M+Na).

EXAMPLE 37

10   *N*-[1-(Benzooxazole-2-carbonyl)-propyl]-2-(2-cyclohexyl-ethyl)-4-morpholin-4-yl-4-

oxo-butyramide

(Compound 93)

^{1}H   NMR:

(DMSO) 8.47 (d, J=6Hz,

1H), 7.96 (d, J=8.2Hz,

1H), 7.86 (d, J=8.2Hz, 1H), 7.59 (t, J=8.2Hz, 1H), 7.51 (t, J=8.2Hz, 1H), 5.09-5.03 (m,

20   1H), 3.56-3.27 (m, 8H), 2.72-2.64 (m, 1H), 2.54-2.46 (m, 1H), 2.21 (dd, J=15.8Hz,

J=5.3Hz, 1H), 1.99-1.89 (m, 1H), 1.76-1.65 (m, 1H), 1.60-0.95 (m, 13H), 0.96 (t, J=7Hz,

3H), 0.72-0.60 (m, 2H). MS: (M+H)$^+$ 484.

25   EXAMPLE 38

2-(2-Cyclohexyl-ethyl)-4-morpholin-4-yl-*N*-[1-(oxazolo[4,5-b]pyridine-2-carbonyl)-

propyl]-4-oxo-butyramide

(Compound 94)

662

¹H NMR: (DMSO) 8.71-8.68 (m, 1H), 8.58 (d, J=4.7Hz, 1H), 8.36 (d, J=8.5Hz, 1H), 7.66-7.61 (m, 1H), 5.00-4.93 (m, 1H), 3.56-3.26 (m, 8H), 2.72-2.63 (m, 1H), 2.54-2.44 (m, 1H), 2.20 (dd, J=15.8Hz, J=5.3Hz, 1H), 2.02-1.92 (m, 1H), 1.78-1.67 (m, 1H), 1.60-0.95 (m, 13H), 0.97 (t, J=7Hz, 3H), 0.68-0.57 (m, 2H). MS: (M+H)⁺ 485.

EXAMPLE 39

2-(2-Cyclohexyl-ethyl)-4-morpholin-4-yl-4-oxo-N-[1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-butyramide

(Compound 95)

¹H NMR: (DMSO) 8.54 (d, J=4.7Hz, 1H), 8.10-8.04 (m, 2H), 7.70-7.58 (m, 3H), 4.91-4.85 (m, 1H), 3.55-3.22 (m, 8H), 2.70-2.62 (m, 1H), 2.56-2.45 (m, 1H), 2.22 (dd, J=15.5Hz, J=5Hz, 1H), 1.98-1.88 (m, 1H), 1.77-1.66 (m, 1H), 1.60-0.95 (m, 13H), 0.96 (t, J=7Hz, 3H), 0.75-0.60 (m, 2H). MS: (M+H)⁺ 511.

EXAMPLE 40

2-(2-Difluoromethoxy-benzylsulfonylmethyl)-4-morpholin-4-yl-4-oxo-N-[1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-butyramide

663

(Compound 96)

5   1:1 Mixture of diastereomers. ^{1}H NMR: (DMSO), 8.89 (d, J=5.6Hz), 8.82 (d, J=6Hz) 1H], 8.08-8.03 (m, 2H), 7.70-7.18 (m, 7H),, 7.11 (t, JH,F=74Hz), 7.08 (t, JH,F=74Hz) 1H], 5.01-4.90 (m, 1H), 4.56-4.43 (m, 2H), 3.56-3.13 (m, 10H), 2.68-2.40 (m, 3H), 2.00-1.90 (m, 1H), 1.78-1.68 (m, 1H), 0.96 (t, J=7Hz, 3H). MS: (M+H)$^+$ 635.

10

EXAMPLE 41

2-(2-Difluoromethoxy-benzylsulfonylmethyl)-*N*-[1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-morpholin-4-yl-4-oxo-butyramide

(Compound 97)

15

1:1 Mixture of diastereomers. ^{1}H NMR: (DMSO), 8.82 (d, J=5.5Hz), 8.77 (d, J=5Hz) 1H], 7.51-7.42 (m, 2H), 7.30-7.19 (m, 2H),, 7.11 (t, JH,F=74Hz), 7.10 (t, JH,F=74Hz) 1H], 5.02-4.92 (m, 1H), 4.56-4.43 (m, 2H), 3.58-3.26 (m, 10H); 3.20-3.12 (m,
20   1H), 2.98-2.89 (m, 2H), 2.68-2.44 (m, 2H), 1.86-1.76 (m, 1H), 1.69-1.58 (m, 1H), 1.46-1.20 (m, 5H), 0.88 (t, J=7Hz, 3H). MS: (M+H)$^+$ 601.

EXAMPLE 42

<u>N-[1-(Benzooxazole-2-carbonyl)-propyl]-2-(2-difluoromethoxy-benzyl-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyramide</u>

(Compound 98)

5

1:1 Mixture of diastereomers. ^{1}H NMR: (DMSO), 8.85 (d, J=5.3Hz), 8.76 (d, J=5.3Hz) 1H], 7.97 (t, J=6.5Hz, 1H), 7.89-7.84 (m, 1H), 7.64-7.18 (m, 6H),, 7.12 (t, JH,F=74Hz), 7.10 (t, JH,F=74Hz) 1H], 5.22-5.11 (m, 1H), 4.56-4.42 (m, 2H), 3.58-3.12 (m, 11H), 2.67-2.42 (m, 2H), 2.02-1.92 (m, 1H), 1.78-1.66 (m, 1H), 0.96 (t, J=7Hz, 3H). MS: (M+H)$^+$ 608.

10

15

EXAMPLE 43

<u>2-(2-Morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid, 1-(benzooxazole-2-carbonyl)-propyl]-amide</u>

(Compound 99)

20

2-(2-Morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid (83.7 mg, 0.274 mmol),

665

prepared as in reference 25, and HOBT (62.9 mg, 0.466 mmol) were added to a suspension of PS-bound N-Cyclohexylcarbodiimide (HL 200-400mesh cross linked with 2% DVB) from Novabiochem (322.3 mg, 0.548 mmol, 1.7 mmol/g loading) in methylene chloride (8 ml) and stirred at room temperature for 15 minutes. 2-Amino-1-benzooxazol-2-yl-butan-1-

5   ol (56.5 mg. 0.274 mmol), prepared as in reference 20, was added and the reaction mixture stirred overnight at room temperature. Silicycle trisamine-3 (380.5 mg, 1.37 mmol, 3.6 mmol/g loading) was added and stirred for another 2 hours. The mixture was filtered and the filtrate evaporated under reduced pressure to give 2-(2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid, 1-(benzooxazol-2-yl-hydroxy-methyl)-propyl]-amide as a yellow

10   solid (128 mg).

To a solution of 2-(2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid, 1-(benzooxazol-2-yl-hydroxy-methyl)-propyl]-amide (128 mg, 0.259 mmol) in methylene chloride (5 ml), Dess-Martin Periodinane (0.519 mmol, 220 mg) was added and stirred at room temperature for 90 minutes. The reaction mixture was washed with a solution of

15   $Na_2S_2O_3$ in saturated $NaHCO_3$, dried over $MgSO_4$ and concentrated under reduced pressure. The residue was purified by chromatography, eluting with a mixture of ethyl acetate and heptane, to give 2-(2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid, 1-(benzooxazole-2-carbonyl)-propyl]-amide as a mixture of diastereoisomers (77 mg); [1]H NMR (CDCl₃) 7.90 (d, J=8Hz, 1H), 7.65 (d, J=8.2Hz, 1H), 7.55 (t, J=7.3Hz, 1H), 7.46 (t,

20   J=7.2Hz, 1H), 7.4-7.1 (m, 5H),, 7.0 (d, J=7.4Hz), 6.76 (d, J=7.1Hz), 1H], 5.60 (m, 1H), 3.8-3.4 (m, 8H), 3.1-2.5 (m, 4H), 2.4-2.1 (m, 2H), 2.0-1.6 (m, 4H), 1.5 (m, 1H), 1.1 (m, 3H). MS : 492 (MH⁺).

25   EXAMPLE 44

(R)-2-Cyclohexylmethyl-4-morpholin-4-yl-4-oxo-N-[(S)-1-(5-phenyl-1,2,4-oxadiazole-3-carbonyl)-propyl]-butyramide

(Compound 100)

Similarly prepared according to the general procedure given for Example 43 but using (R)-2-cyclohexylmethyl-4-morpholin-4-yl-4-oxo-butyric acid, prepared as described in reference 21, and (S)-2-amino-1-(5-phenyl-[1,2,4]oxadiazol-3-yl)-butan-1-ol, prepared as in reference 26; MS: 519 (M+Na), LC-MS retention time 4.5 min; ^{1}H NMR (CDCl$_3$) 8.19 (d, J =7Hz, 2H), 7.65-7.51 (m. 3H), 6.64 (d, J=7Hz, 1H), 5.44-5.38 (m, 1H), 3.69-3.38 (m, 8H), 3.05-2.98 (m, 1H), 2.76 (dd, J=16Hz &10Hz, 1H), 2.26 (dd, J=16Hz & 3Hz, 1H), 2.10 (m, 1H), 1.80 (m, 1H), 1.75-1.59 (m, 6H), 1.28-1.13 (m, 5H), 1.03-0.98 (t, J = 7Hz, 3H), 0.92-0.81 (m, 2H).

EXAMPLE 45

2-(2-Morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid, (S)-1-(5-phenyl-
[1,2,4]oxadiazole-3-carbonyl)-propyl]-amide

(Compound 101)

Similarly prepared according to the procedure for Example 43 but using 2-(2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid and (S)-2-amino-1-(5-phenyl-[1,2,4]oxadiazol-3-yl)-butan-1-ol; MS : 541 (M+Na), LCMS retention time 4.44 and 4.53 min; ^{1}H NMR (CDCl$_3$) 8.18 (d, J= 7Hz, 2H), 7.69-7.51 (m, 3H), 7.27-7.10 (m, 5H), 6.99-6.7 (d, J=7Hz, 1H), 5.38 (m, 1H), 3.70-3.36 (m, 8H), 2.99-2.56 (m, 4H), 2.27 (m, 1H), 2.11

(m, 1H), 1.87-1.60 (m, 4H), 1.44 (m, 1H), 1.02-0.97(dt, J=7Hz, 3H).

EXAMPLE 46

5   4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-*N*-[(S)-1-(5-phenyl-1,2,4-oxadiazole-
3-carbonyl)-propyl]-butyramide

(Compound 102)

10   Similarly prepared according to the procedure for Example 43 but using 4-morpholin-4-yl-
4-oxo-2-benzylsulfonylmethyl-butyric acid and (S)-2-amino-1-(5-phenyl-[1,2,4]oxadiazol-
3-yl)-butan-1-ol; MS: 569 (MH$^+$), LCMS retention time 4.1 min; ^{1}H NMR (CDCl$_3$) 8.18
(d, J= 7.9Hz, 2H), 7.74-7.31 (m, 9H), 5.27 (m, 1H), 4.25 (m, 2H), 3.71-3.41 (m, 8H), 2.95
(m, 1H), 2.78-2.70 (m, 2H), 2.10 (m, 1H), 1.85 (m, 1H), 1.0 (m, 3H).

15

EXAMPLE 47

(R)-2-Cyclohexylmethyl-4-morpholin-4-yl-4-oxo-*N*-[(S)-1-(3-phenyl-1,2,4-oxadiazole-
5-carbonyl)-propyl]-butyramide

20   (Compound 103)

Similarly prepared according to the general procedure given for Example 43 above but using (R)-2-cyclohexylmethyl-4-morpholin-4-yl-4-oxo-butyric acid and (S)-2-amino-1-(3-phenyl-[1,2,4]oxadiazol-5-yl)-butan-1-ol; MS: 497 (MH⁺).

5

EXAMPLE 48

4-Morpholin-4-yl-*N*-[1-(oxazole-2-carbonyl)-3-phenyl-propyl]-4-oxo-2-benzylsulfonylmethyl-butyramide

(Compound 104)

10

Compound 104 was synthesized according to the following reaction protocol:

Compound **1** (0.1066g, 0.3mmol) and compound **2** (0.0806g, 0.3mmol) were mixed with EDC (0.0633g, 0.33mmol), HOBT (0.0446g, 0.33mmol) and DIEA (0.2ml, 1.2mmol)

5   in 3 ml of DMF which was stirred at room temperature overnight. The reaction was diluted with ethyl acetate and washed with cold 1N HCl, saturated sodium bicarbonate and brine. The organic layer was dried over magnesium sulfate, filtered and concentrated under reduced pressure. The crude product was purified using a 10g silica gel column eluting with 10% ethyl acetate/n-heptane to 80% ethyl acetate/n-heptane to give 79.4 mg (46%) of

10   product **3**. Compound **3** (73mg, 0.13mmol) was then dissolved in 1 ml of methylene chloride and Dess-Martin periodinane (15% in methylene chloride, 0.7358 g) was added and the reaction was allowed to at room temperature for 3 hours and excess Dess-Martin reagent was consumed by adding sodium thiosulfate in saturated sodium bicarbonate. The product was extracted with ethyl acetate and the organic layer was dried over magnesium

15   sulfate, filtered and concentrated under reduced pressure. The product was purified using a 10g silica gel column eluting with 100% n-heptane to 30% n-heptane/ethyl acetate to yield 32.2 mg (44%) of the final compound **4**; LCMS retention time 3:57 minutes, M+1(568.2).

EXAMPLE 49

N-(1,1-Dimethyl-2-oxazol-2-yl-2-oxo-ethyl)-4-morpholin-4-yl-4-oxo-2-

benzylsulfonylmethyl-butyramide

(Compound 105)

Compound 105 was synthesized according to the following reaction protocol:

Compound **1** (0.1066g, 0.3mmol) and compound **2** (0.0572g, 0.3mmol) were mixed with EDC (0.0633g, 0.33mmol), HOBT (0.0446g, 0.33mmol) and DIEA (0.2ml, 1.2mmol) in 3 ml of DMF which was stirred at room temperature overnight. The reaction was diluted with ethyl acetate and washed with cold 1N HCl, saturated sodium bicarbonate and brine. The organic layer was dried over magnesium sulfate, filtered and concentrated under reduced pressure. The crude product was purified using a 10g silica gel column eluting with 10% ethyl acetate/n-heptane to 80% ethyl acetate/n-heptane to give 15 mg (10%) of final product **3**; LCMS retention time 3:10 minutes, M+1(492.2).

EXAMPLE 50

N-4-Isopropyl-N-1-[1-(oxazole-2-carbonyl)-3-phenyl-propyl]-2-benzylsulfonylmethyl-

671

succinamide

(Compound 106)

5

Compound 106 was synthesized according to the following reaction protocol:

10   To a stirring suspension of N-Cyclohexylcarbodiimide, N'-methyl polystyrene resin

(1.7 mmole/gram, 0.3529g, 0.6 mmol) in 10ml of methylene chloride was added the acid **1**

(98.2 mg, 0.3mmol) and HOBT (69mg, 0.51mmol) which was allowed to stir for 15

minutes at room temperature. Compound **2** (80.6 mg, 0.3mmol) and DIEA (0.1ml, 0.5mmol) were added and the reaction was allowed to stir for 5 hours at room temperature. Then silicycle triamine™ (0.42g, 1.5mmol) was added and the reaction was stirred overnight at room temperature. The reaction was filtered and the solvent was removed under reduced pressure. The crude product **3** was used without further purification. Crude compound **3** was dissolved in methylene chloride and Dess-Martin reagent (15% in methylene chloride, 1.13g, 0.6mmol) was added and the reaction was allowed to stir at room temperature for 3 hours. The excess Dess-Martin reagent was consumed by adding sodium thiosulfate in saturated sodium bicarbonate. The product was extracted with ethyl acetate and washed with brine. The organic layer was dried over magnesium sulfate, filtered and concentrated under reduced pressure. The product was purified using HPLC to yield 15 mg of final compound **4**; LCMS retention time 3:07 minutes, M+1(540.2).

EXAMPLE 51

2-(2-Difluoromethoxy-benzylsulfonylmethyl)-4-morpholin-4-yl-*N*-[1-(oxazole-2-carbonyl)-3-phenyl-propyl]-4-oxo-butyramide

(Compound 107)

Compound 107 was synthesized according to the following reaction protocol:

To a stirring suspension of *N*-Cyclohexylcarbodiimide, *N'*-methyl polystyrene resin (1.7 mmole/gram, 0.2353g, 0.4 mmol) in 10ml of methylene chloride was added the acid **1** (84.3 mg, 0.2mmol) and HOBT (45.9mg, 0.34mmol) which was allowed to stir for 15

5   minutes at room temperature. Compound **2** (53.75 mg, 0.2mmol) and DIEA (0.068ml, 0.4 mmol) were added and the reaction was allowed to stir for 5 hours at room temperature. Then silicycle triamine™ (0.28g, 1.0mmol) was added and the reaction was stirred overnight at room temperature. The reaction was filtered and the solvent was removed under reduced pressure. The crude product **3** was used without further purification. Crude

10   compound **3** was dissolved in methylene chloride and Dess-Martin reagent (15% in methylene chloride, 1.13g, 0.6mmol) was added and the reaction was allowed to stir at room temperature for 3 hours. The excess Dess-Martin reagent was consumed by adding sodium thiosulfate in saturated sodium bicarbonate. The product was extracted with ethyl acetate and washed with brine. The organic layer was dried over magnesium sulfate,

15   filtered and concentrated under reduced pressure. The product was purified using HPLC to yield 6 mg of final compound **4**; LCMS retention time 3:09 minutes, M+1(634.4).

EXAMPLE 52

2-(2-Methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-*N*-[1-(oxazole-2-carbonyl)-3-

phenyl-propyl]-4-oxo-butyramide

(Compound 108)

5

Compound 108 was synthesized according to the following reaction protocol:

10

To a stirring suspension of *N*-Cyclohexylcarbodiimide, *N'*-methyl polystyrene resin

(1.7 mmole/gram, 0.2353g, 0.4 mmol) in 10ml of methylene chloride was added the acid **1**

(64.3 mg, 0.2mmol) and HOBT (45.9mg, 0.34mmol) which was allowed to stir for 15 minutes at room temperature. Compound 2 (53.75 mg, 0.2mmol) and DIEA (0.068ml, 0.4 mmol) were added and the reaction was allowed to stir for 5 hours at room temperature. Then silicycle triamine™ (0.28g, 1.0mmol) was added and the reaction was stirred

5   overnight at room temperature. The reaction was filtered and the solvent was removed under reduced pressure. The crude product 3 was used without further purification. Crude compound 3 was dissolved in methylene chloride and Dess-Martin reagent (15% in methylene chloride, 1.13g, 0.6mmol) was added and the reaction was allowed to stir at room temperature for 3 hours. The excess Dess-Martin reagent was consumed by adding

10   sodium thiosulfate in saturated sodium bicarbonate. The product was extracted with ethyl acetate and washed with brine. The organic layer was dried over magnesium sulfate, filtered and concentrated under reduced pressure. The product was purified using HPLC to yield 25.7 mg of final compound 4; LCMS retention time 2:89 minutes, M+1(534.4).

15

EXAMPLE 53

2-Cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-N-[1-(oxazole-2-carbonyl)-3-
phenyl-propyl]-4-oxo-butyramide

(Compound 109)

20

Compound 109 was synthesized according to the following reaction protocol:

To a stirring suspension of *N*-Cyclohexylcarbodiimide, *N'*-methyl polystyrene resin (1.7 mmole/gram, 0.2353g, 0.4 mmol) in 10ml of methylene chloride was added the acid 1

5 (63.9 mg, 0.2mmol) and HOBT (45.9mg, 0.34mmol) which was allowed to stir for 15 minutes at room temperature. Compound 2 (53.75 mg, 0.2mmol) and DIEA (0.068ml, 0.4 mmol) were added and the reaction was allowed to stir for 5 hours at room temperature. Then silicycle triamine™ (0.28g, 1.0mmol) was added and the reaction was stirred overnight at room temperature. The reaction was filtered and the solvent was removed

10 under reduced pressure. The crude product 3 was used without further purification. Crude compound 3 was dissolved in methylene chloride and Dess-Martin reagent (15% in methylene chloride, 1.13g, 0.6mmol) was added and the reaction was allowed to stir at room temperature for 3 hours. The excess Dess-Martin reagent was consumed by adding sodium thiosulfate in saturated sodium bicarbonate. The product was extracted with ethyl

15 acetate and washed with brine. The organic layer was dried over magnesium sulfate, filtered and concentrated under reduced pressure. The product was purified using HPLC to yield 11.6 mg of final compound 4; LCMS retention time 2:77 minutes, M+1(532.4).

EXAMPLE 54

N-[1-(Benzooxazole-2-carbonyl)-butyl]-2-benzylsulfonyl-3-(tetrahydro-pyran-4-yloxymethyl)-propionamide

(Compound 110)

Diisopropylethylamine (0.184 ml, 1.05 mmol) was added to a mixture of 3-benzylsulfonyl-2-(tetrahydro-pyran-4-yloxymethyl)-propionic acid (362 mg, 1.05 mmol), prepared as in reference 27, and 2-amino-1-benzooxazol-2-yl-pentan-1-ol (238 mg, 1.05 mmol) and HATU (402 mg, 1.05 mmol) in DMF (10 ml) and stirred at room temperature overnight. Solvent was evaporated under reduced pressure, crude extract was taken up in ethyl acetate (30 ml) and washed with 1N HCl, saturated NaHCO₃ and brine. After drying over MgSO₄ the solvent was removed by rotary evaporation and the residue chromatographed on silica eluting with ethyl acetate/heptane mixture to give *N*-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-benzylsulfonylmethyl-3-(tetrahydro-pyran-4-yloxy)-propionamide (Yield: 258 mg); MS: 545 (M+1); LCMS retention time 3.71 and 3.76 minutes.

A solution of *N*-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-benzylsulfonylmethyl-3-(tetrahydro-pyran-4-yloxy)-propionamide (243 mg, 0.45 mmol) methylene chloride (8 ml) was treated with Dess-Martin periodinane (190 mg, 0.45 mmol) at room temperature for 2 hours. Washed with 0.26M solution of Na₂S₂O₃, NaHCO₃ and brine. After drying over MgSO₄ the solvent was removed by rotary evaporation and the residue chromatographed on silica eluting with ethyl acetate/heptane mixture to give *N*-[1-(benzooxazole-2-carbonyl)-butyl]-2-benzylsulfonyl-3-(tetrahydro-pyran-4-yloxymethyl)-propionamide as off white solid (Yield: 60 mg); MS: 543 (M+1); LCMS retention time 4.1

minutes.

EXAMPLE 55

5   *N*-[1-(Benzooxazole-2-carbonyl)-butyl]-3-ethanesulfonyl-2-(tetrahydro-pyran-4-
yloxymethyl)-propionamide

(Compound 111)

10

By following the method for Example 54 but substituting the required carboxylic acid with 3-ethylsulfonyl-2-(tetrahydro-pyran-4-yloxymethyl)-propionic acid, as prepared in reference 27b, *N*-[1-(benzooxazole-2-carbonyl)-butyl]-3-ethanesulfonyl-2-(tetrahydro-pyran-4-yloxymethyl)-propionamide was prepared. MS: 481 (M+1); LCMS retention time

15   3.7 minutes.

EXAMPLE 56

N-(1-Benzenesulfonyl-3-oxo-azepan-4-yl)-2-cyclopropylmethylsulfonylmethyl-4-
morpholin-4-yl-4-oxo-butyramide

20

(Compound 112)

Compound 112 was prepared by the following protocol. The circle symbolizes the polystyrene backbone while the square symbolizes the silicium dioxide backbone:

5

1.16 mol-equivalents of the acid were dissolved in dichloromethyl. *N*-Cyclohexylcarbodiimide, *N'*-methylpolystyrene (2 mol-equivalents) and hydroxybenzotriazole (1.72 mol-equivalents) were added and the resulting reaction mixture stirred for 10 minutes. 4-Amino-3-hydroxy-azepane-1-carboxylic acid tert-butyl ester (1

mol-equivalent) was added and stirring continued for 21 hours. Silicycle-Triamine-3™ was added and the resulting mixture stirred for six hours. The mixture was filtered under ~~suction and the filtrate~~ concentrated under vacuum.

The alcohol was dissolved in dichloromethyl and 2 mol-equivalents of Dess-Martin

5 periodinane were added to the solution. The reaction mixture was stirred for one hour. Equal volumes of saturated sodium thiosulfate solution and sat sodium bicarbonate solution were added and the phases separated. The aqueous phase was extracted three times with dichloromethyl. The combined organic phases were washed with saturated sodium bicarbonate solution and saturated sodium chloride solution. The solution was dried with

10 magnesium sulfate and the solvents evaporated.

The azepanone-1-carboxylic acid tert-butyl ester was dissolved in a dichloromethyl solution (20vol-%) of trifluoroacetic acid. After stirring for one hour dichloromethyl was removed under reduced pressure and trifluoroacetic acid under high vacuum. The solid residue was re-dissolved in dichloromethyl and five mol-equivalent of triethylamine were

15 added. 1.2 mol-equivalent of benzenesulfonyl chloride were added and the reaction mixture stirred for four hours. 12 mol-equivalents of Silicycle Triamine™ were added and stirring continued for two hours. The mixture was filtered under suction and the dichloromethyl evaporated under reduced pressure. The crude product was purified via preparative HPLC yielding _N-(1-benzenesulfonyl-3-oxo-azepan-4-yl)-2-cyclopropylmethylsulfonylmethyl-4-_

20 _morpholin-4-yl-4-oxo-butyramide_ as an off-white solid; LC/MS retention time 2.61 minutes, m/z=570 (M+H).

The following examples were prepared according to methods described in Example

56:

25

2-Cyclopropylmethylsulfonylmethyl-N-{(S)-1-[(R)-hydroxy-(3-phenyl-1,2,4-oxadiazol-
5-yl)-methyl]-propyl}-4-morpholin-4-yl-4-oxo-butyramide

(Compound 122)

Tan solid; LC/MS retention time 3.456 minutes (TIC), m/z=557 (M+Na).

5   N-{(S)-1-[(R)-hydroxy-(3-phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propyl}-2-(2-methyl-
propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyramide

(Compound 123)

Tan solid; LC/MS retention time 3.594 minutes (TIC), m/z=559 (M+Na).

10

2-(2-Morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid {(S)-1-[(R)-hydroxy-(3-
phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propyl}-amide

(Compound 124)

15

Tan solid; LC/MS retention time 3.379 minutes (TIC), m/z=521 (M+H).

2-Cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-4-oxo-N-[(S)-1-(3-phenyl-1,2,4-

oxadiazole-5-carbonyl)-propyl]-butyramide

(Compound 125)

Tan solid; LC/MS retention time 2.976 minutes (TIC), m/z=533 (M+H).

5

2-(2-methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-N-[(S)-1-(3-phenyl-
1,2,4-oxadiazole-5-carbonyl)-propyl]-butyramide

(Compound 126)

10

Tan solid; LC/MS retention time 3.433 minutes (TIC), m/z=535 (M+H).

2-(2-Morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid, (S)-1-(3-phenyl-1,2,4-

15   oxadiazole-5-carbonyl)-propyl}-amide

(Compound 127)

Tan solid; LC/MS retention time 3.762 minutes (TIC), m/z=519 (M+H).

EXAMPLE 57

3-Hydroxy-4-(4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyrylamino)-azepane-

1-carboxylic acid tert-butyl ester

5   (Compound 113)

Tan solid prepared according to example 56; LC/MS retention time 2.985 minutes

10   (TIC), m/z=568 (M+H) and 590 (M+Na).

EXAMPLE 58

4-(2-Cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-4-oxo-butyrylamino)-3-

15   hydroxy-azepane-1-carboxylic acid tert-butyl ester

(Compound 114)

20   Tan solid prepared according example 56; LC/MS retention time 2.786 minutes (TIC),

m/z=532 (M+H) and 554 (M+Na).

EXAMPLE 59

3-Hydroxy-4-[2-(2-methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-
butyrylamino]-azepane-1-carboxylic acid tert-butyl ester

(Compound 115)

Tan solid prepared according example 56; LC/MS retention time 2.903 minutes
(TIC), m/z=534 (M+H).

EXAMPLE 60

4-(4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyrylamino)-3-oxo-azepane-1-
carboxylic acid tert-butyl ester

(Compound 116)

Tan solid prepared according example 56; LC/MS retention time 3.163 minutes
(TIC), m/z=566 (M+H).

5

EXAMPLE 61

4-(2-Cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-4-oxo-butyrylamino)-3-oxo-
azepane-1-carboxylic acid tert-butyl ester

(Compound 117)

10

Tan solid prepared according to example 56; LC/MS retention time 2.965 minutes
(TIC), m/z=530 (M+H).

15

EXAMPLE 62

4-[2-(2-Methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyrylamino]-3-
oxo-azepane-1-carboxylic acid tert-butyl ester

(Compound 118)

20

Tan solid prepared according to example 56; LC/MS retention time 3.083 minutes (TIC), m/z=532 (M+H).

5

EXAMPLE 63

N-(1-Benzenesulfonyl-3-oxo-azepan-4-yl)-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide

(Compound 119)

10

Off-white solid prepared according example 56; LC/MS retention time 2.83 minutes (TIC), m/z=606 (M+H).

15

EXAMPLE 64

N-(1-Benzenesulfonyl-3-oxo-azepan-4-yl)-2-(2-methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyramide

(Compound 120)

20

Off-white solid prepared according example 56; LC/MS retention time 2.72 minutes (TIC), m/z=572 (M+H).

5

EXAMPLE 65

N-[(1S)-1-(Benzooxazol-2-yl-hydroxy-methyl)-3-phenyl-propyl]-2-cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-4-oxo-butyramide

(Compound 121)

10

Compound 121 was prepared according to the following reaction scheme:

688

0.25mmol (1.16 mol-equivalent) of 2-cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-4-oxo-butyric acid was dissolved in 10ml dichloromethyl. 252mg, 0.43mmol N-cyclohexylcarbodiimide, N'-methylpolystyrene (2 mol-equivalents) and

5 50mg, 0.37mmol hydroxybenzotriazole (1.72 mol-equivalents) were added and the resulting reaction mixture stirred for 10 minutes. 61mg, 0.215mmol 2-amino-1-benzooxazol-2-yl-4-phenyl-butan-1-ol (1 mol-equivalents) was added and stirring continued for 21 hours. 510mg, 2.15mmol Silicycle-Triamine-3™ was added and the resulting mixture stirred for 6 hours. The mixture was filtered under suction and the filtrate

10 concentrated under vacuum yielding 83mg, 0.142mmol (66%) of _N-[(1S)-1-(Benzooxazol-2-yl-hydroxy-methyl)-3-phenyl-propyl]-2-cyclopropylmethylsulfonyl-0methyl-4-morpholin-4-yl-4-oxo-butyramide_ as a tan solid; LC/MS retention time 3.256min (TIC), m/z=584 (M+H).

15

EXAMPLE 66

(R)-2-((S)-1-Hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid, 1-(benzoxazole-2-carbonyl)-propyl]-amide

(Compound 128)

20

PyBOP (126 mg, 0.24 mmol), DIPEA (0.096 ml, 0.55mmol) and 2-Amino-1-benzooxazol-2-yl-butan-1-one hydrochloride (53 mg, 0.22 mmol) were added to a solution

25 of (R)-2-((S)-1-Hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid (70.7 mg, 0.22 mmol) in dry methylene chloride (5 ml) and the reaction mixture was stirred overnight at room temperature. The reaction was concentrated under reduced pressure, the residue

dissolved in ethyl acetate and washed with water. Organic extract was dried over $MgSO_4$ and evaporated under reduced pressure. Column chromatography on silica eluting with a mixture of ethyl acetate and heptane gave the title compound as white solid (38 mg); ^1H NMR (CDCl$_3$) δ 1.02 (t, J=7.4Hz, 3H), 1.97-1.62 (m, 5H), 2.21-2.15 (m, 1H), 2.74-2.59

5   (m, 3H), 3.65-3.49 (m, 8H), 4.41 (m, 1H), 4.70 (m, 1H), 5.62 (m, 1H), 6.93 (d, J=7.1Hz) 6.68 (d, J=7.1Hz, 1H), 7.33-7.13 (m, 5H), 7.49 (t, J=8Hz, 1H), 7.57 (t, J=8Hz, 1H), 7.66 (d, J=5.9, 1H), 7.92 (d, J=8Hz, 1H); MS: 508(MH⁺); LC/MS retention time was 3.05 minutes.

10

EXAMPLE 67

(R)-5-(2-Difluoromethoxy-phenyl)-2-((S)-1-hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-pentanoic acid, 1-(benzoxazole-2-carbonyl)-propyl]-amide

(Compound 129)

15

Similarly prepared according to the procedure in Example 66 but using (R)-5-(2-difluoromethoxy-phenyl)-2-((S)-1-hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-pentanoic acid

20   as the acidic component; ^1H NMR (CDCl$_3$) δ 1.06 (t, J=7.5Hz, 3H), 1.97-1.63 (m, 5H), 2.23-2.14 (m, 1H), 2.79-2.68 (m, 3H), 3.75-3.50 (m, 8H), 4.42 (m, 1H), 4.81-4.62 (m, 1H), 5.61 (m, 1H), 6.53 (t, J=74Hz, 1H), 6.73 (d, J=7.1Hz), 6.98 (d, J=7.1Hz, 1H), 7.24-7.06 (m, 4H), 7.59-7.49 (m, 2H), 7.69-7.64 (m, 1H), 7.91 (d, J=7.9Hz, 1H); MS: 574(MH⁺).

25

EXAMPLE 68

4-Morpholin-4-yl-N-[1-(oxazole-2-carbonyl)-cyclopropyl]-4-oxo-2-benzylsulfonyl

methyl –butyramide

(Compound 130)

5

Similarly prepared according to the procedure in Example 66 but using 4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyric acid as the acidic component and (1-Amino-cyclopropyl)-oxazol-2-yl-methanone hydrochloride as the basic component; MS: 490 (MH$^+$); LC/MS, retention time 2.44 minutes.

10

EXAMPLE 69

Cathepsin S Assay

Solutions of test compounds in varying concentrations were prepared in 10 μL of

15 dimethyl sulfoxide (DMSO) and then diluted into assay buffer (40 μL, comprising: MES, 50 mM (pH 6.5); EDTA, 2.5 mM; and NaCl, 100 mM). Human cathepsin S (0.158 pMoles in 25 μL of assay buffer) was added to the dilutions. The assay solutions were mixed for 5-10 seconds on a shaker plate, covered and incubated for 30 minutes at ambient temperature. Z-Val-Val-Arg-AMC (9 nMoles in 25 μL of assay buffer) was added to the

20 assay solutions and hydrolysis was followed spectrophotometrically at (λ 460 nm) for 5 minutes. Apparent inhibition constants (K$_i$) were calculated from the enzyme progress curves using standard mathematical models.

25

EXAMPLE 70

Cathepsin B Assay

691

Solutions of test compounds in varying concentrations were prepared in 10 μL of

dimethyl sulfoxide (DMSO) and then diluted into assay buffer (40 μL, comprising: *N,N*-

bis(2-hydroxyethyl)-2-aminoethanesulfonic   acid   (BES),   50   mM   (pH   6);

5   polyoxyethylenesorbitan monolaurate, 0.05%; and dithiothreitol (DTT), 2.5 mM). Human

cathepsin B (0.025 pMoles in 25 μL of assay buffer) was added to the dilutions. The assay

solutions were mixed for 5-10 seconds on a shaker plate, covered and incubated for 30

minutes at ambient temperature. Z-FR-AMC (20 nMoles in 25 μL of assay buffer) was

added to the assay solutions and hydrolysis was followed spectrophotometrically at (λ 460

10   nm) for 5 minutes. Apparent inhibition constants (K_i) were calculated from the enzyme

progress curves using standard mathematical models.

EXAMPLE 71

15   Cathepsin K Assay

Solutions of test compounds in varying concentrations were prepared in 10 μL of

dimethyl sulfoxide (DMSO) and then diluted into assay buffer (40 μL, comprising: MES,

50 mM (pH 5.5); EDTA, 2.5 mM; and DTT, 2.5 mM). Human cathepsin K (0.0906

20   pMoles in 25 μL of assay buffer) was added to the dilutions. The assay solutions were

mixed for 5-10 seconds on a shaker plate, covered and incubated for 30 minutes at ambient

temperature. Z-Phe-Arg-AMC (4 nMoles in 25 μL of assay buffer) was added to the assay

solutions and hydrolysis was followed spectrophotometrically at (λ 460 nm) for 5 minutes.

Apparent inhibition constants (K_i) were calculated from the enzyme progress curves using

25   standard mathematical models.

EXAMPLE 72

Cathepsin L Assay

30

Solutions of test compounds in varying concentrations were prepared in 10 μL of dimethyl sulfoxide (DMSO) and then diluted into assay buffer (40 μL, comprising: MES, 50 mM (pH 5.5); EDTA, 2.5 mM; and DTT, 2.5 mM). Human cathepsin L (0.05 pMoles in 25 μL of assay buffer) was added to the dilutions. The assay solutions were mixed for 5-

5   10 seconds on a shaker plate, covered and incubated for 30 minutes at ambient temperature. Z-Phe-Arg-AMC (1 nMoles in 25 μL of assay buffer) was added to the assay solutions and hydrolysis was followed spectrophotometrically at (λ 460 nm) for 5 minutes. Apparent inhibition constants (K_i) were calculated from the enzyme progress curves using standard mathematical models.

10   Compounds of the invention were tested according to the above-described assays for protease inhibition and observed to exhibit selective cathepsin S inhibitory activity. For example, the compounds of the invention were found to inhibit cathepsin S protease activity at concentrations that are least 50 fold less than those concentrations required to produce an equiactive inhibition of cathepsin K protease activity. The apparent inhibition

15   constants (K_i) for compounds of the invention, against Cathepsin S, were in the range from about 10^{-10}M to about 10^{-7}M.

EXAMPLE 73

Representative Pharmaceutical Formulations Containing a Compound of

20   Formula I

ORAL FORMULATION

Compound of Formula I	10-100 mg
Citric Acid Monohydrate	105 mg
Sodium Hydroxide	18 mg
Flavoring	
Water	q.s. to 100 mL

25

INTRAVENOUS FORMULATION

30 | Compound of Formula I | 0.1-10 mg |

Dextrose Monohydrate	q.s. to make isotonic
Citric Acid Monohydrate	1.05 mg
Sodium Hydroxide	0.18 mg
Water for Injection	q.s. to 1.0 mL

5

TABLET FORMULATION

Compound of Formula I	1%
Microcrystalline Cellulose	73%
Stearic Acid	25%
Colloidal Silica	1%.

10

WE CLAIM:

1.   A compound of Formula I:

I

5

in which:

X^1 is -C(R^1)(R^2)X^2 or -X^3;

X^2 is cyano, -CHO, -C(R^7)(R^8)R^5, -C(R^7)(R^8)CF$_3$, -C(R^7)(R^8)CF$_2$CF$_2$R^9

10   -CH=CHS(O)$_2$R^5, -C(R^7)(R^8)CF$_2$C(O)NR^{5}R^6, -C(R^7)(R^8)C(R^7)(R^8)NR^{5}R^6,

-C(R^7)(R^8)C(R^7)(R^8)OR^5, -C(R^7)(R^8)CH$_2$OR^5, -C(R^7)(R^8)CH$_2$N(R^6)SO$_2$R^5,

-C(R^7)(R^8)C(R^7)(R^8)N(R^6)(CH$_2$)$_2$OR^6, -C(R^7)(R^8)C(R^7)(R^8)N(R^6)(CH$_2$)$_2$NR^6 or

-C(R^7)(R^8)C(R^7)(R^8)R^5; wherein R^5 is (C$_{1-4}$)alkyl, (C$_{6-10}$)aryl(C$_{0-6}$)alkyl,

hetero(C$_{4-10}$)aryl(C$_{0-6}$)alkyl, (C$_{4-10}$)cycloalkyl(C$_{0-6}$)alkyl or

15   hetero(C$_{4-10}$)cycloalkyl(C$_{0-6}$)alkyl; R^6 is hydrogen or (C$_{1-6}$)alkyl; R^7 is hydrogen or

(C$_{1-4}$)alkyl and R^8 is hydroxy or R^7 and R^8 together form oxo; R^9 is hydrogen, halo,

(C$_{1-4}$)alkyl, (C$_{5-10}$)aryl(C$_{0-6}$)alkyl or hetero(C$_{5-10}$)aryl(C$_{0-6}$)alkyl;

X^3 represents a group of Formula (a):

20

(a)

695

in which n is 1 or 2, z is 0 or 1, X^5 is selected from NR^{10}, S or O, wherein R^{10} is hydrogen or (C_{1-6})alkyl, and X^6 is O, S or NR^{11}, wherein R^{11} is selected from hydrogen, (C_{1-6})alkyl, $-X^4C(O)OR^{12}$, $-X^4C(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4S(O)_2NR^{12}R^{12}$, $-X^4S(O)_2R^{14}$, $-R^{15}$, $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$, $-X^4C(O)OR^{15}$, $-X^4C(O)NR^{12}R^{15}$ and $-X^4S(O)_2NR^{12}R^{15}$, in which

5   X^4 is a bond or (C_{1-6})alkylene; R^{12} at each occurrence independently is hydrogen or (C_{1-6})alkyl; R^{13} is hydrogen, (C_{1-6})alkyl or halo-substituted(C_{1-6})alkyl, R^{14} is (C_{1-6})alkyl or halo-substituted(C_{1-6})alkyl   and R^{15} is (C_{3-10})cycloalkyl(C_{0-6})alkyl, hetero(C_{3-10})cycloalkyl(C_{0-3})alkyl, (C_{6-10})aryl(C_{0-6})alkyl,   hetero(C_{5-10})aryl(C_{0-6})alkyl, (C_{9-12})bicycloaryl(C_{0-6})alkyl or hetero(C_{8-12})bicycloaryl(C_{0-6})alkyl;

10   wherein within X^1 any cycloalkyl, heterocycloalkyl, aryl or heteroaryl may be substituted with 1 radical R^{20} selected from $-R^{15}$, $-X^4OR^{15}$, $-X^4SR^{15}$, $-X^4S(O)R^{15}$, $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$, $-X^4C(O)OR^{15}$, $-X^4OC(O)R^{15}$, $-X^4NR^{15}R^{12}$, $-X^4NR^{12}C(O)R^{15}$, $-X^4NR^{12}C(O)OR^{15}$, $-X^4C(O)NR^{15}R^{12}$, $-X^4S(O)_2NR^{15}R^{12}$, $-X^4NR^{12}S(O)_2R^{15}$, $-X^4NR^{12}C(O)NR^{15}R^{12}$ and $-X^4NR^{12}C(NR^{12})NR^{15}R^{12}$; and wherein X^1 and R^{20} may be

15   substituted further with 1 to 5 radicals independently selected from (C_{1-6})alkyl, cyano, halo, halo-substituted(C_{1-4})alkyl, nitro, $-X^4NR^{12}R^{12}$, $-X^4NR^{12}C(O)R^{12}$, $-X^4NR^{12}C(O)OR^{12}$, $-X^4NR^{12}C(O)NR^{12}R^{12}$, $-X^4NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^4OR^{13}$, $-X^4SR^{13}$, $-X^4C(O)OR^{12}$, $-X^4C(O)R^{13}$, $-X^4OC(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4S(O)_2NR^{12}R^{12}$, $-X^4NR^{12}S(O)_2R^{13}$, $-X^4P(O)(OR^{12})OR^{12}$, $-X^4OP(O)(OR^{12})OR^{12}$, $-X^4S(O)R^{14}$ and $-X^4S(O)_2R^{14}$ wherein X^4, R^{12},

20   R^{13}, R^{14} and R^{15} are as defined above;

      R^1 and R^2 are both fluoro; or

      R^1 is hydrogen or (C_{1-6})alkyl and R^2 is selected from the group consisting of hydrogen, (C_{1-6})alkyl, cyano, $-X^4NR^{12}R^{12}$, $-X^4NR^{12}C(O)R^{12}$, $-X^4NR^{12}C(O)OR^{12}$, $-X^4NR^{12}C(O)NR^{12}R^{12}$, $-X^4NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^4OR^{13}$, $-X^4SR^{13}$, $-X^4C(O)OR^{12}$,

25      $-X^4C(O)R^{13}$, $-X^4OC(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4S(O)_2NR^{12}R^{12}$, $-X^4NR^{12}S(O)_2R^{13}$, $-X^4P(O)(OR^{12})OR^{12}$, $-X^4OP(O)(OR^{12})OR^{12}$, $-X^4S(O)R^{14}$, $-X^4S(O)_2R^{14}$, $-R^{15}$, $-X^4OR^{15}$, $-X^4SR^{15}$, $-X^4S(O)R^{15}$, $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$, $-X^4C(O)OR^{15}$, $-X^4OC(O)R^{15}$, $-X^4NR^{15}R^{12}$, $-X^4NR^{12}C(O)R^{15}$, $-X^4NR^{12}C(O)OR^{15}$, $-X^4C(O)NR^{15}R^{12}$, $-X^4S(O)_2NR^{15}R^{12}$, $-X^4NR^{12}S(O)_2R^{15}$, $-X^4NR^{12}C(O)NR^{15}R^{12}$ and $-X^4NR^{12}C(NR^{12})NR^{15}R^{12}$, wherein X^4, R^{12},

30   R^{13}, R^{14} and R^{15} are as defined above; or R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form (C_{3-8})cycloalkylene or hetero(C_{3-8})cycloalkylene;

wherein R^2, said cycloalkylene and said heterocycloalkylene may be substituted further with 1 to 3 radicals independently selected from (C$_{1-6}$)alkyl, cyano, halo, halo-substituted(C$_{1-4}$)alkyl, nitro, -X^{4}NR^{12}R^{12}, -X^{4}NR^{12}C(O)R^{12}, -X^{4}NR^{12}C(O)OR^{12}, -X^{4}NR^{12}C(O)NR^{12}R^{12}, -X^{4}NR^{12}C(NR^{12})NR^{12}R^{12}, -X^{4}OR^{13}, -X^{4}SR^{13}, -X^{4}C(O)OR^{12},

5 -X^{4}C(O)R^{13}, -X^{4}OC(O)R^{13}, -X^{4}C(O)NR^{12}R^{12}, -X^{4}S(O)$_2$NR^{12}R^{12}, -X^{4}NR^{12}S(O)$_2$R^{13}, -X^{4}P(O)(OR^{12})OR^{12}, -X^{4}OP(O)(OR^{12})OR^{12}, -X^{4}S(O)R^{14} and -X^{4}S(O)$_2$R^{14}, wherein X^4, R^{12}, R^{13} and R^{14} are as defined above;

R^3 and R^4 are independently -C(R^{16})(R^{17})X^7, wherein R^{16} and R^{17} are hydrogen, (C$_{1-6}$)alkyl or fluoro, or R^{16} is hydrogen and R^{17} is hydroxy and X^7 is selected from

10 -X^{4}NR^{12}R^{12}, -X^{4}NR^{12}C(O)R^{12}, -X^{4}NR^{12}C(O)OR^{12}, -X^{4}NR^{12}C(O)NR^{12}R^{12}, -X^{4}NR^{12}C(NR^{12})NR^{12}R^{12}, -X^{4}OR^{13}, -X^{4}SR^{13}, -X^{4}C(O)OR^{12}, -X^{4}C(O)R^{13}, -X^{4}OC(O)R^{13}, -X^{4}C(O)NR^{12}R^{12}, -X^{4}S(O)$_2$NR^{12}R^{12}, -X^{4}NR^{12}S(O)$_2$R^{13}, -X^{4}P(O)(OR^{12})OR^{12}, -X^{4}OP(O)(OR^{12})OR^{12}, -X^{4}S(O)R^{14}, -X^{4}S(O)$_2$R^{14}, -R^{15}, -X^{4}OR^{15}, -X^{4}SR^{15}, -X^{4}S(O)R^{15}, -X^{4}S(O)$_2$R^{15}, -X^{4}C(O)R^{15}, -X^{4}C(O)OR^{15}, -X^{4}OC(O)R^{15}, -X^{4}NR^{15}R^{12}, -X^{4}NR^{12}C(O)R^{15},

15 -X^{4}NR^{12}C(O)OR^{15}, -X^{4}C(O)NR^{15}R^{12}, -X^{4}S(O)$_2$NR^{15}R^{12}, -X^{4}NR^{12}S(O)$_2$R^{15}, -X^{4}NR^{12}C(O)NR^{15}R^{12} and -X^{4}NR^{12}C(NR^{12})NR^{15}R^{12}, wherein X^4, R^{12}, R^{13}, R^{14} and R^{15} are as defined above;

wherein within one of R^3 or R^4 any cycloalkyl, heterocycloalkyl, aryl or heteroaryl may be substituted with 1 radical R^{21} selected from -R^{15}, -X^{4}OR^{15}, -X^{4}SR^{15}, -X^{4}S(O)R^{15},

20 -X^{4}S(O)$_2$R^{15}, -X^{4}C(O)R^{15}, -X^{4}C(O)OR^{15}, -X^{4}OC(O)R^{15}, -X^{4}NR^{15}R^{12}, -X^{4}NR^{12}C(O)R^{15}, -X^{4}NR^{12}C(O)OR^{15}, -X^{4}C(O)NR^{12}R^{15}, -X^{4}S(O)$_2$NR^{15}R^{12}, -X^{4}NR^{12}S(O)$_2$R^{15}, -X^{4}NR^{12}C(O)NR^{15}R^{12} and -X^{4}NR^{12}C(NR^{12})NR^{15}R^{12}, wherein X^4, R^{12} and R^{15} are as defined above; and wherein each of R^3, R^4 and R^{21} may be substituted further with 1 to 5 radicals independently selected from (C$_{1-6}$)alkyl, cyano, halo, halo-substituted(C$_{1-4}$)alkyl,

25 nitro, -X^{4}NR^{12}R^{12}, -X^{4}NR^{12}C(O)R^{12}, -X^{4}NR^{12}C(O)OR^{12}, -X^{4}NR^{12}C(O)NR^{12}R^{12}, -X^{4}NR^{12}C(NR^{12})NR^{12}R^{12}, -X^{4}OR^{13}, -X^{4}SR^{13}, -X^{4}C(O)OR^{12}, -X^{4}C(O)R^{13}, -X^{4}OC(O)R^{13}, -X^{4}C(O)NR^{12}R^{12}, -X^{4}S(O)$_2$NR^{12}R^{12}, -X^{4}NR^{12}S(O)$_2$R^{13}, -X^{4}P(O)(OR^{12})OR^{12}, -X^{4}OP(O)(OR^{12})OR^{12}, -X^{4}S(O)R^{14} and -X^{4}S(O)$_2$R^{14}, wherein X^4, R^{12}, R^{13} and R^{14} are as defined above; provided that only one bicyclic ring structure is present within each of R^3 or

30 R^4; and provided that when X^2 is cyano and X^7 within one of R^3 or R^4 is -X^{4}C(O)R^{13} or -X^{4}C(O)R^{15}, wherein X^4 is a bond, then X^7 within the other of R^3 or R^4 is limited to

-X^4SR^{15}, -$X^4S(O)R^{15}$ and -$X^4S(O)_2R^{15}$, wherein R^{15} is $(C_{6-10})aryl(C_{1-6})alkyl$ substituted with 1 to 5 radicals or hetero$(C_{5-10})aryl(C_{0-6})alkyl$ optionally substituted with 1 to 5 radicals, wherein said radicals are independently selected from $(C_{1-6})alkyl$, cyano, halo, halo-substituted$(C_{1-4})alkyl$, nitro, -$X^4NR^{12}R^{12}$, -$X^4NR^{12}C(O)R^{12}$, -$X^4NR^{12}C(O)OR^{12}$,

5   -$X^4NR^{12}C(O)NR^{12}R^{12}$, -$X^4NR^{12}C(NR^{12})NR^{12}R^{12}$, -$X^4OR^{13}$, -$X^4SR^{13}$, -$X^4C(O)OR^{12}$, -$X^4C(O)R^{13}$, -$X^4OC(O)R^{13}$, -$X^4C(O)NR^{12}R^{12}$, -$X^4S(O)_2NR^{12}R^{12}$, -$X^4NR^{12}S(O)_2R^{13}$, -$X^4P(O)(OR^{12})OR^{12}$, -$X^4OP(O)(OR^{12})OR^{12}$, -$X^4S(O)R^{14}$ and -$X^4S(O)_2R^{14}$, wherein X^4, R^{12}, R^{13} and R^{14} are as defined above, provided that the radical is not selected from only halo when R^{15} is $(C_{6-10})aryl(C_{1-6})alkyl$; and provided that when X^2 is cyano then X^7 within R^3

10   and R^4 is not -$X^4C(O)NR^{12}R^{12}$, -$X^4C(O)NR^{15}R^{12}$ or -$X^4C(O)NR^{18}R^{19}$, wherein X^4 is a bond and R^{18} and R^{19} together with the nitrogen atom to which they are attached form hetero$(C_{3-10})cycloalkyl$ or hetero$(C_{5-10})aryl$;

      and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and

15   solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

2.   The compound of Claim 1 in which:

      X^1 is -$C(R^1)(R^2)X^2$ or -X^3;

20   X^2 is cyano, -CHO, -$C(O)R^5$, -$C(O)CF_3$, -$C(O)CF_2CF_2R^9$ -$CH=CHS(O)_2R^5$, -$C(O)CF_2C(O)NR^5R^6$,   -$C(O)C(O)NR^5R^6$,   -$C(O)C(O)OR^5$,   -$C(O)CH_2OR^5$, -$C(O)CH_2N(R^6)SO_2R^5$, -$C(O)C(O)N(R^6)(CH_2)_2OR^6$, -$C(O)C(O)N(R^6)(CH_2)_2NR^6$ or -$C(O)C(O)R^5$, wherein R^5 is $(C_{1-4})alkyl$, $(C_{6-10})aryl(C_{0-6})alkyl$, hetero$(C_{4-10})aryl(C_{0-6})alkyl$, $(C_{4-10})cycloalkyl(C_{0-6})alkyl$ or hetero$(C_{4-10})cycloalkyl(C_{0-6})alkyl$, R^6 is hydrogen or

25   $(C_{1-6})alkyl$ and R^9 is halo;

      X^3 represents a group of Formula (b):

(b)

in which n is 1 or 2, z is 0 or 1, X^6 is O or NR^{11}, wherein R^{11} is selected from hydrogen, (C_{1-6})alkyl, $-X^4OC(O)R^{13}$, $-X^4C(O)OR^{12}$, $-X^4C(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4S(O)_2NR^{12}R^{12}$,

5    $-X^4S(O)_2R^{14}$, $-R^{15}$, $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$, $-X^4C(O)OR^{15}$, $-X^4C(O)NR^{12}R^{15}$ and $-X^4S(O)_2NR^{12}R^{15}$, in which X^4 is a bond or (C_{1-6})alkylene; R^{12} at each occurrence independently is hydrogen or (C_{1-6})alkyl; R^{13} is hydrogen, (C_{1-6})alkyl or halo-substituted(C_{1-6})alkyl, R^{14} is (C_{1-6})alkyl or halo-substituted(C_{1-6})alkyl and R^{15} is (C_{3-10})cycloalkyl(C_{0-6})alkyl, hetero(C_{3-10})cycloalkyl(C_{0-3})alkyl, (C_{6-10})aryl(C_{0-6})alkyl,

10   hetero(C_{5-10})aryl(C_{0-6})alkyl, (C_{9-12})bicycloaryl(C_{0-6})alkyl   or hetero(C_{8-12})bicycloaryl(C_{0-6})alkyl;

wherein within X^1 any cycloalkyl, heterocycloalkyl, aryl or heteroaryl may be substituted with 1 radical selected from $-R^{15}$ and $-X^4C(O)R^{15}$; and wherein X^1 may be substituted further with 1 to 3 radicals independently selected from (C_{1-6})alkyl,

15   halo-substituted(C_{1-4})alkyl, $-X^4NR^{12}R^{12}$, $-X^4OR^{13}$ and $-X^4S(O)_2R^{14}$, wherein X^4, R^{12}, R^{13}, R^{14} and R^{15} are as defined above;

R^1 and R^2 are both fluoro; or

R^1 is hydrogen or (C_{1-6})alkyl and R^2 is selected from the group consisting of hydrogen, (C_{1-6})alkyl, $-X^4OR^{13}$ and $-R^{15}$; or R^1 and R^2 taken together with the carbon atom

20   to which both R^1 and R^2 are attached form (C_{3-8})cycloalkylene or hetero(C_{3-8})cycloalkylene; wherein R^2 may be substituted further with (C_{1-6})alkyl; wherein X^4, R^{13} and R^{15} are as defined above;

R^3 and R^4 are independently $-C(R^{16})(R^{17})X^7$, wherein R^{16} and R^{17} are hydrogen, (C_{1-6})alkyl or fluoro, or R^{16} is hydrogen and R^{17} is hydroxy and X^7 is selected from

25   $-X^4SR^{13}$, $-X^4C(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-R^{15}$, $-X^4OR^{15}$, $-X^4SR^{15}$, $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$ and $-X^4C(O)NR^{15}R^{12}$, wherein X^4, R^{12}, R^{13} and R^{15} are as defined above;

699

wherein within one of R^3 or R^4 any cycloalkyl, heterocycloalkyl, aryl or heteroaryl may be substituted with 1 radical selected from $-R^{15}$, $-X^4OR^{15}$, $-X^4SR^{15}$, $-X^4S(O)R^{15}$, $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$, $-X^4C(O)OR^{15}$, $-X^4OC(O)R^{15}$, $-X^4NR^{15}R^{12}$, $-X^4NR^{12}C(O)R^{15}$, $-X^4NR^{12}C(O)OR^{15}$, $-X^4C(O)NR^{12}R^{15}$, $-X^4S(O)_2NR^{15}R^{12}$, $-X^4NR^{12}S(O)_2R^{15}$, $-X^4NR^{12}C(O)NR^{15}R^{12}$ and $-X^4NR^{12}C(NR^{12})NR^{15}R^{12}$, wherein X^4, R^{12} and R^{15} are as defined above; and wherein each of R^3 and R^4 may be substituted further with 1 to 5 radicals independently selected from (C_{1-6})alkyl, cyano, halo, halo-substituted(C_{1-4})alkyl, nitro, $-X^4NR^{12}R^{12}$, $-X^4NR^{12}C(O)R^{12}$, $-X^4NR^{12}C(O)OR^{12}$, $-X^4NR^{12}C(O)NR^{12}R^{12}$, $-X^4NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^4OR^{13}$, $-X^4SR^{13}$, $-X^4C(O)OR^{12}$, $-X^4C(O)R^{13}$, $-X^4OC(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4S(O)_2NR^{12}R^{12}$, $-X^4NR^{12}S(O)_2R^{13}$, $-X^4P(O)(OR^{12})OR^{12}$, $-X^4OP(O)(OR^{12})OR^{12}$, $-X^4S(O)R^{14}$ and $-X^4S(O)_2R^{14}$, wherein X^4, R^{12}, R^{13} and R^{14} are as defined above;

wherein within one of R^3 and R^4 any cycloalkyl, heterocycloalkyl, aryl or heteroaryl may be substituted with 1 radical selected from $-R^{15}$ and $-X^4OR^{15}$; and wherein each of R^3 or R^4 may be substituted further by 1-5 radicals independently selected from (C_{1-6})alkyl, cyano, halo, halo-substituted(C_{1-4})alkyl, $-X^4NR^{12}C(O)OR^{12}$, $-X^4OR^{13}$, $-X^4C(O)OR^{12}$, $-X^4C(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4NR^{12}S(O)_2R^{13}$ and $-X^4S(O)_2R^{14}$, wherein X^4, R^{12}, R^{13}, R^{14} and R^{15} are as defined above;

and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

3.   A compound of claim 2 in which R^3 and R^4 are independently $-CH_2X^7$, wherein X^7 is selected from X^4SR^{13}, $-X^4C(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-R^{15}$, $-X^4OR^{15}$, $-X^4SR^{15}$, $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$ and $-X^4C(O)NR^{15}R^{12}$, wherein X^4 is a bond or (C_{1-6})alkylene, R^{12} at each occurrence independently is hydrogen or (C_{1-6})alkyl, R^{13} is hydrogen, (C_{1-6})alkyl or halo-substituted(C_{1-6})alkyl, R^{14} is (C_{1-6})alkyl or halo-substituted(C_{1-6})alkyl   and R^{15} is (C_{3-10})cycloalkyl(C_{0-6})alkyl, (C_{3-10})cycloalkyl(C_{0-6})alkyl, hetero(C_{3-10})cycloalkyl(C_{0-3})alkyl, (C_{6-10})aryl(C_{0-6})alkyl, hetero(C_{5-10})aryl(C_{0-6})alkyl, (C_{9-12})bicycloaryl(C_{0-6})alkyl   or

hetero(C$_{8-12}$)bicycloaryl(C$_{0-6}$)alkyl; wherein within R^3 and R^4 any cycloalkyl, heterocycloalkyl, aryl or heteroaryl may be substituted with 1 radical selected from -R^{15} and -X^{4}OR^{15}, wherein X^4 and R^{15} are as defined above; and wherein R^3 and R^4 may be substituted further by 1 to 5 radicals independently selected from (C$_{1-6}$)alkyl, cyano, halo,

5   halo-substituted(C$_{1-4}$)alkyl, -X^{4}NR^{12}C(O)OR^{12}, -X^{4}OR^{13}, -X^{4}C(O)OR^{12}, -X^{4}C(O)R^{13}, -X^{4}C(O)NR^{12}R^{12}, -X^{4}NR^{12}S(O)$_2$R^{13} and -X^{4}S(O)$_2$R^{14}, wherein X^4 R^{12}, R^{13} and R^{14} are as defined above;

and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and

10   solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

4.   A compound of claim 3 in which R^3 is selected from 5-bromo-thiophen-2-ylmethyl, 3-cyclohexylpropyl, 2-cyclohexylpropyl, 2-cyclopentylpropyl, 3-phenylpropyl,

15   3-(2-difluoromethoxy)phenylpropyl,   2-phenylcyclopropylmethyl,   2,2-difluoro-3-phenylpropyl,   1-benzylcyclopropylmethy,   2-tetrahydro-pyran-4-ylethyl, 1-isobutylcyclopropylmethyl,   thiophen-2-ylmethyl,   tetrahydro-pyran-4-ylmethyl, cyclopropylmethylsulfanylmethyl,   2,2-dimethyl-3-phenylpropyl,   4-methyl-[1,2,5]thiadiazol-3-ylmethylsulfonylmethyl,   3-methyl-[1,2,4]thiadiazol-3-

20   ylmethylsulfonylmethyl,   thiophen-3-ylmethylsulfonylmethyl,   3-methoxy-5-methyl-isoxazol-4-ylmethylsulfonylmethyl, 2,4-dimethyl-thiazol-5-ylmethylsulfonylmethyl, 2-methyl-oxazol-4-ylmethylsulfonylmethyl, 2-methyl-thiazol-4-ylmethylsulfonylmethyl,, 1,2,3]thiadiazol-4-ylmethylsulfonylmethyl,   3-methyl-[1,2,4]thiadiazol-5-ylmethylsulfonylmethyl, 4-methyl-[1,2,5]thiadiazol-3-ylmethylsulfonylmethyl, thiophen-3-

25   ylmethylsulfonylmethyl,   tetrahydro-pyran-4-yloxymethyl,   piperidin-1-ylcarbonyl, thiophene-2-sulfonylmethyl,   3-chloro-2-fluoro-benzylsulfonylmethyl, benzenesulfonylmethyl,   benzylsulfonylmethyl, 2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl,   2-benzenesulfonyl-ethyl, 2-(pyridine-2-sulfonyl)-ethyl,   2-(pyridine-4-sulfonyl)-ethyl,   2-benzylsulfonyl-ethyl,

30   oxy-pyridin-2-ylmethylsulfonylmethyl,   prop-2-ene-1-sulfonylmethyl, 4-methoxy-benzylsulfonylmethyl,   p-tolylmethylsulfonylmethyl,

4-chloro-benzylsulfonylmethyl,   *o*-tolylmethylsulfonylmethyl,

3,5-dimethyl-benzylsulfonylmethyl,   4-trifluoromethyl-benzylsulfonylmethyl,

4-trifluoromethoxy-benzylsulfonylmethyl,   2-bromo-benzylsulfonylmethyl,

pyridin-2-ylmethylsulfonylmethyl,   pyridin-3-ylmethylsulfonylmethyl,

5   pyridin-4-ylmethylsulfonylmethyl,   naphthalen-2-ylmethylsulfonylmethyl,

3-methyl-benzylsulfonylmethyl,   3-trifluoromethyl-benzylsulfonylmethyl,

3-trifluoromethoxy-benzylsulfonylmethyl,

4-fluoro-2-trifluoromethoxy-benzylsulfonylmethyl,

2-fluoro-6-trifluoromethyl-benzylsulfonylmethyl,   3-chloro-benzylsulfonylmethyl,

10   2-fluoro-benzylsulfonylmethyl,   2-trifluoro-benzylsulfonylmethyl,

2-cyano-benzylsulfonylmethyl,   4-*tert*-butyl-benzylsulfonylmethyl,

2-fluoro-3-methyl-benzylsulfonylmethyl,   3-fluoro-benzylsulfonylmethyl,

4-fluoro-benzylsulfonylmethyl,   2-chloro-benzylsulfonylmethyl,

2,5-difluoro-benzylsulfonylmethyl,   2,6-difluoro-benzylsulfonylmethyl,

15   2,5-dichloro-benzylsulfonylmethyl,   3,4-dichloro-benzylsulfonylmethyl,

2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl,   2-cyano-benzylsulfonylmethyl,

3-cyano-benzylsulfonylmethyl,   2-trifluoromethoxy-benzylsulfonylmethyl,

2,3-difluoro-benzylsulfonylmethyl,   2,5-difluoro-benzylsulfonylmethyl,

biphenyl-2-ylmethylsulfonylmethyl, cyclohexylmethyl, 3-fluoro-benzylsulfonylmethyl,

20   3,4-difluoro-benzylsulfonylmethyl,   2,4-difluoro-benzylsulfonylmethyl,

2,4,6-trifluoro-benzylsulfonylmethyl,   2,4,5-trifluoro-benzylsulfonylmethyl,

2,3,4-trifluoro-benzylsulfonylmethyl,   2,3,5-trifluoro-benzylsulfonylmethyl,

2,5,6-trifluoro-benzylsulfonylmethyl,   2-chloro-5-trifluoromethylbenzylsulfonylmethyl,

2-methyl-propane-1-sulfonyl,   2-fluoro-3-trifluoromethylbenzylsulfonylmethyl,

25   2-fluoro-4-trifluoromethylbenzylsulfonylmethyl,

2-fluoro-5-trifluoromethylbenzylsulfonylmethyl,

4-fluoro-3-trifluoromethylbenzylsulfonylmethyl, 2-methoxy-benzylsulfonylmethyl, 3,5

bis-trifluoromethyl-benzylsulfonylmethyl,   4-difluoromethoxy-benzylsulfonylmethyl,

2-difluoromethoxy-benzylsulfonylmethyl,   3-difluoromethoxy-benzylsulfonylmethyl,

30   2,6-dichloro-benzylsulfonylmethyl,   biphenyl-4-ylmethylsulfonylmethyl,

3,5-dimethyl-isoxazol-4-ylmethylsulfonylmethyl,

5-chloro-thiophen-2-ylmethylsulfonylmethyl,

2-[4-(1,1-Difluoro-methoxy)-benzenesulfonyl]-ethyl,

2-[2-(1,1-Difluoro-methoxy)-benzenesulfonyl]-ethyl,

2-[3-(1,1-Difluoro-methoxy)-benzenesulfonyl]-ethyl,

5   2-(4-trifluoromethoxy-benzenesulfonyl)-ethyl,

2-(3-trifluoromethoxy-benzenesulfonyl)-ethyl,

2-(2-trifluoromethoxy-benzenesulfonyl)-ethyl, (cyanomethyl-methyl-carbamoyl)-methyl,

biphenyl-3-ylmethyl,   2-oxo-2-pyrrolidin-1-yl-ethyl,   2-benzenesulfonyl-ethyl,

isobutylsulfanylmethyl,   2-phenylsulfanyl-ethyl,   cyclohexylmethylsulfonylmethyl,

10   2-cyclohexyl-ethanesulfonyl,   benzyl,   naphthalen-2-yl,   benzylsulfanylmethyl,

2-trifluoromethyl-benzylsulfanylmethyl,   phenylsulfanyl-ethyl   and

cyclopropylmethylsulfonylmethyl;

and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual

isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and

15   solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected

derivatives, individual isomers and mixtures of isomers thereof.

5.   A   compound   of   claim   4   in   which   R^4 is   selected   from

2-trifluorobenzylsulfonylmethyl, 3-phenylsulfanylpropyl, 4-chlorobenzylsulfonylmethyl,

20   thiophen-2-ylsulfonylmethyl,   benzylsulfonylmethyl,   4-methylbenzylsulfonylmethyl,

2-phenylsulfonylethyl,   2-pyridin-2-ylsulfonylethyl,   2-pyridin-4-ylsulfonylethyl,

2-benzylsulfonylethyl,   2-(3-difluoromethoxyphenylsulfonyl)ethyl,

naphthalen-2-ylmethylsulfonylmethyl,   pyridin-2-ylmethylsulfonylmethyl,

3-methylbenzylsulfonylmethyl,   3-trifluoromethylbenzylsulfonylmethyl,

25   3-difluoromethoxybenzylsulfonylmethyl,   3-chlorobenzylsulfonylmethyl,

3-fluorobenzylsulfonylmethyl,   4-fluorobenzylsulfonylmethyl,

3-cyanobenzylsulfonylmethyl,   4-cyanobenzylsulfonylmethyl,

3,4-difluorobenzylsulfonylmethyl,   benzylsulfonylmethyl,

N-cyanomethyl-N-methylcarbamoylmethyl, 3-bromobenzyl, 4-phenylbutyl, 2,2-difluoro-

30   3-phenylpropyl,   4'-methylsulfonylaminobiphenyl-3-ylmethyl,

4'-ethoxycarbonylaminobiphenyl-3-ylmethyl,   4-methylpiperazin-1-ylcarbonylmethyl,

1-fluoro-2-(4-methylpiperazin-1-yl)-2-oxoethyl,   1-hydroxy-4-methylpiperazin-1-yl-
2-oxoethyl, 1-hydroxy-2-morpholin-4-yl-2-oxoethyl, 1-hydroxy-2-oxo-2-pyrrolidin-1-yl-
ethyl,   1-fluoro-2-oxo-2-pyrrolidin-1-yl-ethyl,   1-fluoro-2-isopropylamino-2-oxoethyl,
1-hydroxy-2-isopropylamino-2-oxoethyl,   1-fluoro-2-oxo-2-piperazin-1-ylethyl,
5   thiophen-3-ylmethylsulfonylmethyl, 4-methyl-[1,2,5]thiadiazol-3-ylmethylsulfonylmethyl,
3-methoxy-5-methyl-isoxazol-4-ylmethylsulfonylmethyl,   2,4-dimethyl-thiazol-5-
ylmethylsulfonylmethyl,   2-methyl-oxazol-4-ylmethylsulfonylmethyl,   2-methyl-
thiazol-4-ylmethylsulfonylmethyl, 2-([1,2,3]thiadiazol-4-ylmethylsulfonyl)-ethyl, 2-(3-
methyl-[1,2,4]thiadiazol-5-ylmethylsulfonyl)-ethyl,   2-oxo-2-phenyl-ethyl,
10   2-morpholin-4-yl-2-oxo-ethyl, 2-benzenesulfonyl-ethyl, 2-naphthalen-2-yl-2-oxo-ethyl,
2-benzo[1,3]dioxol-5-yl-2-oxo-ethyl,   2-benzo[b]thiophen-2-yl-2-oxo-ethyl,
2-biphenyl-4-yl-2-oxo-ethyl,   4-benzylsulfonylmethyl,
2-(3-trifluoromethoxy-benzenesulfonyl)-ethyl,   2-oxo-2-(4-phenoxy-phenyl)-ethyl,
2-(4-hydroxy-phenyl)-2-oxo-ethyl,   benzylcarbamoyl-methyl,
15   4-acetyl-piperazine-1-carboxylic   acid   ethyl   ester,   cyclohexylcarbamoylmethyl,
2-(3-Chloro-benzo[b]thiophen-2-yl)-2-oxo-ethyl,   benzenesulfonylmethyl,
2-oxo-2-thiophen-2-yl-ethyl, 2-oxo-2-thiophen-3-yl-ethyl, naphthalene-2-sulfonylmethyl,
2-(5-methyl-thiophen-2-yl)-2-oxo-ethyl,   2-(3-chloro-thiophen-2-yl)-2-oxo-ethyl,
5-methyl-thiophene-2-sulfonylmethyl,   phenylcarbamoylmethyl,
20   (5,6,7,8-tetrahydro-naphthalen-1-ylcarbamoyl)-methyl,
(4-carbamoyl-phenylcarbamoyl)-methyl,   (3-carbamoyl-phenylcarbamoyl)-methyl,
(butyl-methyl-carbamoyl)-methyl,   biphenyl-4-ylmethyl,   2-oxo-2-p-tolyl-ethyl,
2-(3-fluoro-4-methoxy-phenyl)-2-oxo-ethyl,   2-(4-chloro-phenyl)-2-oxo-ethyl,
2-(4-methoxy-phenyl)-2-oxo-ethyl,   2-oxo-2-(4-trifluoromethoxy-phenyl)-ethyl,
25   2-(3,4-difluoro-phenyl)-2-oxo-ethyl,   2-(3,4-dimethoxy-phenyl)-2-oxo-ethyl,
2-(4-fluoro-phenyl)-2-oxo-ethyl,   5-methyl-2-oxo-hexyl,
3,5-dimethyl-benzylsulfonylmethyl,   4-trifluoromethyl-benzylsulfonylmethyl;
4-trifluoromethoxy-benzylsulfonylmethyl,   isopropylcarbamoyl-methyl,
4-dimethylcarbamoylmethyl,   pyridin-4-ylcarbamoylmethyl,
30   pyridin-4-ylmethylsulfonylmethyl,   pyridin-3-ylmethylsulfonylmethyl,
3,4-dichloro-benzylsulfonylmethyl,   pyridin-3-ylcarbamoylmethyl,

4-methoxy-benzylsulfonylmethyl, 4-chloro-benzylsulfonylmethyl, thiophene-2-sulfonylmethyl, benzylsulfonylmethyl, p-tolylmethylsulfonylmethyl, 2-benzenesulfonyl-ethyl, 2-(pyridine-2-sulfonyl)-ethyl, 2-(pyridine-4-sulfonyl)-ethyl, 2-benzylsulfonyl-ethyl, 2-[3-(1,1-Difluoro-methoxy)-benzenesulfonyl]-ethyl, naphthalen-2-ylmethylsulfonylmethyl, pyridin-2-ylmethylsulfonylmethyl, m-tolylmethylsulfonylmethyl, 3-trifluoromethyl-benzylsulfonylmethyl, 3-trifluoromethoxy-benzylsulfonylmethyl, 3-chloro-benzylsulfonylmethyl, 3-fluoro-benzylsulfonylmethyl, 4-fluoro-benzylsulfonylmethyl, 3-cyano-benzylsulfonylmethyl, 4-cyano-benzylsulfonylmethyl, 3,4-difluoro-benzylsulfonylmethyl, (cyanomethyl-methyl-carbamoyl)-methyl, 3-bromo-benzyl, 2-oxo-2-pyrrolidin-1-yl-ethyl, 2-(4'-chloro-biphenyl-4-yl)-2-oxo-ethyl, biphenyl-3-ylmethyl, 2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl, 2-(4-methylsulfonylamino-phenyl)-2-oxo-ethyl, 2-oxo-2-piperidin-1-yl-ethyl, 2-(4-methylsulfonyl-piperazin-1-yl)-2-oxo-ethyl, 2-trifluoromethyl-benzylsulfonylmethyl, 4-fluoro-3-trifluoromethyl-benzylsulfonylmethyl, 4-carboxy-benzylsulfonylmethyl, 3,5-bis-trifluoromethyl-benzylsulfonylmethyl, 4-(1,1-difluoro-methoxy)-benzylsulfonylmethyl, 3-(1,1-difluoro-methoxy)-benzylsulfonylmethyl, 5-chloro-thiophen-2-ylmethylsulfonylmethyl, 2-[4-(1,1-difluoro-methoxy)-benzenesulfonyl]-ethyl, 2-(4-trifluoromethoxy-benzenesulfonyl)-ethyl, 2-phenylsulfanyl-ethyl, benzylsulfanylmethyl, 2-trifluoromethyl-benzylsulfanylmethyl, 2-trifluoromethoxy-benzylsulfanylmethyl, 2-cyclohexyl-ethyl and isobutylsulfanylmethyl;

and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

6. The compound of claim 5 in which R^1 is hydrogen or (C$_{1-6}$)alkyl and R^2 is hydrogen, -X^{4}OR^{13}, hetero(C$_{5-10}$)aryl(C$_{0-6}$)alkyl, (C$_{5-10}$)aryl(C$_{0-6}$)alkyl or (C$_{1-6}$)alkyl; or R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form
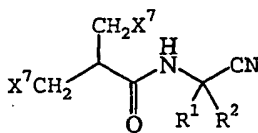
(C_{3-8})cycloalkylene or hetero(C_{3-8})cycloalkylene; wherein the cycloalkylene or heterocycloalkylene are optionally substituted with 1 to 3 (C_{1-6})alkyl radicals;
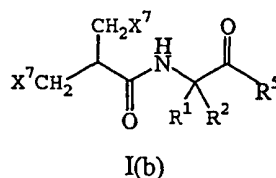
and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and

5 solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

7. The compound of claim 6 in which R^1 is hydrogen or methyl and R^2 is methoxymethyl, methoxyethyl, methyl, ethyl, propyl, butyl, phenethyl, hiophen-2-yl or 5-

10 methyl-furan-2-yl; or R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form cyclopropyl, tetrahydro-pyran-4-yl or 1-methyl-piperidin-4-yl;

and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected

15 derivatives, individual isomers and mixtures of isomers thereof.

8. The compound of claim 7 of Formula I(a):

I(a)

20

and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of

25 such compounds and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

9. The compound of claim 8 selected from the group consisting of 3-biphenyl-3-yl-N-cyanomethyl-2-benzylsulfonylmethyl-propionamide; 3-biphenyl-4-yl-N-

cyanomethyl-2-benzylsulfonylmethyl-propionamide; 3-(3-bromo-phenyl)-N-cyanomethyl-
2-benzylsulfonylmethyl-propionamide; N-cyanomethyl-3-(3-cyano-benzylsulfonyl)-2-
benzylsulfonyl-methyl-propionamide; N-cyanomethyl-2-[2-1,1-difluoro-methoxy)-
benzylsulfanylmethyl]-3-benzylsulfanyl-propionamide; N-cyanomethyl-3-(2-

5   trifluoromethyl-benzylsulfanyl)-2-(2-trifluoro-methyl-benzylsulfanylmethyl)-
propionamide; N-cyanomethyl-3-isobutylsulfanyl-2-isobutylsulfanylmethyl-propionamide;
N-cyanomethyl-4-phenylsulfanyl-2-(2-phenylsulfanyl-ethyl)-butyramide; N-cyanomethyl-
3-[2-(1,1-difluoro-methoxy)-benzylsulfanyl]-2-[2-(1,1-difluoro-methoxy)-
benzylsulfanylmethyl]-propionamide; 3-benzylsulfanyl-2-benzylsulfanylmethyl-N-

10   cyanomethyl-propionamide; N-cyanomethyl-2-[2-1,1-difluoro-methoxy)-
benzylsulfonylmethyl]-3-benzylsulfonyl-propionamide; N-cyanomethyl-3-(2-
trifluoromethyl-benzylsulfonyl)-2-(2-trifluoromethyl-benzylsulfonylmethyl)-propionamide;
4-benzenesulfonyl-2-(2-benzenesulfonyl-ethyl)-N-cyanomethyl-butyramide; N-
cyanomethyl-3-[2-(1,1-difluoro-methoxy)-benzylsulfonyl]-2-[2-(1,1-difluoro-methoxy)-

15   benzylsulfonylmethyl]-propionamide; N-cyanomethyl-3-benzylsulfonyl-2-
benzylsulfonylmethyl-propionamide; N-cyanomethyl-3-(2-methyl-propane-1-sulfonyl)-2-
(2-methyl-propane-1-sulfonylmethyl)-propionamide; N-cyanomethyl-3-(2-methyl-thiazol-
4-ylmethylsulfonyl)-2-benzyl-sulfonylmethyl-propionamide; 3-biphenyl-3-yl-N-
cyanomethyl-2-[2-(1,1-difluoro-methoxy)-benzyl-sulfonylmethyl]-propionamide; (3'-{2-

20   (cyanomethyl-carbamoyl)-3-[2-(1,1-difluoro-methoxy)-benzyl-sulfonyl]-propyl}-biphenyl-
4-yl)-carbamic   acid   ethyl   ester;   N-cyanomethyl-2-[2-(1,1-difluoro-methoxy)-
benzylsulfonylmethyl]-3-(4'-methylsulfonylamino-biphenyl-3-yl)-propionamide;   3-(3-
bromo-phenyl)-N-cyanomethyl-2-[2-(1,1-difluoro-methoxy)-phenyl-
methylsulfonylmethyl]-propionamide; N-cyanomethyl-2-((E)-3-phenyl-allyl)-3-

25   benzylsulfonyl-propionamide; and N-cyanomethyl-3-benzylsulfonyl-2-(3-phenyl-propyl)-
propionamide;

and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual
isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and
solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected

30   derivatives, individual isomers and mixtures of isomers thereof.

10.   The compound of Claim 7 of Formula I(b):

$$\text{X}^7\text{CH}_2\overset{\displaystyle\text{CH}_2\text{X}^7}{\underset{\displaystyle\text{O}}{\diagdown}}\overset{\displaystyle\underset{H}{N}}{\diagdown}\overset{\displaystyle\text{O}}{\underset{\displaystyle\text{R}^1\ \text{R}^2}{\diagdown}}\text{R}^5$$

I(b)

and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers
and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of
such compounds and the N-oxide derivatives, prodrug derivatives, protected derivatives,
individual isomers and mixtures of isomers thereof.

11.   The compound of claim 10 in which R^5 is $1H$-benzoimidazol-2-yl,
benzooxazol-2-yl,   oxazolo[4,5-b]pyridin-2-yl,   benzothiazol-2-yl,   5-phenyl-
[1,3,4]oxadiazol-2-yl,   4-(5-pyridin-4-yl-[1,3,4]oxadiazol-2-yl,   5-pyridin-3-yl-
[1,3,4]oxadiazol-2-yl, 5-pyridazin-3-yl-[1,3,4]oxadiazol-2-yl, pyrimidin-2-yl, pyridazin-3-
yl, 3-penyl-[1,2,4]oxadiazol-5-yl, 5-methoxymethyl-[1,3,4]oxadiazol-2-yl, 5-ethyl-
[1,3,4]oxadiazol-2-yl,, 1,3,4]thiadiazol-2-yl, benzyloxycarbonyl, benzyloxydicarbonyl,
phenyldicarbonyl, 5-methyl-[1,3,4]thiadiazol-2-yl, 5-trifluoromethyl-[1,3,4]oxadiazol-2-yl,
5-methyl-[1,3,4]oxadiazol-2-yl, 5-methyl-[1,2,4]oxadiazol-3-yl, 5-phenyl-[1,2,4]oxadiazol-
3-yl, 5-thiophen-3-yl-[1,2,4]oxadiazol-3-yl, 5-trifluoromethyl-[1,2,4]oxadiazol-3-yl, 3-
methyl-[1,2,4]oxadiazol-5-yl or 3-pyrazin-2-yl;

and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual
isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and
solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected
derivatives, individual isomers and mixtures of isomers thereof.

12.   The compound of claim 11 selected from the group consisting of N-[(S)-1-
(1-Benzooxazol-2-yl-methanoyl)-butyl]-3-benzylsulfonyl-2-benzylsulfonylmethyl-
propionamide;   N-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-butyl]-3-(2-trifluoromethyl-
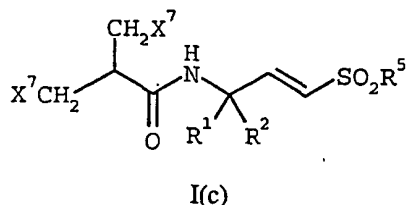benzylsulfonyl)-2-(2-trifluoromethyl-benzylsulfonylmethyl)-propionamide; N-[(S)-1-(1-

708

Benzooxazol-2-yl-methanoyl)-pentyl]-4-(2-methoxy-benzenesulfonyl)-2-[2-(2-methoxy-benzenesulfonyl)-ethyl]-butyramide; 4-Benzenesulfonyl-2-(2-benzenesulfonyl-ethyl)-*N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-butyramide; (R)-*N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-cyclohexylmethyl-3-benzylsulfonyl-propionamide; *N*-[(S)-1-(1-

5   benzothiazol-2-yl-methanoyl)-propyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide;   *N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-3-cyclohexyl-2-cyclohexylmethyl-propionamide;   *N*-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-butyl]-3-isobutylsulfanyl-2-isobutylsulfanylmethyl-propionamide; *N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-3-benzylsulfanyl-2-benzylsulfanylmethyl-propionamide; *N*-[(S)-1-(1-

10   benzooxazol-2-yl-methanoyl)-butyl]-4-phenylsulfanyl-2-(2-phenylsulfanyl-ethyl)-butyramide; *N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide; *N*-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-pentyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide;   4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-*N*-{(S)-1-[1-(3-phenyl-[1,2,4]oxadiazol-5-yl)-methanoyl]-propyl}-

15   butyramide; *N*-[(S)-1-(1-Benzooxazol-2-yl-methanoyl)-butyl]-2-[2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl]-3-benzylsulfonyl-propionamide; 4-Morpholin-4-yl-4-oxo-*N*-[1-(2-oxo-2-phenyl-acetyl)-pentyl]-2-benzylsulfonylmethyl-butyramide;   *N*-(1,1-Dimethyl-2-oxazolo[4,5-b]pyridin-2-yl-2-oxo-ethyl)-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide; *N*-[1-(5-Ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-morpholin-4-yl-4-oxo-2-

20   benzylsulfonylmethyl-butyramide; *N*-[1-(5-Ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-oxo-2-benzylsulfonyl-methyl-4-piperidin-1-yl-butyramide;   *N*-[1-(5-Ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-oxo-2-benzylsulfonyl-methyl-4-pyrrolidin-1-yl-butyramide; *N*-[1-(5-Methoxymethyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide;   *N*-[1-(5-Methoxymethyl-

25   [1,3,4]oxadiazole-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-butyramide;   *N*-[1-(5-Methoxymethyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonylmethyl-4-pyrrolidin-1-yl-butyramide;   4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-*N*-[1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-butyramide; 4-Oxo-2-benzylsulfonylmethyl-*N*-[1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-

30   piperidin-1-yl-butyramide;   4-Oxo-2-benzylsulfonylmethyl-*N*-[1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-pyrrolidin-1-yl-butyramide; 4-Morpholin-4-yl-*N*-

[1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonylmethyl-butyramide;

N-[1-(Oxazolo[4,5-b]pyridine-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonyl-methyl-4-

piperidin-1-yl-butyramide; *N*-[1-(Oxazolo[4,5-b]pyridine-2-carbonyl)-propyl]-4-oxo-2-

benzylsulfonyl-methyl-4-pyrrolidin-1-yl-butyramide;   4-Morpholin-4-yl-4-oxo-2-

5   benzylsulfonylmethyl-*N*-[1-(5-pyridin-4-yl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-

butyramide;   4-Oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-*N*-[1-(5-pyridin-4-yl-

[1,3,4]oxadiazole-2-carbonyl)-propyl]-butyramide; 4-Oxo-2-benzylsulfonylmethyl-*N*-[1-

(5-pyridin-4-yl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-pyrrolidin-1-yl-butyramide; 4-

Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-*N*-[1-(5-pyridin-3-yl-[1,3,4]oxadiazole-2-

10   carbonyl)-propyl]-butyramide;   *N*-[1-(Benzooxazole-2-carbonyl)-propyl]-4-oxo-2-

benzylsulfonylmethyl-4-piperidin-1-yl-butyramide;   *N*-[1-(Benzooxazole-2-carbonyl)-

propyl]-4-oxo-2-benzylsulfonylmethyl-4-pyrrolidin-1-yl-butyramide; *N*-[1-(Benzooxazole-

2-carbonyl)-propyl]-2-cyclohexylmethyl-4-morpholin-4-yl-4-oxo-butyramide;   2-

Cyclohexylmethyl-4-morpholin-4-yl-*N*-[1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propyl]-4-

15   oxo-butyramide; 2-Cyclohexylmethyl-N-[1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-

4-morpholin-4-yl-4-oxo-butyramide;   *N*-(2-Benzooxazol-2-yl-1-methoxymethyl-2-oxo-

ethyl)-2-(2-difluoromethoxy-benzylsulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyramide;

N-[1-(Benzooxazole-2-carbonyl)-propyl]-2-(2-cyclohexyl-ethyl)-4-morpholin-4-yl-4-oxo-

butyramide; 2-(2-Cyclohexyl-ethyl)-4-morpholin-4-yl-*N*-[1-(oxazolo[4,5-b]pyridine-2-

20   carbonyl)-propyl]-4-oxo-butyramide; 2-(2-Cyclohexyl-ethyl)-4-morpholin-4-yl-4-oxo-*N*-

[1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-butyramide; 2-(2-Difluoromethoxy-

benzylsulfonylmethyl)-4-morpholin-4-yl-4-oxo-*N*-[1-(5-phenyl-[1,3,4]oxadiazole-2-

carbonyl)-propyl]-butyramide; 2-(2-Difluoromethoxy-benzylsulfonylmethyl)-*N*-[1-(5-ethyl-

[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-morpholin-4-yl-4-oxo-butyramide;   *N*-[1-

25   (Benzooxazole-2-carbonyl)-propyl]-2-(2-difluoromethoxy-benzyl-sulfonylmethyl)-4-

morpholin-4-yl-4-oxo-butyramide;

2-(2-Morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid, 1-(benzooxazole-2-

carbonyl)-propyl]-amide; (R)-2-Cyclohexylmethyl-4-morpholin-4-yl-4-oxo-*N*-[(S)-1-(5-

phenyl-1,2,4-oxadiazole-3-carbonyl)-propyl]-butyramide; 2-(2-Morpholin-4-yl-2-oxo-

30   ethyl)-5-phenyl-pentanoic acid, (S)-1-(5-phenyl-[1,2,4]oxadiazole-3-carbonyl)-propyl]-

amide;   4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-*N*-[(S)-1-(5-phenyl-1,2,4-

oxadiazole-3-carbonyl)-propyl]-butyramide; (R)-2-Cyclohexylmethyl-4-morpholin-4-yl-4-oxo-*N*-[(S)-1-(3-phenyl-1,2,4-oxadiazole-5-carbonyl)-propyl]-butyramide; 4-Morpholin-4-yl-*N*-[1-(oxazole-2-carbonyl)-3-phenyl-propyl]-4-oxo-2-benzylsulfonylmethyl-butyramide; *N*-(1,1-Dimethyl-2-oxazol-2-yl-2-oxo-ethyl)-4-morpholin-4-yl-4-oxo-2-

5   benzylsulfonylmethyl-butyramide; *N*-4-Isopropyl-*N*-1-[1-(oxazole-2-carbonyl)-3-phenyl-propyl]-2-benzylsulfonylmethyl-succinamide;   2-(2-Difluoromethoxy-benzylsulfonylmethyl)-4-morpholin-4-yl-*N*-[1-(oxazole-2-carbonyl)-3-phenyl-propyl]-4-oxo-butyramide; 2-(2-Methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-*N*-[1-(oxazole-2-carbonyl)-3-phenyl-propyl]-4-oxo-butyramide; 2-Cyclopropylmethylsulfonylmethyl-4-

10   morpholin-4-yl-*N*-[1-(oxazole-2-carbonyl)-3-phenyl-propyl]-4-oxo-butyramide;   *N*-[1-(Benzooxazole-2-carbonyl)-butyl]-2-benzylsulfonyl-3-(tetrahydro-pyran-4-yloxymethyl)-propionamide;   *N*-[1-(Benzooxazole-2-carbonyl)-butyl]-3-ethanesulfonyl-2-(tetrahydro-pyran-4-yloxymethyl)-propionamide;   *N*-(1-Benzenesulfonyl-3-oxo-azepan-4-yl)-2-cyclopropylmethylsulfonyl-methyl-4-morpholin-4-yl-4-oxo-butyramide;   2-

15   Cyclopropylmethylsulfonylmethyl-N-{(S)-1-[(R)-hydroxy-(3-phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propyl}-4-morpholin-4-yl-4-oxo-butyramide; *N*-{(S)-1-[(R)-hydroxy-(3-phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propyl}-2-(2-methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyramide; 2-(2-Morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid {(S)-1-[(R)-hydroxy-(3-phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propyl}-amide;   2-

20   Cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-4-oxo-N-[(S)-1-(3-phenyl-1,2,4-oxadiazole-5-carbonyl)-propyl]-butyramide;   2-(2-methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-N-[(S)-1-(3-phenyl-1,2,4-oxadiazole-5-carbonyl)-propyl]-butyramide; 2-(2-Morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid, (S)-1-(3-phenyl-1,2,4-oxadiazole-5-carbonyl)-propyl}-amide;   *N*-[(1S)-1-(Benzooxazol-2-yl-hydroxy-

25   methyl)-3-phenyl-propyl]-2-cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-4-oxo-butyramide; (R)-2-((S)-1-Hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid, 1-(benzoxazole-2-carbonyl)-propyl]-amide; (R)-5-(2-Difluoromethoxy-phenyl)-2-((S)-1-hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-pentanoic acid, 1-(benzoxazole-2-carbonyl)-propyl]-amide; and 4-Morpholin-4-yl-N-[1-(oxazole-2-carbonyl)-cyclopropyl]-4-oxo-2-

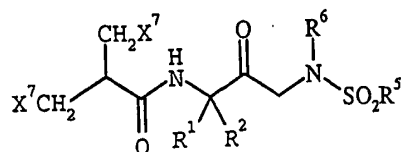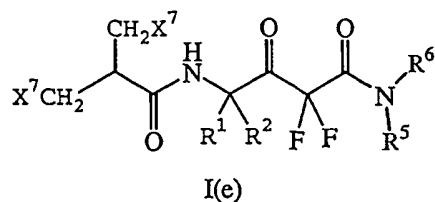30   benzylsulfonyl methyl –butyramide;

and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual

isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

5   13.   The compound of claim 7 of Formula I(c):

$$\underset{X^7CH_2}{\overset{CH_2X^7}{\bigvee}}\underset{O}{\overset{H}{\underset{R^1}{\bigvee}}}\underset{R^2}{\overset{N}{\bigvee}}SO_2R^5$$

I(c)

10   and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

15   14.   The compound of claim 13 in which R^5 is phenyl;

and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

20

15.   The compound of claim 14 selected from the group consisting of N-[(S)-1-((E)-2-benzenesulfonyl-vinyl)-pentyl]-3-benzylsulfonyl-2-benzylsulfonylmethyl-propionamide   and   N-(3-benzenesulfonyl-1-phenethyl-allyl)-3-benzylsulfonyl-2-benzylsulfonylmethyl-propionamide;

25   and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.
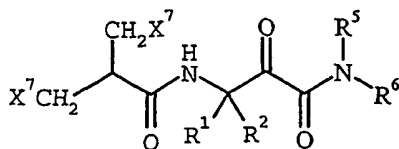
16. The compound of claim 7 of Formula I(d):

$$CH_2X^7$$ ... (structure)

I(d)

and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

17. The compound of claim 16 in which R^5 is phenyl and R^6 is hydrogen;

and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

18. The compound of claim 17 namely *N*-(3-benzenesulfonylamino-2-oxo-propyl)-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide;

and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

19. The compound of claim 7 of Formula I(e):

713

I(e)

and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers

5   and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of

such compounds and the N-oxide derivatives, prodrug derivatives, protected derivatives,
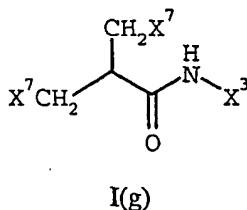
individual isomers and mixtures of isomers thereof.

20.   The compound of claim 19 in which R^5 and R^6 is methyl;

10   and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual

isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and

solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected

derivatives, individual isomers and mixtures of isomers thereof.

15   21.   The compound of claim 20 in which one X^7 is morpholine-4-carbonyl and

the other is benzylsulfonyl, R^1 is hydrogen and R^2 is ethyl, namely (S)-2,2-difluoro-4-(4-

morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butanoylamino)-3-oxo-hexanoic   acid

dimethylamide;

        and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual

20   isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and

solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected

derivatives, individual isomers and mixtures of isomers thereof.

22.   The compound of claim 7 of Formula I(f):

25

I(f)

and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of

5   such compounds and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

23.   The compound of claim 22 in which R^5 is methyl, benzyl, phenethyl, cyclohexyl, methoxyethyl, dimethylaminoethyl, tetrahydro-pyran-4-yl, 1-methylsulfonyl-

10   piperidin-4-yl, 4-methyl-piperazin-1-yl, morpholin-4-ylethyl, pyridin-2-yl, pyridin-2-ylmethyl or oxazol-2-ylmethyl; R^6 is hydrogen or methyl; or R^5 and R^6 together with the nitrogen atom to which both R^5 and R^6 are attached form morpholine-4-yl, pyrrolidin-1-yl, 4-dimethylamino-piperazin-1-yl, 4-hydroxy-piperazin-1-yl, 4-pyridin-2-yl-piperazin-1-yl, 4-benzoyl-piperazin-1-yl or 3-oxo-piperazin-1-yl;

15   and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

20   24.   The compound of claim 23 selected from the group consisting of *N*-[(S)-1-(1-Benzylcarbamoyl-methanoyl)-propyl]-3-benzylsulfonyl-2-benzylsulfonylmethyl-propionamide and *N*-[(S)-1-(1-Benzylcarbamoyl-methanoyl)-propyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide;

          and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual

25   isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

25.   The compound of claim 7 of Formula I(g):

30

$$\text{X}^7\text{CH}_2\text{—CH(CH}_2\text{X}^7\text{)—C(=O)—NH—X}^3$$

I(g)

and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers

5   and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of

such compounds and the N-oxide derivatives, prodrug derivatives, protected derivatives,

individual isomers and mixtures of isomers thereof.

26.   The compound of claim 25 in which X^3 is 1-benzoyl-4-oxo-pyrrolidin-3-yl,

10   4-oxo-pyrrolidin-3-yl-1-carboxylic acid tert-butyl ester, 2-methyl-4-oxo-tetrahydro-furan-

3-yl, 2-ethyl-4-oxo-tetrahydro-furan-3-yl, 4-oxo-tetrahydro-furan-3-yl, 2-acetoxy-4-oxo-

azetidin-3-yl, 1-isopropyl-3-oxo-azepan-4-yl, 3-oxo-azepan-4-yl-1-carboxylic acid benzyl

ester, 3-oxo-azepan-4-yl-1-carboxylic acid tert-butyl ester, 1-benzoyl-3-oxo-azepan-4-yl, 1-

isobutyryl-3-oxo-azepan-4-yl,   3-oxo-1-(propane-2-sulfonyl)-azepan-4-yl,   1-

15   benzenesulfonyl-3-oxo-azepan-4-yl,   1-benzenesulfonyl-3-oxo-piperidin-4-yl,   1-

benzenesulfonyl-4-oxo-pyrrolidin-3-yl,   1-benzoyl-3-oxo-piperidin-4-yl   or   3-oxo-

tetrahydro-pyran-4-yl;

and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual

isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and

20   solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected

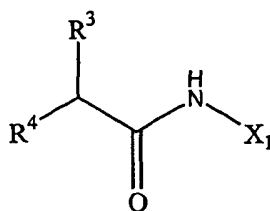derivatives, individual isomers and mixtures of isomers thereof.

27.   The compound of claim 23 selected from the group consisting of 3-

Hydroxy-4-(4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyrylamino)-azepane-1-

25   carboxylic acid tert-butyl ester; 4-(2-Cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-

4-oxo-butyrylamino)-3-hydroxy-azepane-1-carboxylic acid tert-butyl ester; 3-Hydroxy-4-

[2-(2-methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyrylamino]-azepane-

1-carboxylic acid tert-butyl ester; 4-(4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-

butyrylamino)-3-oxo-azepane-1-carboxylic acid tert-butyl ester; 4-(2-Cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-4-oxo-butyrylamino)-3-oxo-azepane-1-carboxylic acid tert-butyl ester; 4-[2-(2-Methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyrylamino]-3-oxo-azepane-1-carboxylic acid tert-butyl ester; *N*-(1-

5   Benzenesulfonyl-3-oxo-azepan-4-yl)-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide; *N*-(1-Benzenesulfonyl-3-oxo-azepan-4-yl)-2-(2-methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyramide; 3-(4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyrylamino)-4-oxo-pyrrolidine-1-carboxylic acid tert-butyl ester; 4-(4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyrylamino)-3-oxo-azepane-1-

10   carboxylic acid benzyl ester; and acetic acid (2S,3S)-3-(4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butanoylamino)-4-oxo-azetidin-2-yl ester;

and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxide derivatives, prodrug derivatives, protected

15   derivatives, individual isomers and mixtures of isomers thereof.

28.   A pharmaceutical composition comprising a therapeutically effective amount of a compound of Claim 1 in combination with a pharmaceutically acceptable excipient.

20

29.   A method for treating a disease in an animal in which inhibition of Cathepsin S can prevent, inhibit or ameliorate the pathology and/or symptomology of the disease, which method comprises administering to the animal a therapeutically effective amount of compound of Claim 1 or a *N*-oxide derivative or individual isomer or mixture of

25   isomers thereof; or a pharmaceutically acceptable salt or solvate of such compounds and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

30.   The use of a compound of Claim 1 in the manufacture of a medicament for

30   treating a disease in an animal in which Cathepsin S activity contributes to the pathology and/or symptomology of the disease.

31.   A process for preparing a compound of Formula I:
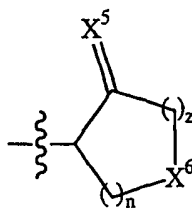

I

5

in which:

X^1 is -C(R^1)(R^2)X^2 or -X^3;

X^2 is cyano, -CHO, -C(R^7)(R^8)R^5, -C(R^7)(R^8)CF$_3$, -C(R^7)(R^8)CF$_2$CF$_2$R^9 -CH=CHS(O)$_2$R^5,   -C(R^7)(R^8)CF$_2$C(O)NR^{5}R^6,   -C(R^7)(R^8)C(R^7)(R^8)NR^{5}R^6,

10   -C(R^7)(R^8)C(R^7)(R^8)OR^5,   -C(R^7)(R^8)CH$_2$OR^5,   -C(R^7)(R^8)CH$_2$N(R^6)SO$_2$R^5, -C(R^7)(R^8)C(R^7)(R^8)N(R^6)(CH$_2$)$_2$OR^6,   -C(R^7)(R^8)C(R^7)(R^8)N(R^6)(CH$_2$)$_2$NR^6 or -C(R^7)(R^8)C(R^7)(R^8)R^5;   wherein   R^5 is   (C$_{1-4}$)alkyl,   (C$_{6-10}$)aryl(C$_{0-6}$)alkyl, hetero(C$_{4-10}$)aryl(C$_{0-6}$)alkyl,   (C$_{4-10}$)cycloalkyl(C$_{0-6}$)alkyl   or hetero(C$_{4-10}$)cycloalkyl(C$_{0-6}$)alkyl; R^6 is hydrogen or (C$_{1-6}$)alkyl; R^7 is hydrogen or

15   (C$_{1-4}$)alkyl and R^8 is hydroxy or R^7 and R^8 together form oxo; R^9 is hydrogen, halo, (C$_{1-4}$)alkyl, (C$_{5-10}$)aryl(C$_{0-6}$)alkyl or hetero(C$_{5-10}$)aryl(C$_{0-6}$)alkyl;

X^3 represents a group of Formula (a):

20   (a)

in which n is 1 or 2, z is 0 or 1, X^5 is selected from NR^{10}, S or O, wherein R^{10} is hydrogen or (C_{1-6})alkyl, and X^6 is O, S or NR^{11}, wherein R^{11} is selected from hydrogen, (C_{1-6})alkyl, $-X^4C(O)OR^{12}$, $-X^4C(O)R^{12}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4S(O)_2NR^{12}R^{12}$, $-X^4S(O)_2R^{14}$, $-R^{15}$, $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$, $-X^4C(O)OR^{15}$, $-X^4C(O)NR^{12}R^{15}$ and $-X^4S(O)_2NR^{12}R^{15}$, in which

5   X^4 is a bond or (C_{1-6})alkylene; R^{12} at each occurrence independently is hydrogen or (C_{1-6})alkyl; R^{13} is hydrogen, (C_{1-6})alkyl or halo-substituted(C_{1-6})alkyl, R^{14} is (C_{1-6})alkyl or halo-substituted(C_{1-6})alkyl   and R^{15} is (C_{3-10})cycloalkyl(C_{0-6})alkyl, hetero(C_{3-10})cycloalkyl(C_{0-3})alkyl, (C_{6-10})aryl(C_{0-6})alkyl, hetero(C_{5-10})aryl(C_{0-6})alkyl, (C_{9-12})bicycloaryl(C_{0-6})alkyl or hetero(C_{8-12})bicycloaryl(C_{0-6})alkyl;

10   wherein within X^1 any cycloalkyl, heterocycloalkyl, aryl or heteroaryl may be substituted with 1 radical R^{20} selected from $-R^{15}$, $-X^4OR^{15}$, $-X^4SR^{15}$, $-X^4S(O)R^{15}$, $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$, $-X^4C(O)OR^{15}$, $-X^4OC(O)R^{15}$, $-X^4NR^{15}R^{12}$, $-X^4NR^{12}C(O)R^{15}$, $-X^4NR^{12}C(O)OR^{15}$, $-X^4C(O)NR^{15}R^{12}$, $-X^4S(O)_2NR^{15}R^{12}$, $-X^4NR^{12}S(O)_2R^{15}$, $-X^4NR^{12}C(O)NR^{15}R^{12}$ and $-X^4NR^{12}C(NR^{12})NR^{15}R^{12}$; and wherein X^1 and R^{20} may be

15   substituted further with 1 to 5 radicals independently selected from (C_{1-6})alkyl, cyano, halo, halo-substituted(C_{1-4})alkyl, nitro, $-X^4NR^{12}R^{12}$, $-X^4NR^{12}C(O)R^{12}$, $-X^4NR^{12}C(O)OR^{12}$, $-X^4NR^{12}C(O)NR^{12}R^{12}$, $-X^4NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^4OR^{13}$, $-X^4SR^{13}$, $-X^4C(O)OR^{12}$, $-X^4C(O)R^{13}$, $-X^4OC(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4S(O)_2NR^{12}R^{12}$, $-X^4NR^{12}S(O)_2R^{13}$, $-X^4P(O)(OR^{12})OR^{12}$, $-X^4OP(O)(OR^{12})OR^{12}$, $-X^4S(O)R^{14}$ and $-X^4S(O)_2R^{14}$ wherein X^4, R^{12},

20   R^{13}, R^{14} and R^{15} are as defined above;

        R^1 and R^2 are both fluoro; or

        R^1 is hydrogen or (C_{1-6})alkyl and R^2 is selected from the group consisting of hydrogen, (C_{1-6})alkyl, cyano, $-X^4NR^{12}R^{12}$, $-X^4NR^{12}C(O)R^{12}$, $-X^4NR^{12}C(O)OR^{12}$, $-X^4NR^{12}C(O)NR^{12}R^{12}$, $-X^4NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^4OR^{13}$, $-X^4SR^{13}$, $-X^4C(O)OR^{12}$,

25      $-X^4C(O)R^{13}$, $-X^4OC(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4S(O)_2NR^{12}R^{12}$, $-X^4NR^{12}S(O)_2R^{13}$, $-X^4P(O)(OR^{12})OR^{12}$, $-X^4OP(O)(OR^{12})OR^{12}$, $-X^4S(O)R^{14}$, $-X^4S(O)_2R^{14}$, $-R^{15}$, $-X^4OR^{15}$, $-X^4SR^{15}$, $-X^4S(O)R^{15}$, $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$, $-X^4C(O)OR^{15}$, $-X^4OC(O)R^{15}$, $-X^4NR^{15}R^{12}$, $-X^4NR^{12}C(O)R^{15}$, $-X^4NR^{12}C(O)OR^{15}$, $-X^4C(O)NR^{15}R^{12}$, $-X^4S(O)_2NR^{15}R^{12}$, $-X^4NR^{12}S(O)_2R^{15}$, $-X^4NR^{12}C(O)NR^{15}R^{12}$ and $-X^4NR^{12}C(NR^{12})NR^{15}R^{12}$, wherein X^4, R^{12},

30   R^{13}, R^{14} and R^{15} are as defined above; or R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form (C_{3-8})cycloalkylene or hetero(C_{3-8})cycloalkylene;

wherein R^2, said cycloalkylene and said heterocycloalkylene may be substituted further with 1 to 3 radicals independently selected from (C_{1-6})alkyl, cyano, halo, halo-substituted(C_{1-4})alkyl, nitro, $-X^4NR^{12}R^{12}$, $-X^4NR^{12}C(O)R^{12}$, $-X^4NR^{12}C(O)OR^{12}$, $-X^4NR^{12}C(O)NR^{12}R^{12}$, $-X^4NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^4OR^{13}$, $-X^4SR^{13}$, $-X^4C(O)OR^{12}$,

5    $-X^4C(O)R^{13}$, $-X^4OC(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4S(O)_2NR^{12}R^{12}$, $-X^4NR^{12}S(O)_2R^{13}$, $-X^4P(O)(OR^{12})OR^{12}$, $-X^4OP(O)(OR^{12})OR^{12}$, $-X^4S(O)R^{14}$ and $-X^4S(O)_2R^{14}$, wherein X^4, R^{12}, R^{13} and R^{14} are as defined above;
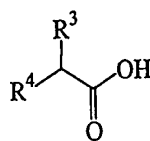
     R^3 and R^4 are independently $-C(R^{16})(R^{17})X^7$, wherein R^{16} and R^{17} are hydrogen, (C_{1-6})alkyl or fluoro, or R^{16} is hydrogen and R^{17} is hydroxy and X^7 is selected from

10    $-X^4NR^{12}R^{12}$, $-X^4NR^{12}C(O)R^{12}$, $-X^4NR^{12}C(O)OR^{12}$, $-X^4NR^{12}C(O)NR^{12}R^{12}$, $-X^4NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^4OR^{13}$, $-X^4SR^{13}$, $-X^4C(O)OR^{12}$, $-X^4C(O)R^{13}$, $-X^4OC(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4S(O)_2NR^{12}R^{12}$, $-X^4NR^{12}S(O)_2R^{13}$, $-X^4P(O)(OR^{12})OR^{12}$, $-X^4OP(O)(OR^{12})OR^{12}$, $-X^4S(O)R^{14}$, $-X^4S(O)_2R^{14}$, $-R^{15}$, $-X^4OR^{15}$, $-X^4SR^{15}$, $-X^4S(O)R^{15}$, $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$, $-X^4C(O)OR^{15}$, $-X^4OC(O)R^{15}$, $-X^4NR^{15}R^{12}$, $-X^4NR^{12}C(O)R^{15}$,

15    $-X^4NR^{12}C(O)OR^{15}$, $-X^4C(O)NR^{15}R^{12}$, $-X^4S(O)_2NR^{15}R^{12}$, $-X^4NR^{12}S(O)_2R^{15}$, $-X^4NR^{12}C(O)NR^{15}R^{12}$ and $-X^4NR^{12}C(NR^{12})NR^{15}R^{12}$, wherein X^4, R^{12}, R^{13}, R^{14} and R^{15} are as defined above;

     wherein within one of R^3 or R^4 any cycloalkyl, heterocycloalkyl, aryl or heteroaryl may be substituted with 1 radical R^{21} selected from $-R^{15}$, $-X^4OR^{15}$, $-X^4SR^{15}$, $-X^4S(O)R^{15}$,

20    $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$, $-X^4C(O)OR^{15}$, $-X^4OC(O)R^{15}$, $-X^4NR^{15}R^{12}$, $-X^4NR^{12}C(O)R^{15}$, $-X^4NR^{12}C(O)OR^{15}$, $-X^4C(O)NR^{12}R^{15}$, $-X^4S(O)_2NR^{15}R^{12}$, $-X^4NR^{12}S(O)_2R^{15}$, $-X^4NR^{12}C(O)NR^{15}R^{12}$ and $-X^4NR^{12}C(NR^{12})NR^{15}R^{12}$, wherein X^4, R^{12} and R^{15} are as defined above; and wherein each of R^3, R^4 and R^{21} may be substituted further with 1 to 5 radicals independently selected from (C_{1-6})alkyl, cyano, halo, halo-substituted(C_{1-4})alkyl,

25   nitro, $-X^4NR^{12}R^{12}$, $-X^4NR^{12}C(O)R^{12}$, $-X^4NR^{12}C(O)OR^{12}$, $-X^4NR^{12}C(O)NR^{12}R^{12}$, $-X^4NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^4OR^{13}$, $-X^4SR^{13}$, $-X^4C(O)OR^{12}$, $-X^4C(O)R^{13}$, $-X^4OC(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4S(O)_2NR^{12}R^{12}$, $-X^4NR^{12}S(O)_2R^{13}$, $-X^4P(O)(OR^{12})OR^{12}$, $-X^4OP(O)(OR^{12})OR^{12}$, $-X^4S(O)R^{14}$ and $-X^4S(O)_2R^{14}$, wherein X^4, R^{12}, R^{13} and R^{14} are as defined above; provided that only one bicyclic ring structure is present within each of R^3 or

30   R^4; and provided that when X^2 is cyano and X^7 within one of R^3 or R^4 is $-X^4C(O)R^{13}$ or $-X^4C(O)R^{15}$, wherein X^4 is a bond, then X^7 within the other of R^3 or R^4 is limited to

$-X^4SR^{15}$, $-X^4S(O)R^{15}$ and $-X^4S(O)_2R^{15}$, wherein R^{15} is $(C_{6-10})aryl(C_{1-6})alkyl$ substituted with 1 to 5 radicals or $hetero(C_{5-10})aryl(C_{0-6})alkyl$ optionally substituted with 1 to 5 radicals, wherein said radicals are independently selected from $(C_{1-6})alkyl$, cyano, halo, halo-substituted$(C_{1-4})alkyl$, nitro, $-X^4NR^{12}R^{12}$, $-X^4NR^{12}C(O)R^{12}$, $-X^4NR^{12}C(O)OR^{12}$,

5 $-X^4NR^{12}C(O)NR^{12}R^{12}$, $-X^4NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^4OR^{13}$, $-X^4SR^{13}$, $-X^4C(O)OR^{12}$, $-X^4C(O)R^{13}$, $-X^4OC(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4S(O)_2NR^{12}R^{12}$, $-X^4NR^{12}S(O)_2R^{13}$, $-X^4P(O)(OR^{12})OR^{12}$, $-X^4OP(O)(OR^{12})OR^{12}$, $-X^4S(O)R^{14}$ and $-X^4S(O)_2R^{14}$, wherein X^4, R^{12}, R^{13} and R^{14} are as defined above, provided that the radical is not selected from only halo when R^{15} is $(C_{6-10})aryl(C_{1-6})alkyl$; and provided that when X^2 is cyano then X^7 within R^3

10 and R^4 is not $-X^4C(O)NR^{12}R^{12}$, $-X^4C(O)NR^{15}R^{12}$ or $-X^4C(O)NR^{18}R^{19}$, wherein X^4 is a bond and R^{18} and R^{19} together with the nitrogen atom to which they are attached form $hetero(C_{3-10})cycloalkyl$ or $hetero(C_{5-10})aryl$;

and the corresponding N-oxides, and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable

15 salts and solvates of such compounds of formula I and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; which process comprises:

(A)   reacting a compound of Formula 2:

20   2

with a compound of the formula $NH_2CR^1R^2X^2$, in which X^2, R^1, R^2, R^3 and R^4 are as defined in the Summary of the Invention for Formula I; or

(B)   reacting a compound of Formula 2 with a compound of the formula NH_2X^3, in which X^3, R^3 and R^4 are as defined in the Summary of the Invention for Formula I;

25   or

(C)   optionally converting a compound of Formula I into a pharmaceutically acceptable salt;

(D)   optionally converting a salt form of a compound of Formula I to non-salt form;

(E)   optionally converting an unoxidized form of a compound of Formula I into a

pharmaceutically acceptable *N*-oxide;

(F)   optionally converting an *N*-oxide form of a compound of Formula I its

unoxidized form;

5   (G)   optionally resolving an individual isomer of a compound of Formula I from a

mixture of isomers;

(H)   optionally converting a non-derivatized compound of Formula I into a

pharmaceutically prodrug derivative; and

(I)   optionally converting a prodrug derivative of a compound of Formula I to its

10   non-derivatized form.